

Request - Jan Delaval  
FOR OFFICIAL USE ONLY

ACCESS DB # 162485  
PLEASE PRINT CLEARLY

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: SABITA QAZI Examiner #: 74141 Date: 8/15/05  
Art Unit: 1616 Phone Number: 2-0622 Serial Number: 10/522886  
Location (Bldg/Room#): 4A45 (Mailbox #): 4C70 Results Format Preferred (circle): PAPER DISK  
\*\*\*\*\*4C70, Room 4A45\*\*\*\*\*

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following: ME

Title of Invention: Therapeutic agents for Psoriasis

Inventors (please provide full names): Shin Shinwaka et al

Earliest Priority Date: 8/1/2002 (371)

Search Topic:

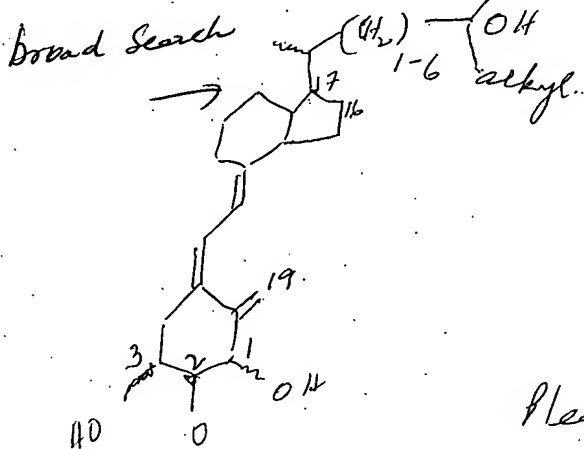
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

CB 1-4

vit. D

Please search for the compds of formula (1)



Please see attached sheets

Thank you

STAFF USE ONLY

Searcher: am

Searcher Phone #: 2204

Searcher Location: \_\_\_\_\_

Date Searcher Picked Up: 8/17/05

Date Completed: 8/17/05

Searcher Prep & Review Time: 15

Online Time: 125

Type of Search

\_\_\_\_ NA Sequence (#)

\_\_\_\_ AA Sequence (#)

☒ Structure (#)

\_\_\_\_ Bibliographic

\_\_\_\_ Litigation

\_\_\_\_ Fulltext

\_\_\_\_ Other

Vendors and cost where applicable

☒ STN ☐ Dialog

\_\_\_\_ Questel/Orbit ☐ Lexis/Nexis

\_\_\_\_ Westlaw ☐ WWW/Internet

\_\_\_\_ In-house sequence systems

\_\_\_\_ Commercial ☐ Oligomer ☐ Score/Length

\_\_\_\_ Interference ☐ SPDI ☐ Encode/Transl

\_\_\_\_ Other (specify)

=> fil reg

FILE 'REGISTRY' ENTERED AT 08:15:22 ON 17 AUG 2005  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 16 AUG 2005 HIGHEST RN 860495-66-5  
DICTIONARY FILE UPDATES: 16 AUG 2005 HIGHEST RN 860495-66-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS  
for details.

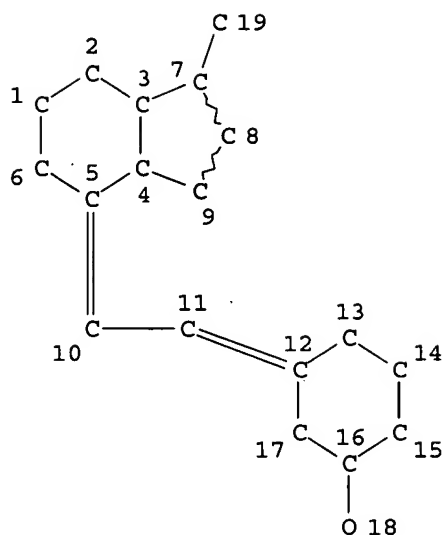
Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d sta que l11

L11 5 SEA FILE=REGISTRY ABB=ON PLU=ON (104121-92-8/BI OR 158689-03-  
3/BI OR 299411-18-0/BI OR 357332-33-3/BI OR 794516-18-0/BI)

=> d sta que l15

L5 STR



## NODE ATTRIBUTES:

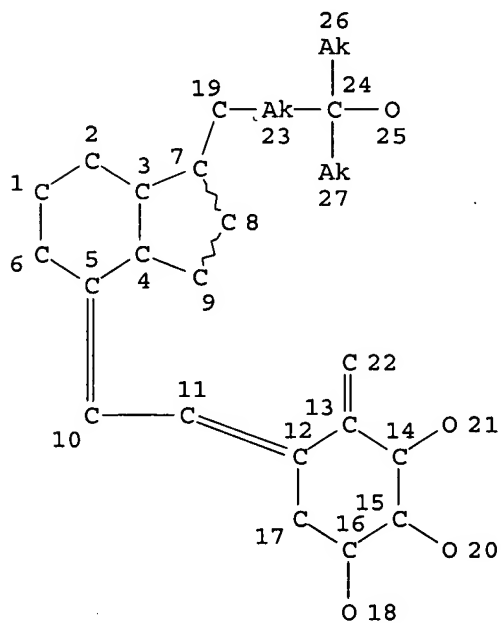
NSPEC IS RC AT 19  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RSPEC 12 5  
 NUMBER OF NODES IS 19

## STEREO ATTRIBUTES: NONE

L6 8760 SEA FILE=REGISTRY SSS FUL L5  
 L7 STR



## NODE ATTRIBUTES:

NSPEC IS RC AT 19

DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RSPEC 5 12

NUMBER OF NODES IS 27

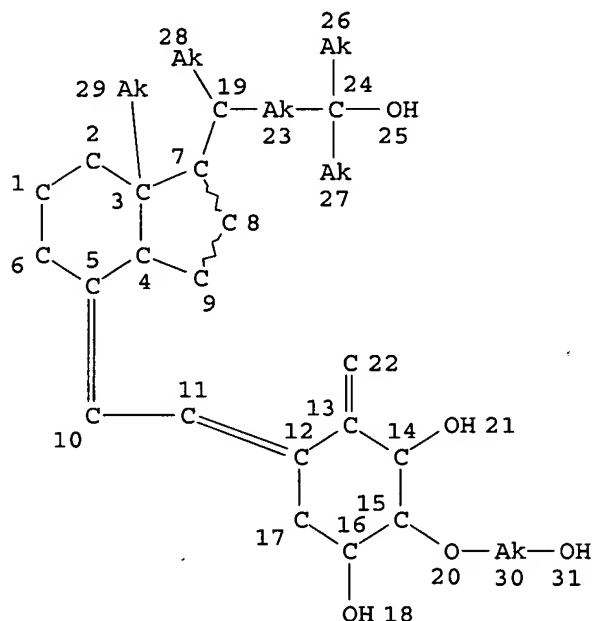
## STEREO ATTRIBUTES: NONE

L9 107 SEA FILE=REGISTRY SUB=L6 SSS FUL L7

L11 5 SEA FILE=REGISTRY ABB=ON PLU=ON (104121-92-8/BI OR 158689-03-3/BI OR 299411-18-0/BI OR 357332-33-3/BI OR 794516-18-0/BI)

L12 102 SEA FILE=REGISTRY ABB=ON PLU=ON L9 NOT L11

L13 STR



## NODE ATTRIBUTES:

NSPEC IS RC AT 19

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RSPEC 5 12

NUMBER OF NODES IS 31

## STEREO ATTRIBUTES: NONE

L14 15 SEA FILE=REGISTRY SUB=L12 CSS FUL L13

L15 13 SEA FILE=REGISTRY ABB=ON PLU=ON L14 NOT T/ELS

=&gt; d l11 ide can tot

L11 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN

RN 794516-18-0 REGISTRY

ED Entered STN: 08 Dec 2004

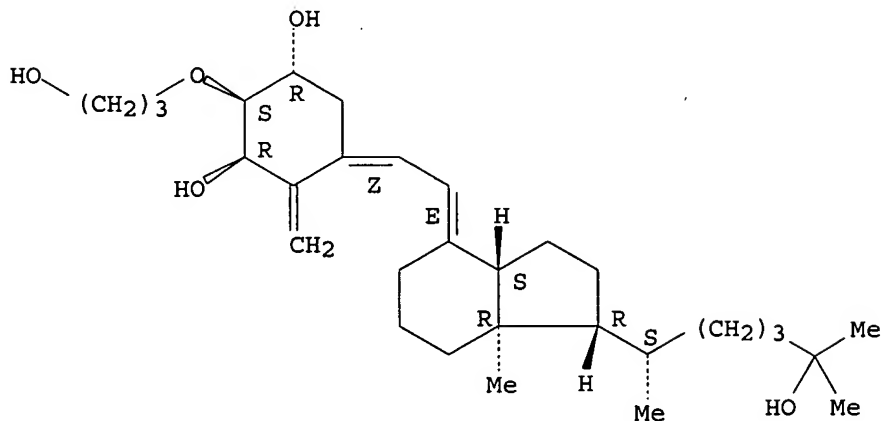
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1 $\alpha$ ,2 $\alpha$ ,3 $\beta$ ,5Z,7E,20S)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C30 H50 O5

SR CA  
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry. Rotation (+).  
Double bond geometry as shown.



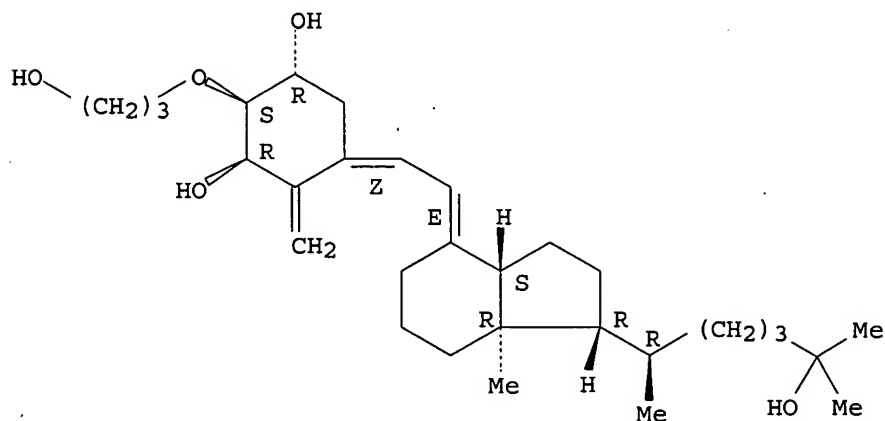
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:424340

L11 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 357332-33-3 REGISTRY  
ED Entered STN: 18 Sep 2001  
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-,  
(1α,2α,3β,5Z,7E)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C30 H50 O5  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry. Rotation (+).  
Double bond geometry as shown.



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## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

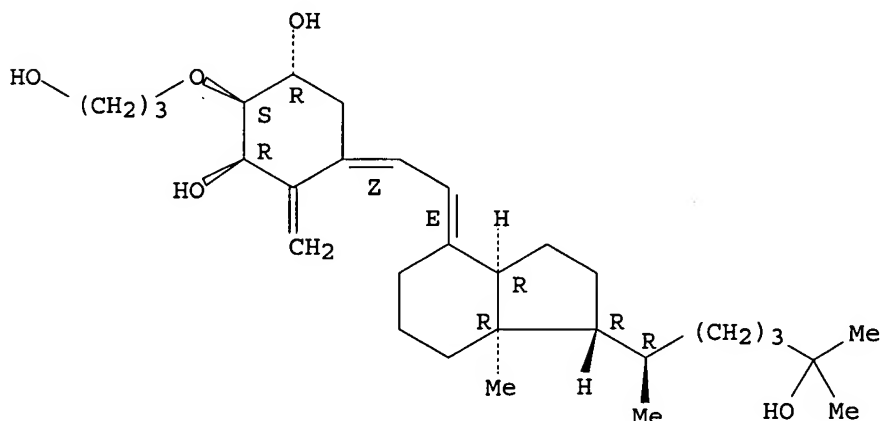
REFERENCE 1: 141:424340

REFERENCE 2: 139:128161

REFERENCE 3: 135:195700

L11 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 299411-18-0 REGISTRY  
ED Entered STN: 26 Oct 2000  
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-,  
(1 $\alpha$ ,2 $\alpha$ ,3 $\beta$ ,5Z,7E,14 $\beta$ )-(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C30 H50 O5  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (+).  
Double bond geometry as shown.



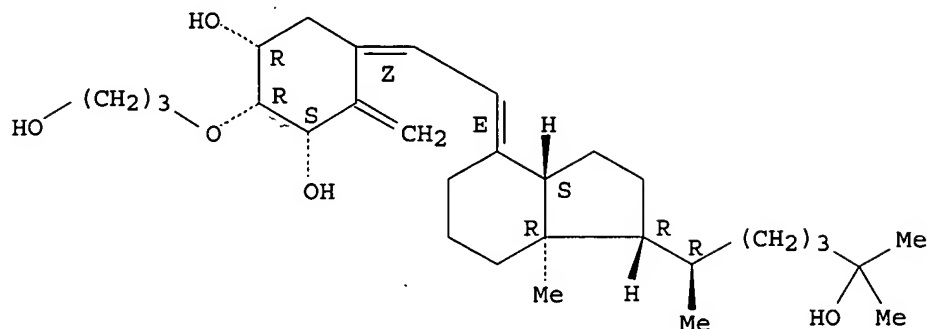
## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281948

L11 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 158689-03-3 REGISTRY  
ED Entered STN: 02 Nov 1994  
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-,  
(1 $\beta$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)-(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C30 H50 O5  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.  
Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 126:166097

REFERENCE 2: 123:257135

REFERENCE 3: 121:271260

L11 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN

RN 104121-92-8 REGISTRY

ED Entered STN: 06 Sep 1986

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-,  
(1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)-(9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2 $\beta$ -(3-Hydroxypropoxy)-1 $\alpha$ ,25-dihydroxyvitamin D3

CN ED 71

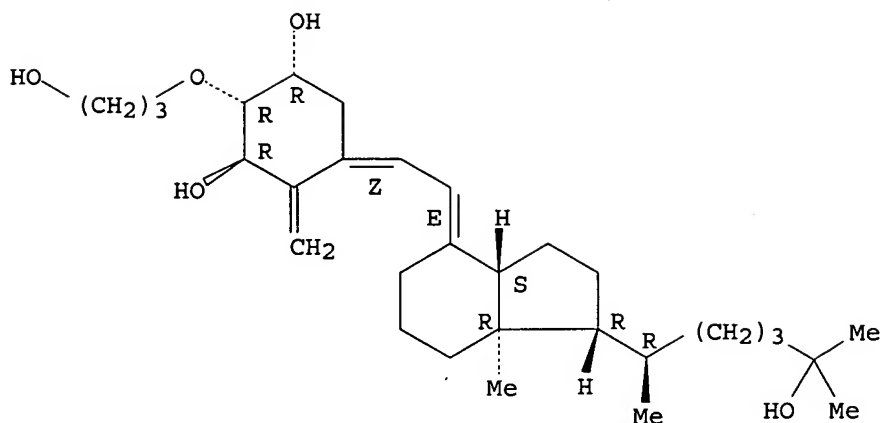
FS STEREOSEARCH

MF C30 H50 O5

SR CA

LC STN Files: ADISINSIGHT, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS,  
CASREACT, DDFU, DRUGU, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH,  
MEDLINE, PHAR, PROUSDDR, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry. Rotation (+).  
Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

75 REFERENCES IN FILE CA (1907 TO DATE)  
75 REFERENCES IN FILE CAPLUS (1907 TO DATE)

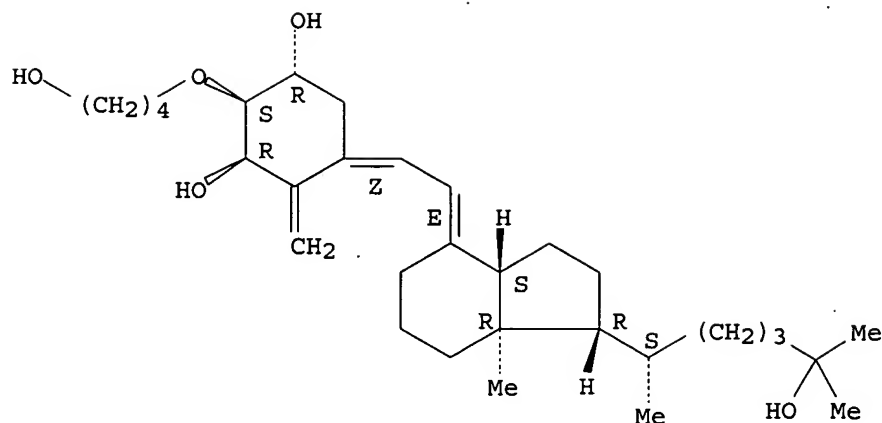
REFERENCE 1: 143:20116  
REFERENCE 2: 142:404806  
REFERENCE 3: 142:336518  
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REFERENCE 5: 142:156210  
REFERENCE 6: 142:156209  
REFERENCE 7: 142:134783  
REFERENCE 8: 142:1359  
REFERENCE 9: 141:307685  
REFERENCE 10: 141:185224

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L15 ANSWER 1 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 794516-19-1 REGISTRY  
ED Entered STN: 08 Dec 2004  
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(4-hydroxybutoxy)-,  
(1 $\alpha$ ,2 $\alpha$ ,3 $\beta$ ,5Z,7E,20S)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C31 H52 O5  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry. Rotation (+).  
Double bond geometry as shown.





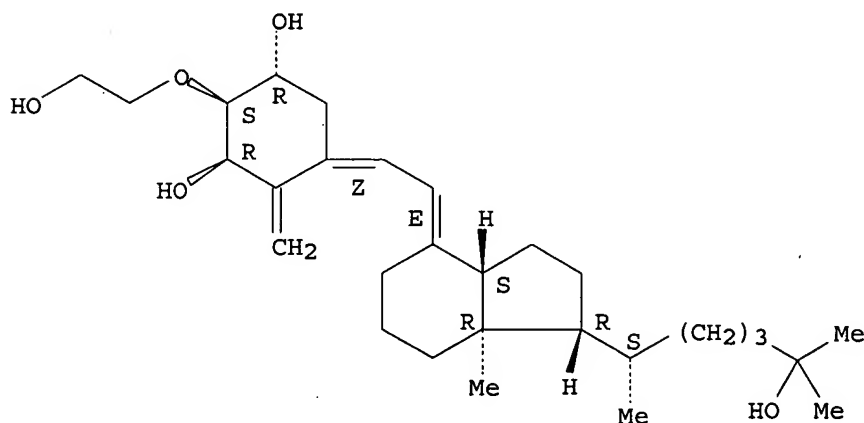
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:424340

L15 ANSWER 2 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 794516-17-9 REGISTRY  
ED Entered STN: 08 Dec 2004  
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(2-hydroxyethoxy)-,  
(1 $\alpha$ ,2 $\alpha$ ,3 $\beta$ ,5Z,7E,20S)-(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C29 H48 O5  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry. Rotation (+).  
Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

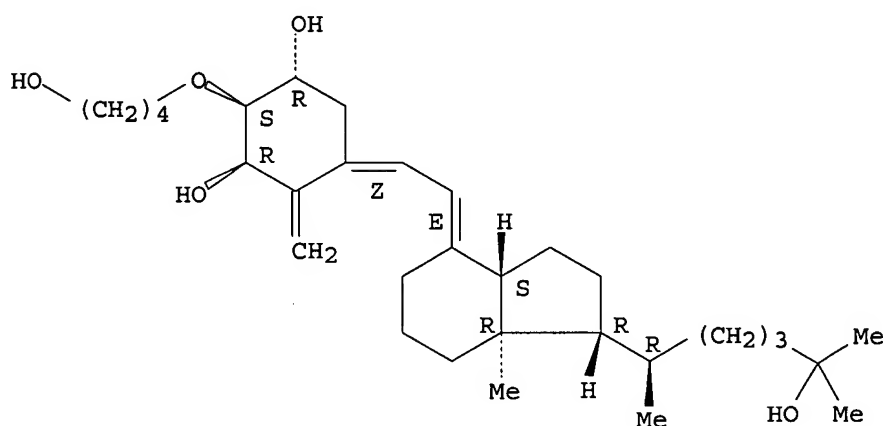
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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REFERENCE 1: 141:424340

L15 ANSWER 3 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 794516-16-8 REGISTRY  
 ED Entered STN: 08 Dec 2004  
 CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(4-hydroxybutoxy)-,  
 (1 $\alpha$ ,2 $\alpha$ ,3 $\beta$ ,5Z,7E)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C31 H52 O5  
 SR CA  
 LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry. Rotation (-).  
 Double bond geometry as shown.



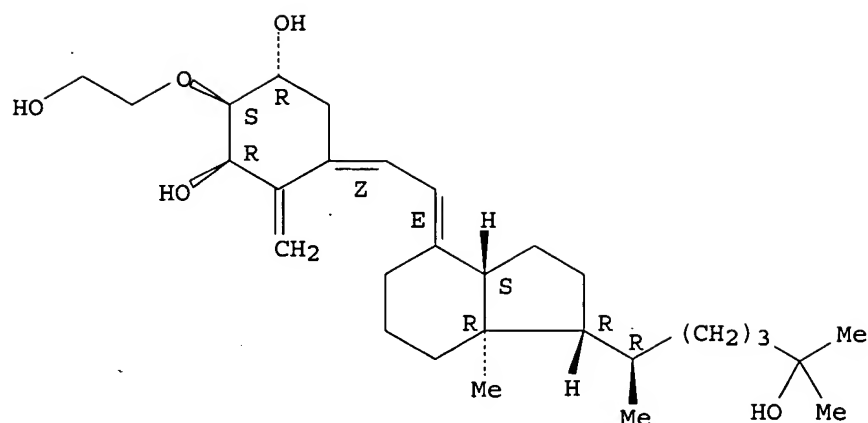
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:424340

L15 ANSWER 4 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 794516-04-4 REGISTRY  
 ED Entered STN: 08 Dec 2004  
 CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(2-hydroxyethoxy)-,  
 (1 $\alpha$ ,2 $\alpha$ ,3 $\beta$ ,5Z,7E)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C29 H48 O5  
 SR CA  
 LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry. Rotation (+).  
 Double bond geometry as shown.



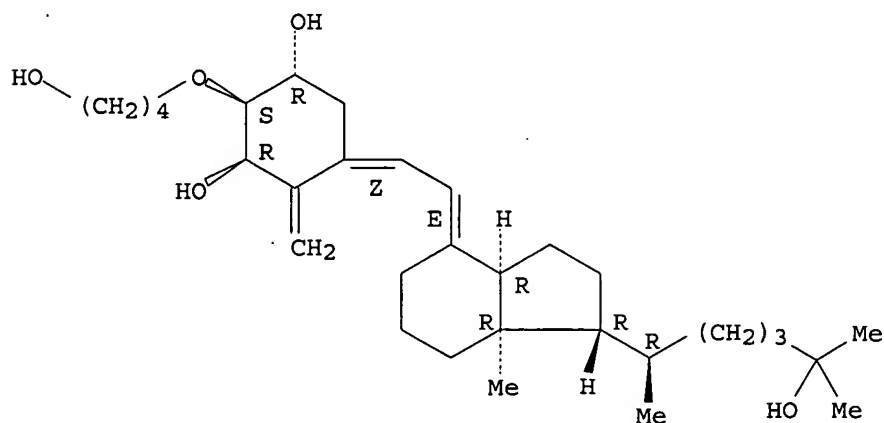
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:424340

L15 ANSWER 5 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 299411-19-1 REGISTRY  
ED Entered STN: 26 Oct 2000  
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(4-hydroxybutoxy)-,  
(1 $\alpha$ ,2 $\alpha$ ,3 $\beta$ ,5Z,7E,14 $\beta$ )-(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C31 H52 O5  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry.  
Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

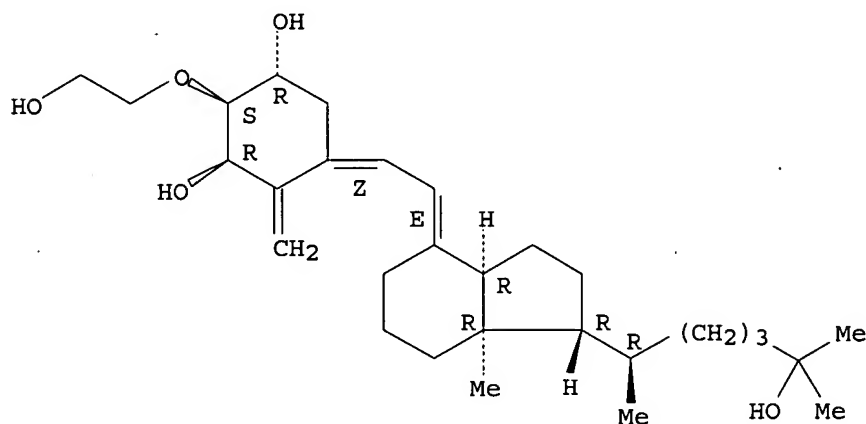
jan delaval - 17 august 2005

## 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281948

L15 ANSWER 6 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 299410-76-7 REGISTRY  
ED Entered STN: 26 Oct 2000  
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(2-hydroxyethoxy)-,  
(1 $\alpha$ ,2 $\alpha$ ,3 $\beta$ ,5Z,7E,14 $\beta$ )-(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C29 H48 O5  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.  
Double bond geometry as shown.



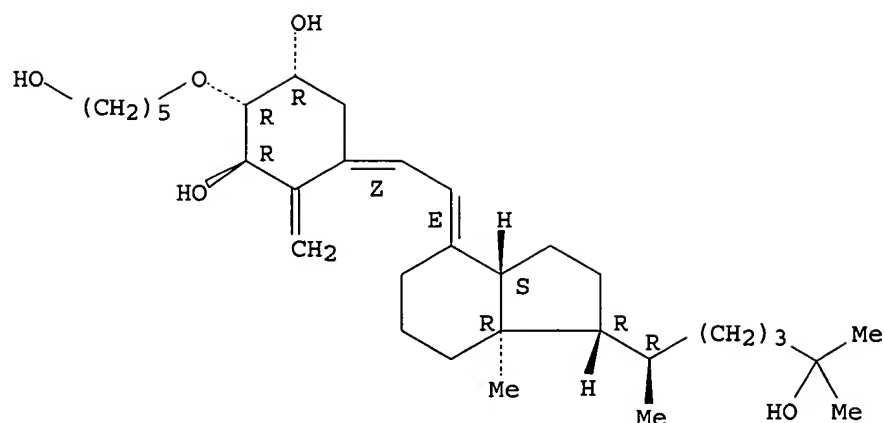
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281948

L15 ANSWER 7 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 197860-92-7 REGISTRY  
ED Entered STN: 26 Nov 1997  
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-[(5-hydroxypentyl)oxy]-,  
(1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)-(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C32 H54 O5  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.  
Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:216550

REFERENCE 2: 127:358987

L15 ANSWER 8 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN

RN 197860-91-6 REGISTRY

ED Entered STN: 26 Nov 1997

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(4-hydroxybutoxy)-,  
(1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)-(9CI) (CA INDEX NAME)

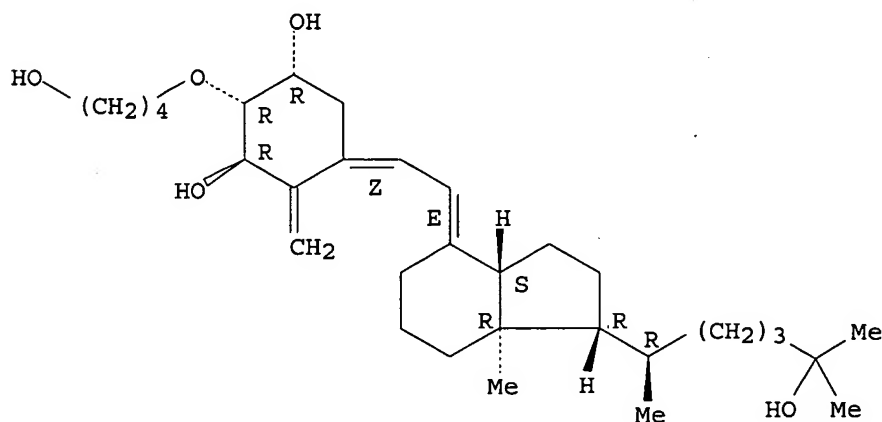
FS STEREOSEARCH

MF C31 H52 O5

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.  
Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:216550

REFERENCE 2: 127:358987

L15 ANSWER 9 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN

RN 181128-88-1 REGISTRY

ED Entered STN: 24 Sep 1996

CN 1,3-Cyclohexanediol, 2-(3-hydroxypropoxy)-4-methylene-5-[(2E)-  
[(1R,3aS,7aR)-octahydro-1-[(1R)-5-hydroxy-1-methyl-5-propyloctyl]-7a-  
methyl-4H-inden-4-ylidene]ethylidene]-, (1R,2R,3R,5Z)- (9CI) (CA INDEX  
NAME)

OTHER CA INDEX NAMES:

CN 1,3-Cyclohexanediol, 2-(3-hydroxypropoxy)-4-methylene-5-[[octahydro-1-(5-  
hydroxy-1-methyl-5-propyloctyl)-7a-methyl-4H-inden-4-ylidene]ethylidene]-,  
[1R-[1 $\alpha$ (R\*),3 $\alpha\beta$ ,4E(1R\*,2R\*,3R\*,5Z),7 $\alpha\alpha$ ]]-

FS STEREOSEARCH

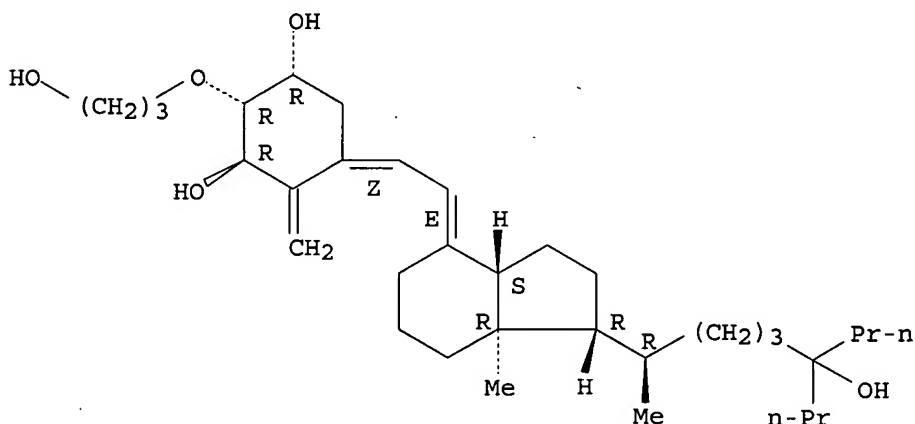
MF C34 H58 O5

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:139508

REFERENCE 2: 125:196104

L15 ANSWER 10 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN

RN 181128-84-7 REGISTRY

ED Entered STN: 24 Sep 1996

CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1R)-5-ethyl-5-hydroxy-1-

methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-(3-hydroxypropoxy)-4-methylene-, (1R,2R,3R,5Z)- (9CI) (CA INDEX NAME)

## OTHER CA INDEX NAMES:

CN 1,3-Cyclohexanediol, 5-[[1-(5-ethyl-5-hydroxy-1-methylheptyl)octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-(3-hydroxypropoxy)-4-methylene-, [1R-[1 $\alpha$ (R\*),3 $\alpha$ ,4E(1R\*,2R\*,3R\*,5Z),7 $\alpha$ ]]-

FS STEREOSEARCH

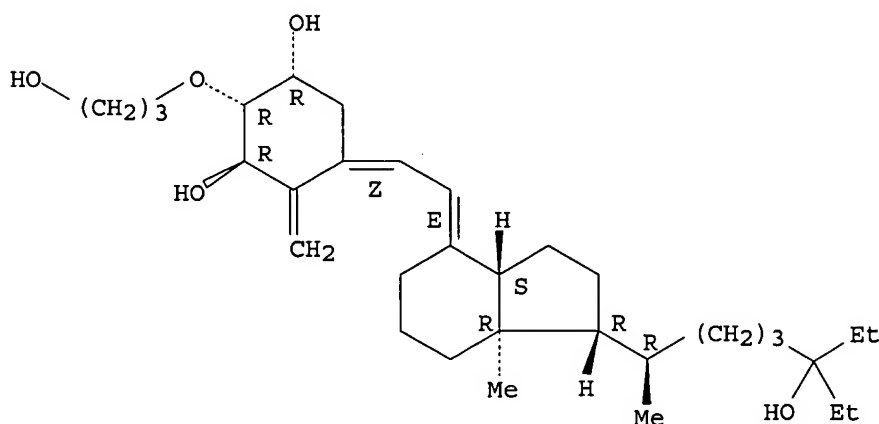
MF C32 H54 O5

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

Double bond geometry as shown.



## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:139508

REFERENCE 2: 125:196104

L15 ANSWER 11 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN

RN 159298-14-3 REGISTRY

ED Entered STN: 02 Dec 1994

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-[(5-hydroxypentyl)oxy]-, (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ )- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

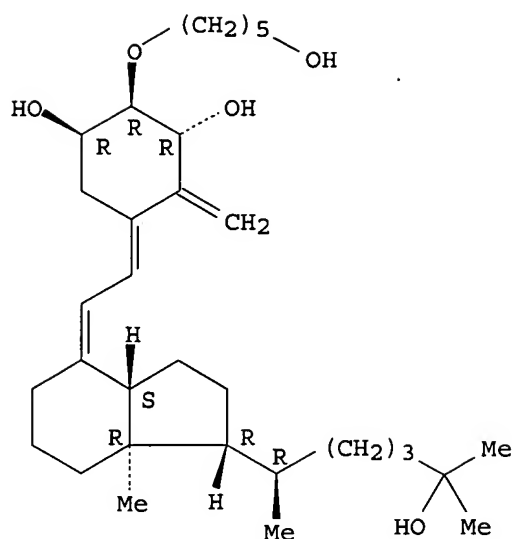
MF C32 H54 O5

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

Double bond geometry unknown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

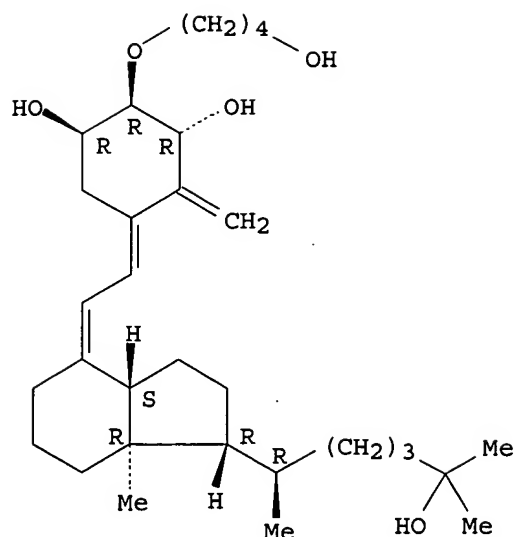
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 122:10366

L15 ANSWER 12 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 159298-12-1 REGISTRY  
ED Entered STN: 02 Dec 1994  
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(4-hydroxybutoxy)-,  
(1 $\alpha$ ,2 $\beta$ ,3 $\beta$ )-(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C31 H52 O5  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.  
Double bond geometry unknown.





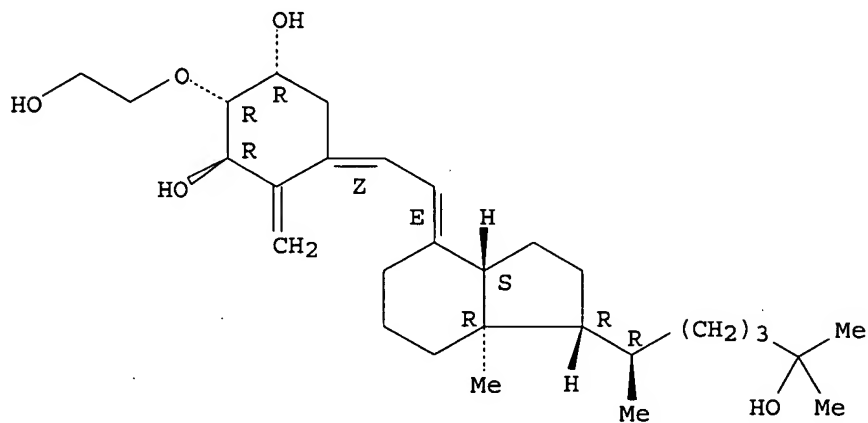
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 122:10366

L15 ANSWER 13 OF 13 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 119059-74-4 REGISTRY  
ED Entered STN: 17 Feb 1989  
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(2-hydroxyethoxy)-,  
(1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C29 H48 O5  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.  
Double bond geometry as shown.



jan delaval - 17 august 2005

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)  
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:216550

REFERENCE 2: 127:358987

REFERENCE 3: 110:82505

=> d his

(FILE 'HOME' ENTERED AT 07:54:22 ON 17 AUG 2005)  
 SET COST OFF

FILE 'HCAPLUS' ENTERED AT 07:54:34 ON 17 AUG 2005

L1 1 S (WO2003-JP9814 OR JP2002-224297)/AP,PRN  
 E SHIMAOKA/AU  
 L2 27 S E68,E73-E76  
 L3 40063 S (CHUGAI? OR SEIYAKU? OR KABUSHIKI? OR KAISHA?)/PA,CS

FILE 'REGISTRY' ENTERED AT 07:55:51 ON 17 AUG 2005

L4 1 S 104121-92-8  
 ACT QAZI658/A  
 -----  
 L5 STR  
 L6 8760 SEA FILE=REGISTRY SSS FUL L5  
 -----  
 L7 STR L5  
 L8 7 S L7 SAM SUB=L6  
 L9 107 S L7 FUL SUB=L6  
 SAV L9 QAZI522/A  
 L10 8 S L9 AND C30H5005  
 SEL RN 1 2 6 7 8  
 L11 5 S E1-E5  
 L12 102 S L9 NOT L11  
 L13 STR L7  
 L14 15 S L13 CSS FUL SUB=L12  
 SAV L14 QAZI522A/A  
 SAV L11 QAZI522B/A  
 L15 13 S L14 NOT T/ELS

FILE 'HCAOLD' ENTERED AT 08:01:35 ON 17 AUG 2005

L16 0 S L11 OR L15

FILE 'HCAPLUS' ENTERED AT 08:01:42 ON 17 AUG 2005

L17 82 S L11 OR L15  
 L18 78 S ED71 OR ED 71  
 L19 105 S L17,L18  
 L20 31 S L19 AND L1-L3  
 E PSORIASIS/CT  
 L21 84 S L19 AND (PD<=20020801 OR PRD<=20020801 OR AD<=20020801)  
 L22 27 S L20 AND L21  
 L23 2 S L21 AND ?PSORIA?  
 E PSORIA/CT  
 L24 2 S L21 AND E6-E9  
 L25 2 S L23,L24

E SKIN/CT  
L26 6 S L21 AND E3-E97  
E E3+ALL  
L27 6 S L21 AND E6+OLD,NT  
L28 6 S L21 AND E33+OLD,NT,PFT,RT  
L29 6 S L21 AND E34+OLD,NT,PFT,RT  
L30 8 S L21 AND E36+OLD,NT,PFT,RT  
L31 7 S L21 AND (E38+OLD,NT,PFT,RT OR E39+OLD,NT,PFT,RT)  
L32 7 S L21 AND E37+OLD,NT,PFT,RT  
L33 28 S L21 AND P/DT  
L34 12 S L33 AND US/PC,PRC,AC  
L35 17 S L23-L32,L34  
L36 8 S L22 AND L35  
L37 17 S L35,L36  
L38 19 S L22 NOT L37

FILE 'USPATFULL' ENTERED AT 08:10:54 ON 17 AUG 2005

L39 17 S L11 OR L15  
L40 70 S L18  
L41 80 S L39,L40  
L42 60 S L41 AND (PD<=20020801 OR PRD<=20020801 OR AD<=20020801)  
L43 17 S L42 AND L39  
L44 43 S L42 NOT L43  
L45 29 S L42 AND ?PSORIA?  
L46 17 S L42 AND PSORIA?/CT  
L47 6 S L45,L46 AND L39

FILE 'MEDLINE' ENTERED AT 08:12:57 ON 17 AUG 2005

L48 23 S L11 OR L15  
L49 35 S L18  
L50 28 S L48,L49 AND PY<=2002  
L51 0 S L50 AND ?PSORIA?  
E PSORIASIS/CT  
L52 0 S L50 AND E3+NT  
E E3+ALL  
L53 0 S L50 AND C17./CT  
L54 1 S L50 AND SKIN+NT/CT

FILE 'EMBASE' ENTERED AT 08:14:04 ON 17 AUG 2005

L55 40 S L11 OR L15  
L56 49 S L18  
L57 42 S L55,L56 AND PY<=2002  
L58 5 S L57 AND ?PSORIA?  
E PSORIASIS/CT  
L59 5 S L57 AND E3+NT  
L60 5 S L58,L59

FILE 'REGISTRY' ENTERED AT 08:15:22 ON 17 AUG 2005

=> fil embase

FILE 'EMBASE' ENTERED AT 08:15:45 ON 17 AUG 2005

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FILE COVERS 1974 TO 11 Aug 2005 (20050811/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d 160 all tot

L60 ANSWER 1 OF 5 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.  
on STN

AN 2001409638 EMBASE

TI Vitamin D analogs: Mechanism of action and therapeutic applications.

AU Nagpal S.; Lu J.; Boehm M.F.

CS S. Nagpal, Gene Reg. Bone/Inflammation Research, Eli Lilly and Company,  
Lilly Corporate Center, Indianapolis, IN-46285, United States

SO Current Medicinal Chemistry, (2001) Vol. 8, No. 13, pp. 1661-1679.

Refs: 193

ISSN: 0929-8673 CODEN: CMCHE7

CY Netherlands

DT Journal; Article

FS 003 Endocrinology

006 Internal Medicine

030 Pharmacology

037 Drug Literature Index

038 Adverse Reactions Titles

039 Pharmacy

LA English

SL English

ED Entered STN: 20011206

Last Updated on STN: 20011206

AB The physiological VDR ligand, 1 $\alpha$ ,25-dihydroxyvitamin D3, acts upon a wide variety of tissues and cells, both related to and unrelated to calcium and phosphate homeostasis. The noncalcemic actions of natural and synthetic VDR ligands are exemplified by their potent anti-proliferative, prodifferentiative and immunomodulatory activities. As a result, a VDR ligand is an approved drug for the topical treatment of **psoriasis**. A plethora of actions of 1 $\alpha$ ,25-dihydroxyvitamin D3 in various systems have suggested wide clinical applications of VDR ligands in such diverse disease states as inflammation (rheumatoid arthritis, **psoriatic arthritis**), dermatological indications (**psoriasis**, photoaging and skin rejuvenation), osteoporosis, cancers (breast, prostate, colon, leukemia and myelodysplastic syndrome) and autoimmune diseases (multiple sclerosis, type I diabetes and systemic lupus erythematosus). VDR ligands have shown therapeutic potential in limited human clinical trials as well as in animal models of these diseases. Some of the VDR ligands have shown not only potent preventive but also therapeutic anabolic activities in animal models of osteoporosis. However, the use of VDR in above mentioned indications as well as in oral therapy for **psoriasis** and even topical therapy for severe **psoriasis** is hampered by its associated toxicity, namely hypercalcemia. New VDR ligands have been synthesized which exhibit greater specificity by retaining desirable properties, but with reduced calcemic potential. The discovery of novel vitamin D3 analogs along with an increased understanding of the biological functions and mechanisms of action of VDR are likely to result in improved treatments for responsive indications.

CT Medical Descriptors:

\*drug mechanism

\*drug indication

physiology

calcium homeostasis

phosphate metabolism

cell proliferation

cell differentiation

immunomodulation

drug approval

psoriasis: DT, drug therapy  
inflammation: DT, drug therapy  
rheumatoid arthritis: DT, drug therapy  
psoriatic arthritis: DT, drug therapy  
skin disease: DT, drug therapy  
osteoporosis: DT, drug therapy  
breast cancer: DT, drug therapy  
prostate cancer: DT, drug therapy  
colon cancer: DT, drug therapy  
leukemia: DT, drug therapy  
myelodysplastic syndrome: DT, drug therapy  
autoimmune disease: DT, drug therapy  
multiple sclerosis: DT, drug therapy  
insulin dependent diabetes mellitus: DT, drug therapy  
systemic lupus erythematosus: DT, drug therapy  
preventive medicine  
drug activity  
animal model  
disease severity  
hypercalcemia: SI, side effect  
drug synthesis  
drug specificity  
treatment planning  
treatment indication  
drug structure  
skin irritation: SI, side effect  
drug formulation  
hyperphosphatemia: SI, side effect  
drug potentiation  
human  
nonhuman  
mouse  
rat  
human tissue  
human cell  
article  
Drug Descriptors:  
\*colecalciferol derivative: AE, adverse drug reaction  
\*colecalciferol derivative: AN, drug analysis  
\*colecalciferol derivative: CB, drug combination  
\*colecalciferol derivative: CM, drug comparison  
\*colecalciferol derivative: DV, drug development  
\*colecalciferol derivative: IT, drug interaction  
\*colecalciferol derivative: DT, drug therapy  
\*colecalciferol derivative: PD, pharmacology  
\*colecalciferol derivative: PO, oral drug administration  
\*colecalciferol derivative: TP, topical drug administration  
vitamin D receptor: EC, endogenous compound  
calcitriol: AE, adverse drug reaction  
calcitriol: AN, drug analysis  
calcitriol: CB, drug combination  
calcitriol: CM, drug comparison  
calcitriol: DV, drug development  
calcitriol: IT, drug interaction  
calcitriol: DT, drug therapy  
calcitriol: PD, pharmacology  
calcitriol: PO, oral drug administration  
calcitriol: TP, topical drug administration  
calcium: EC, endogenous compound  
phosphate: EC, endogenous compound

calcipotriol: AE, adverse drug reaction  
calcipotriol: AN, drug analysis  
calcipotriol: CM, drug comparison  
calcipotriol: DV, drug development  
calcipotriol: DT, drug therapy  
calcipotriol: PD, pharmacology  
calcipotriol: TP, topical drug administration  
secosteroid: AE, adverse drug reaction  
secosteroid: AN, drug analysis  
secosteroid: CM, drug comparison  
secosteroid: DV, drug development  
secosteroid: DT, drug therapy  
secosteroid: PD, pharmacology  
tacalcitol: AE, adverse drug reaction  
tacalcitol: DT, drug therapy  
tacalcitol: PR, pharmaceutics  
tacalcitol: PD, pharmacology  
tacalcitol: TP, topical drug administration  
22 oxacalcitriol: AE, adverse drug reaction  
22 oxacalcitriol: DT, drug therapy  
22 oxacalcitriol: PD, pharmacology  
22 oxacalcitriol: PO, oral drug administration  
25 hydroxyergocalciferol: CM, drug comparison  
25 hydroxyergocalciferol: DT, drug therapy  
25 hydroxyergocalciferol: PD, pharmacology  
paricalcitol: CM, drug comparison  
paricalcitol: DT, drug therapy  
paricalcitol: PD, pharmacology  
alfacalcidol: CM, drug comparison  
alfacalcidol: DT, drug therapy  
alfacalcidol: PD, pharmacology  
2beta (3 hydroxypropoxy)calcitriol: DT, drug therapy  
2beta (3 hydroxypropoxy)calcitriol: PD, pharmacology  
2beta (3 hydroxypropoxy)calcitriol: PO, oral drug administration  
taxol: CB, drug combination  
taxol: IT, drug interaction  
taxol: DT, drug therapy  
taxol: PD, pharmacology  
cisplatin: CB, drug combination  
cisplatin: IT, drug interaction  
cisplatin: DT, drug therapy  
cisplatin: PD, pharmacology  
tamoxifen: CB, drug combination  
tamoxifen: DT, drug therapy  
tamoxifen: PD, pharmacology  
doxorubicin: CB, drug combination  
doxorubicin: DT, drug therapy  
doxorubicin: PD, pharmacology  
CT Drug Descriptors:  
carboplatin: CB, drug combination  
carboplatin: IT, drug interaction  
carboplatin: DT, drug therapy  
carboplatin: PD, pharmacology  
docitaxel: CB, drug combination  
docitaxel: IT, drug interaction  
docitaxel: DT, drug therapy  
docitaxel: PD, pharmacology  
retinoid: CB, drug combination  
retinoid: IT, drug interaction  
retinoid: DT, drug therapy

retinoid: PK, pharmacokinetics  
 retinoid: PD, pharmacology  
 steroid: CB, drug combination  
 steroid: IT, drug interaction  
 steroid: DT, drug therapy  
 steroid: PD, pharmacology  
 unclassified drug

ks 176  
 ks 291  
 cd 483  
 sl 137  
 wu 422  
 zg 1368  
 zg 1423  
 cy 616  
 lg 190119  
 lg 190155  
 lg 190178  
 du 145  
 ro 63 2023

RN (calcitriol) 32222-06-3, 32511-63-0, 66772-14-3; (calcium) 7440-70-2; (phosphate) 14066-19-4, 14265-44-2; (calcipotriol) 112828-00-9, 112965-21-6; (tacalcitol) 60965-80-2; (22 oxacalcitriol) 103909-75-7; (25 hydroxyergocalciferol) 21343-40-8; (paricalcitol) 131918-61-1; (alfacalcidol) 41294-56-8; (2beta (3 hydroxypropoxy)calcitriol) 104121-92-8; (taxol) 33069-62-4; (cisplatin) 15663-27-1, 26035-31-4, 96081-74-2; (tamoxifen) 10540-29-1; (doxorubicin) 23214-92-8, 25316-40-9; (carboplatin) 41575-94-4

CN Ks 176; Ks 291; Cd 483; Sl 137; Wu 422; Zg 1368; Zg 1423; Cy 616; Lg 190119; Lg 190155; Lg 190178; Dovonex; Mc 903; Calcipotriene; Daivonex; Maxacalcitol; Zemplar; Ed 71; Du 145; Ro 63 2023

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AN 2001409485 EMBASE

TI The practical synthesis of vitamin D analogs: A challenge for process research.

AU Kabat M.M.; Radinov R.

CS R. Radinov, Hoffmann-La Roche Inc, Chem. Synthesis - Process Research, Pre-Clin. Research and Development, Nutley, NJ 07110, United States.  
 roumen.radinov@roche.com

SO Current Opinion in Drug Discovery and Development, (2001) Vol. 4, No. 6, pp. 808-833.

Refs: 49

ISSN: 1367-6733 CODEN: CODDF

CY United Kingdom

DT Journal; General Review

FS 037 Drug Literature Index

LA English

SL English

ED Entered STN: 20011206

Last Updated on STN: 20011206

AB New, highly-potent vitamin D analogs have increasingly come under consideration for the treatment of a variety of diseases as diverse as psoriasis, diabetes, renal osteodystrophy, osteoporosis, leukemia, cancer (breast, colon, prostate), AIDS and multiple sclerosis. This review will present recent efforts for the development of practical syntheses of these valuable compounds using the synthetically convergent Lythgoe approach.

CT Medical Descriptors:

\*drug synthesis  
drug research  
process control  
drug indication  
  psoriasis: DT, drug therapy  
diabetes mellitus: DT, drug therapy  
renal osteodystrophy: DT, drug therapy  
osteoporosis: DT, drug therapy  
leukemia: DT, drug therapy  
breast cancer: DT, drug therapy  
colon cancer: DT, drug therapy  
prostate cancer: DT, drug therapy  
acquired immune deficiency syndrome: DT, drug therapy  
multiple sclerosis: DT, drug therapy  
drug structure  
hyperparathyroidism: DT, drug therapy  
review  
Drug Descriptors:  
\*vitamin D derivative: AN, drug analysis  
\*vitamin D derivative: DV, drug development  
\*vitamin D derivative: DT, drug therapy  
9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol: AN, drug analysis  
9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol: DV, drug development  
9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol: DT, drug therapy  
ro 26 9228: AN, drug analysis  
ro 26 9228: DV, drug development  
ro 26 9228: DT, drug therapy  
ro 25 6760: AN, drug analysis  
ro 25 6760: DV, drug development  
ro 26 2198: AN, drug analysis  
ro 26 2198: DV, drug development  
ro 25 9022: AN, drug analysis  
ro 25 9022: DV, drug development  
calcitriol: AN, drug analysis  
calcitriol: DT, drug therapy  
alfacalcidol: AN, drug analysis  
alfacalcidol: DT, drug therapy  
calcifediol: AN, drug analysis  
calcifediol: DT, drug therapy  
tacalcitol: AN, drug analysis  
tacalcitol: DT, drug therapy  
paricalcitol: AN, drug analysis  
paricalcitol: DT, drug therapy  
doxercalciferol: AN, drug analysis  
doxercalciferol: DT, drug therapy  
seocalcitol: AN, drug analysis  
seocalcitol: DV, drug development  
seocalcitol: DT, drug therapy  
22 oxacalcitriol: AN, drug analysis  
22 oxacalcitriol: DV, drug development  
22 oxacalcitriol: DT, drug therapy  
falecalcitriol: AN, drug analysis  
falecalcitriol: DV, drug development  
falecalcitriol: DT, drug therapy  
2beta (3 hydroxypropoxy)calcitriol: AN, drug analysis  
2beta (3 hydroxypropoxy)calcitriol: DV, drug development  
2beta (3 hydroxypropoxy)calcitriol: DT, drug therapy



calcipotriol: AN, drug analysis  
 calcipotriol: DT, drug therapy  
 carvone  
 colecalciferol  
 unclassified drug  
 ilx 23 7553  
 dovanex  
 hectoral

- RN (9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol) 118694-43-2;  
 (calcitriol) 32222-06-3, 32511-63-0, 66772-14-3; (alfacalcidol)  
 41294-56-8; (calcifediol) 19356-17-3; (tacalcitol) 60965-80-2;  
 (paricalcitol) 131918-61-1; (doxercalciferol) 54573-75-0; (seocalcitol)  
 134404-52-7; (22 oxacalcitriol) 103909-75-7; (falecalcitriol) 83805-11-2;  
 (2beta (3 hydroxypropoxy)calcitriol) 104121-92-8; (calcipotriol)  
 112828-00-9, 112965-21-6; (carvone) 99-49-0; (colecalciferol) 1406-16-2,  
 67-97-0
- CN (1) Ro 23 7553; (2) Ro 26 9228; (3) Ilx 23 7553; (4) Ro 25 6760; (5) Ro 25  
 9022; (6) Ro 26 2198; (7) Rocaltrol; (8) One alpha; (9) Calderol; (10)  
 Dovanex; (11) Bonalfa; (12) Zemplar; (13) Hectoral; (14) Maxacalcitol;  
 (15) Ed 71
- CO (3) Ilex Oncology; (7) Hoffmann La Roche; (9) Organon; (10) Leo  
 Pharmaceuticals; (11) Terijin fujisawa; (12) Abbott; (13) Bone Care; (15)  
 Chugai; Taisho sumitomo

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AN 2001386412 EMBASE

TI Therapeutic uses of vitamin D analogues.

AU Brown A.J.

CS Dr. A.J. Brown, Renal Division, Washington Univ. School of Medicine, St  
 Louis, MO 63110, United States. abrown@imgate.wustl.edu

SO American Journal of Kidney Diseases, (2001) Vol. 38, No. 5 SUPPL. 5, pp.  
 S3-S19.

Refs: 139

ISSN: 0272-6386 CODEN: AJKDDP

CY United States

DT Journal; Article

FS 003 Endocrinology

006 Internal Medicine

030 Pharmacology

037 Drug Literature Index

038 Adverse Reactions Titles

LA English

SL English

ED Entered STN: 20011115

Last Updated on STN: 20011115

AB The vitamin D endocrine system has been implicated in numerous biological  
 activities throughout the body. The breadth and magnitude of vitamin D  
 activity suggest potential therapeutic applications for the treatment of  
 several diseases and disorders, including hyperproliferative diseases,  
 immune dysfunction, endocrine disorders, and metabolic bone diseases.  
 However, therapy using natural vitamin D hormone, 1,25-dihydroxyvitamin  
 D(3) (1,25[OH](2)D(3)) has been precluded in most cases because of the  
 potent calcemic activity shown by this hormone. Newly developed vitamin D  
 analogues with lower calcemic activity have been shown to retain many  
 therapeutic properties of 1,25(OH)(2)D(3). Molecular studies discussed in  
 this article provide insights into the unique target cell specificity  
 afforded by these analogues. In particular, the importance of the nuclear  
 vitamin D receptor (VDR), serum vitamin D-binding protein, 24-hydroxylase,  
 and membrane receptor is noted because analogue selectivity, specificity,

and potency are afforded through their molecular interactions. The nuclear VDR has been isolated from a variety of target cells and tissues, suggesting that vitamin D compounds may have therapeutic potential throughout several body systems. Five vitamin D analogues have been approved for use in patients: calcipotriol (Dovonex; Leo Pharmaceuticals, Copenhagen, Denmark) for the treatment of **psoriasis**, 19-nor-1,25(OH)(2)D(2) (Zemplar; Abbott Laboratories, Abbott Park, IL) for secondary hyperparathyroidism, doxercalciferol (Hectorol; Bone Care Int, Madison, WI) for reduction of elevated parathyroid hormone levels, 22-oxacalcitriol (Maxacalcitol; Chugai Pharmaceuticals, Tokyo, Japan), and alfacalcidol. Several other analogues are currently being tested in preclinical and clinical trials for the treatment of various types of cancer and osteoporosis, as well as immunosuppression. Understanding how analogues exert their selective actions may allow for the design of more effective and safer vitamin D compounds for the treatment of a wide range of clinical disorders. .COPYRGT. 2001 by the National Kidney Foundation, Inc.

CT Medical Descriptors:

secondary hyperparathyroidism: DT, drug therapy

**psoriasis: DT, drug therapy**

drug selectivity

drug specificity

drug potency

drug mechanism

treatment indication

hypercalcemia: SI, side effect

drug structure

drug protein binding

cancer

immunopathology

osteoporosis: DT, drug therapy

osteoarthritis: DT, drug therapy

human

nonhuman

article

Drug Descriptors:

\*vitamin D derivative: AE, adverse drug reaction

\*vitamin D derivative: AN, drug analysis

\*vitamin D derivative: DT, drug therapy

\*vitamin D derivative: PD, pharmacology

calcitriol: AE, adverse drug reaction

vitamin D receptor: EC, endogenous compound

vitamin D binding protein: EC, endogenous compound

oxygenase: EC, endogenous compound

membrane receptor: EC, endogenous compound

calcipotriol: AN, drug analysis

calcipotriol: DT, drug therapy

calcipotriol: PD, pharmacology

paricalcitol: AN, drug analysis

paricalcitol: DT, drug therapy

paricalcitol: PD, pharmacology

doxercalciferol: AN, drug analysis

doxercalciferol: DT, drug therapy

doxercalciferol: PD, pharmacology

22 oxacalcitriol: AN, drug analysis

22 oxacalcitriol: DT, drug therapy

22 oxacalcitriol: PD, pharmacology

alfacalcidol: AN, drug analysis

alfacalcidol: DT, drug therapy

alfacalcidol: PD, pharmacology

parathyroid hormone: EC, endogenous compound  
 seocalcitol: AN, drug analysis  
 seocalcitol: DT, drug therapy  
 lexacalcitol: AN, drug analysis  
 20 epicalcetriol: AN, drug analysis  
 20 epicalcetriol: DT, drug therapy  
 2beta (3 hydroxypropoxy)calcitriol: AN, drug analysis  
 2beta (3 hydroxypropoxy)calcitriol: DT, drug therapy  
 tacalcitol: DT, drug therapy

RN (calcitriol) 32222-06-3, 32511-63-0, 66772-14-3; (oxygenase) 9037-29-0, 9046-59-7; (calcipotriol) 112828-00-9, 112965-21-6; (paricalcitol) 131918-61-1; (doxercalciferol) 54573-75-0; (22 oxacalcitriol) 103909-75-7; (alfacalcidol) 41294-56-8; (parathyroid hormone) 12584-96-2, 68893-82-3, 9002-64-6; (seocalcitol) 134404-52-7; (lexacalcitol) 131875-08-6, 138876-52-5; (20 epicalcetriol) 134523-84-5; (2beta (3 hydroxypropoxy)calcitriol) 104121-92-8; (tacalcitol) 60965-80-2  
 CN (1) Ed 71; (2) Mc 1288; (3) Kh 1060; (4) Eb 1089; (5) Dovonex; (6) Zemplar; (7) Hectorol; (8) Maxacalcitol  
 CO (5) Leo (Denmark); (6) Abbott (United States); (7) Bone Care (United States); (8) Chugai (Japan)

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AN 2001059399 EMBASE

TI History of the development of new vitamin D analogs: Studies on 22-oxacalcitriol (OCT) and 2β-(3-hydroxypropoxy)calcitriol (ED-71).

AU Nishii Y.; Okano T.

CS Y. Nishii, Medical Culture Inc., Toshima-ku, Tokyo, Japan.  
 Nishiiysh-mc@chugai-pharm.co.jp

SO Steroids, (1 May 2001) Vol. 66, No. 3-5, pp. 137-146.  
 Refs: 47

ISSN: 0039-128X CODEN: STEDAM

PUI S 0039-128X(00)00227-0

CY United States

DT Journal; Conference Article

FS 013 Dermatology and Venereology  
 029 Clinical Biochemistry  
 030 Pharmacology  
 033 Orthopedic Surgery  
 037 Drug Literature Index  
 038 Adverse Reactions Titles

LA English

SL English

ED Entered STN: 20010301

Last Updated on STN: 20010301

AB In 1981 Suda and his colleagues first reported the new activity of calcitriol namely its ability to differentiate the myeloid leukemia cells into normal monocytes-macrophages. However, the possibility of using calcitriol as an antileukemic drug was not feasible because of its potent calcemic effects. Based on these observations, several pharmaceutical companies initiated the synthesis of vitamin D analogs with the aim to separate the calcemic actions of calcitriol from its actions on regulating the cell growth and differentiation. As a result, numerous noncalcemic analogs with a potential for the treatment of leukemia and other cancers were synthesized. The group at Chugai introduced two characteristic analogs of opposite type namely, 22-oxacalcitriol (OCT) and 2β-(3-hydroxypropoxy)calcitriol (ED-71) which have been shown to have therapeutic value and are already being used clinically. The work on OCT and ED-71 together with

the work on calcipotriol and KH-1060 by Leo Laboratories, and 1 $\alpha$ ,25(OH)(2)-16-ene-23-yne-D(3) by Hoffmann-La Roche, vigorously stimulated research world-wide in the development of vitamin D analogs into pharmaceutical products. More recently new impressive vitamin D analogs such as 3-epi analogs, 19-nor analogs, 18-nor analogs, 2-methyl-20-epi-calcitriol, non-steroidal vitamin D analogs are being developed. The authors are convinced that various vitamin D analogs will become highly effective therapeutic agents at the clinical level in the new century, and also that a new theory on the mechanism of vitamin D action will be generated. Copyright .COPYRG. 2001 Elsevier Science Inc.

CT Medical Descriptors:

history of medicine  
osteoporosis: DT, drug therapy  
**psoriasis: DT, drug therapy**  
myeloid leukemia: DT, drug therapy  
leukemia cell line  
cell differentiation  
monocyte  
macrophage  
hypercalcemia: SI, side effect  
drug synthesis  
cell growth  
research  
human  
nonhuman  
conference paper

Drug Descriptors:

\*vitamin D derivative: PD, pharmacology  
\*vitamin D derivative: DV, drug development  
\*22 oxacalcitriol: PD, pharmacology  
\*22 oxacalcitriol: PK, pharmacokinetics  
\*22 oxacalcitriol: DV, drug development  
\*2beta (3 hydroxypropoxy)calcitriol: PD, pharmacology  
\*2beta (3 hydroxypropoxy)calcitriol: PK, pharmacokinetics  
\*2beta (3 hydroxypropoxy)calcitriol: DV, drug development  
calcitriol: PD, pharmacology  
calcitriol: PK, pharmacokinetics  
calcitriol: DT, drug therapy  
calcitriol: AE, adverse drug reaction  
antileukemic agent: PD, pharmacology  
antileukemic agent: DT, drug therapy  
antileukemic agent: AE, adverse drug reaction  
calcipotriol: PD, pharmacology  
calcipotriol: DV, drug development  
lexacalcitol: PD, pharmacology  
lexacalcitol: DV, drug development  
alfacalcidol: PD, pharmacology  
alfacalcidol: DT, drug therapy  
alfacalcidol: DV, drug development  
1alpha,25 dihydroxy 16 ene 23 yne vitamin d3: PD, pharmacology  
1alpha,25 dihydroxy 16 ene 23 yne vitamin d3: DV, drug development  
unclassified drug

RN (22 oxacalcitriol) 103909-75-7; (2beta (3 hydroxypropoxy)calcitriol) 104121-92-8; (calcitriol) 32222-06-3, 32511-63-0, 66772-14-3; (calcipotriol) 112828-00-9, 112965-21-6; (lexacalcitol) 131875-08-6, 138876-52-5; (alfacalcidol) 41294-56-8

CN (1) Ed 71; (2) Kh 1060; Mc 903

CO (1) Chugai; (2) Leo; Hoffmann La Roche

L60 ANSWER 5 OF 5 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.

on STN  
AN 94008360 EMBASE  
DN 1994008360  
TI A dialogue on analogues: Newer vitamin-D drugs for use in bone disease,  
**psoriasis**, and cancer.  
AU Jones G.; Calverley M.J.  
CS Department of Biochemistry, Queen's University, Kingston, Ont. K7L 3N6,  
Canada  
SO Trends in Endocrinology and Metabolism, (1993) Vol. 4, No. 9, pp. 297-303.  
ISSN: 1043-2760 CODEN: TENME4  
CY United States  
DT Journal; General Review  
FS 003 Endocrinology  
013 Dermatology and Venereology  
029 Clinical Biochemistry  
030 Pharmacology  
037 Drug Literature Index  
LA English  
SL English  
ED Entered STN: 940130  
Last Updated on STN: 940130  
AB Many new analogues of the vitamin-D hormone, 1 $\alpha$ ,25-dihydroxy-vitamin  
D3 [1 $\alpha$ ,25-(OH)2D3; calcitriol], have emerged that can mimic its  
various actions in classic calcium transport systems and/or in the  
regulation of cell proliferation and cell differentiation. Though some of  
these analogues have accentuated activity in cell differentiation assays  
in vitro, they lack appreciable 'calcemic' activity in vivo, leading to  
the name 'noncalcemic analogues.' Several of these analogues are promising  
candidates for use in treatment of **psoriasis** and in tumor  
suppression, one of them, calcipotriol, being already widely approved for  
the former indication. New generations of calcemic analogues with altered  
pharmacokinetics are appearing for use in secondary hyperparathyroidism  
and osteoporosis. We believe that the selective properties of both types  
of analogues stem from altered receptor binding, blood protein binding,  
and rate of catabolism.  
CT Medical Descriptors:  
\*cancer: DT, drug therapy  
\*osteoporosis: DT, drug therapy  
\***psoriasis**: DT, drug therapy  
\*secondary hyperparathyroidism: DT, drug therapy  
\*secondary hyperparathyroidism: DI, diagnosis  
calcium transport  
cell differentiation  
cell proliferation  
dose response  
drug half life  
drug metabolism  
drug potency  
drug potentiation  
gene expression  
genetic transcription  
human  
hypocalcemia: DT, drug therapy  
nonhuman  
priority journal  
protein binding  
receptor binding  
review  
Drug Descriptors:  
vitamin receptor

\*22 oxacalcitriol: PK, pharmacokinetics  
 \*22 oxacalcitriol: AD, drug administration  
 \*22 oxacalcitriol: AN, drug analysis  
 \*22 oxacalcitriol: IT, drug interaction  
 \*22 oxacalcitriol: DT, drug therapy  
 \*22 oxacalcitriol: PD, pharmacology  
 \*falecalcitriol: AN, drug analysis  
 \*falecalcitriol: AD, drug administration  
 \*falecalcitriol: PD, pharmacology  
 \*falecalcitriol: DT, drug therapy  
 \*falecalcitriol: CM, drug comparison  
 \*2beta (3 hydroxypropoxy)calcitriol: PD, pharmacology  
 \*2beta (3 hydroxypropoxy)calcitriol: DT, drug therapy  
 \*2beta (3 hydroxypropoxy)calcitriol: AN, drug analysis  
 \*2beta (3 hydroxypropoxy)calcitriol: AD, drug administration  
 \*9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol: DT, drug therapy  
 \*9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol: AN, drug analysis  
 \*9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol: AD, drug administration  
 \*9,10 secocholesta 5,7,10(19),16 tetraen 23 yne 1,3,25 triol: PD, pharmacology  
 \*calcipotriol: PD, pharmacology  
 \*calcipotriol: AN, drug analysis  
 \*calcipotriol: AD, drug administration  
 \*calcipotriol: DT, drug therapy  
 \*calcipotriol: DO, drug dose  
 \*calcipotriol: PK, pharmacokinetics  
 \*calcitriol: PD, pharmacology  
 \*calcitriol: DT, drug therapy  
 \*calcitriol: CM, drug comparison  
 \*calcitriol: AN, drug analysis  
 \*calcitriol: AD, drug administration  
 \*vitamin d derivative: PK, pharmacokinetics  
 \*vitamin d derivative: AD, drug administration  
 \*vitamin d derivative: AN, drug analysis  
 \*vitamin d derivative: DO, drug dose  
 \*vitamin d derivative: DT, drug therapy  
 \*vitamin d derivative: PD, pharmacology  
 1alpha hydroxyergocalciferol: AD, drug administration  
 1alpha hydroxyergocalciferol: PD, pharmacology  
 1alpha hydroxyergocalciferol: DT, drug therapy  
 1alpha hydroxyergocalciferol: AN, drug analysis  
 bone mineral: EC, endogenous compound  
 calbindin: EC, endogenous compound  
 calcium: EC, endogenous compound  
 collagen type 1: EC, endogenous compound  
 dihydrotachysterol  
 24,26,27 trihomo 9,10 secocholesta 5,7,10(19),22,24 pentaene  
 1alpha,3beta,25 triol: PD, pharmacology  
 24,26,27 trihomo 9,10 secocholesta 5,7,10(19),22,24 pentaene  
 1alpha,3beta,25 triol: AD, drug administration  
 24,26,27 trihomo 9,10 secocholesta 5,7,10(19),22,24 pentaene  
 1alpha,3beta,25 triol: AN, drug analysis  
 24,26,27 trihomo 9,10 secocholesta 5,7,10(19),22,24 pentaene  
 1alpha,3beta,25 triol: DT, drug therapy  
 glucuronic acid  
 low density lipoprotein: EC, endogenous compound  
 osteocalcin: EC, endogenous compound

osteopontin: EC, endogenous compound  
 parathyroid hormone: EC, endogenous compound  
 phospholipase: EC, endogenous compound  
 tacalcitol: DT, drug therapy  
 tacalcitol: AN, drug analysis  
 tacalcitol: AD, drug administration  
 tacalcitol: PD, pharmacology  
 tamoxifen: IT, drug interaction  
 vitamin d binding protein: EC, endogenous compound  
 RN (22 oxacalcitriol) 103909-75-7; (falecalcitriol) 83805-11-2; (2beta (3  
 hydroxypropoxy)calcitriol) 104121-92-8; (9,10 secocholesta  
 5,7,10(19),16 tetraen 23 yne 1,3,25 triol) 118694-43-2; (calcipotriol)  
 112828-00-9, 112965-21-6; (calcitriol) 32222-06-3, 32511-63-0, 66772-14-3;  
 (1alpha hydroxyergocalciferol) 54573-75-0; (calcium) 7440-70-2;  
 (dihydrotachysterol) 67-96-9; (24,26,27 trihomo 9,10 secocholesta  
 5,7,10(19),22,24 pentaene 1alpha,3beta,25 triol) 134404-52-7; (glucuronic  
 acid) 36116-79-7, 576-37-4, 6556-12-3; (osteocalcin) 136461-80-8;  
 (osteopontin) 106441-73-0; (parathyroid hormone) 12584-96-2, 68893-82-3,  
 9002-64-6; (phospholipase) 9013-93-8; (tacalcitol) 60965-80-2; (tamoxifen)  
 10540-29-1  
 CN (1) St 630; (2) St 630; (3) Eb 1089; (4) Tv 02; (5) Mc 903; (6) Ro 23  
 7553; (7) Ed 71  
 CO (1) Sumitomo; (2) Taisho; (4) Teijin; (5) Leo; (6) Hoffmann la roche; (7)  
 Chugai; Bone care; Duphar

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FILE 'USPATFULL' ENTERED AT 08:15:55 ON 17 AUG 2005

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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 16 Aug 2005 (20050816/PD)

FILE LAST UPDATED: 17 Aug 2005 (20050817/ED)

HIGHEST GRANTED PATENT NUMBER: US6931661

HIGHEST APPLICATION PUBLICATION NUMBER: US2005177917

CA INDEXING IS CURRENT THROUGH 17 Aug 2005 (20050817/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 16 Aug 2005 (20050816/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2005

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2005

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>>> applications.  USPAT2 contains full text of the latest US          <<<
>>> publications, starting in 2001, for the inventions covered in      <<<
>>> USPATFULL.  A USPATFULL record contains not only the original      <<<
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>>> publications.  The publication number, patent kind code, and        <<<
>>> publication date for all the US publications for an invention       <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL    <<<
>>> records and may be searched in standard search fields, e.g., /PN,  <<<
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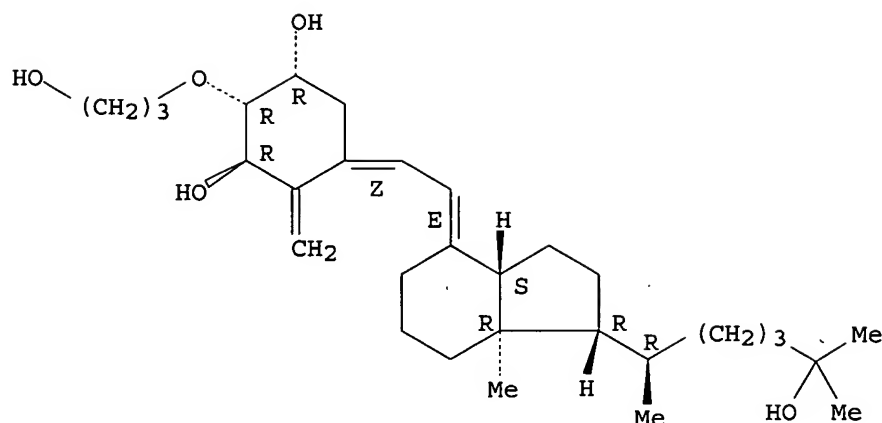
This file contains CAS Registry Numbers for easy and accurate

substance identification.

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L47 ANSWER 1 OF 6 USPATFULL on STN  
 AN 2005:190123 USPATFULL  
 TI Fluorinated 4-azasteroid derivatives as androgen receptor modulators  
 IN Meissner, Robert S., Schwenksville, PA, UNITED STATES  
 Perkins, James J., Churchville, PA, UNITED STATES  
 PI US 2005165039 A1 20050728  
 AI US 2003-507239 A1 20030307 (10)  
 WO 2003-US8277 20030307  
 PRAI US 2003-363822P 20020313 (60) <--  
 DT Utility  
 FS APPLICATION  
 LREP MERCK AND CO., INC, P O BOX 2000, RAHWAY, NJ, 07065-0907, US  
 CLMN Number of Claims: 41  
 ECL Exemplary Claim: 1  
 DRWN No Drawings  
 LN.CNT 2812  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 AB Compounds of structural formula I are modulators of the androgen receptor (AR) in a tissue selective manner. They are useful as agonists of the androgen receptor in bone and/or muscle tissue while antagonizing the AR in the prostate of a male patient or in the uterus of a female patient. These compounds are therefore useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, cancer cachexia, muscular dystrophies, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents.  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 IT 104121-92-8, ED71  
 (bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)  
 RN 104121-92-8 USPATFULL  
 CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E) - (9CI) (CA INDEX NAME)  
 Absolute stereochemistry. Rotation (+).  
 Double bond geometry as shown.





L47 ANSWER 2 OF 6 USPATFULL on STN  
 AN 2003:181476 USPATFULL  
 TI Cyclic ether vitamin D3 compounds, 1 $\alpha$ (OH) 3-epi- vitamin D3 compounds and uses thereof  
 IN Reddy, Satayanarayana G., Barrington, RI, UNITED STATES  
 PA Women and Infants Hospital (U.S. corporation)  
 PI US 2003125309 A1 20030703  
 AI US 2002-188320 A1 20020701 (10) <--  
 RLI Continuation of Ser. No. US 2000-617881, filed on 17 Jul 2000, GRANTED, Pat. No. US 6479538 Division of Ser. No. US 1998-79942, filed on 15 May 1998, GRANTED, Pat. No. US 6100294  
 PRAI US 1997-46690P 19970516 (60) <--  
 DT Utility  
 FS APPLICATION  
 LREP LAHIVE & COCKFIELD, 28 STATE STREET, BOSTON, MA, 02109  
 CLMN Number of Claims: 17  
 ECL Exemplary Claim: 1  
 DRWN 36 Drawing Page(s)  
 LN.CNT 2295  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 AB Novel cyclic ether vitamin D3 compounds having a cyclic ether side chain are disclosed. These compounds were first identified as metabolites of 3-epi vitamin D3 produced via a tissue-specific metabolic pathway which catalyzes the formation of a cyclic ether structure. Also disclosed are 1 $\alpha$ (OH) 3-epi vitamin D3 compounds, which are produced via the epimerization of a 3- $\beta$ -hydroxyl group of 1 $\alpha$ (OH) vitamin D3 precursor in vivo. The vitamin D3 compounds of the present invention can be used as substitutes for natural and synthetic vitamin D3 compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

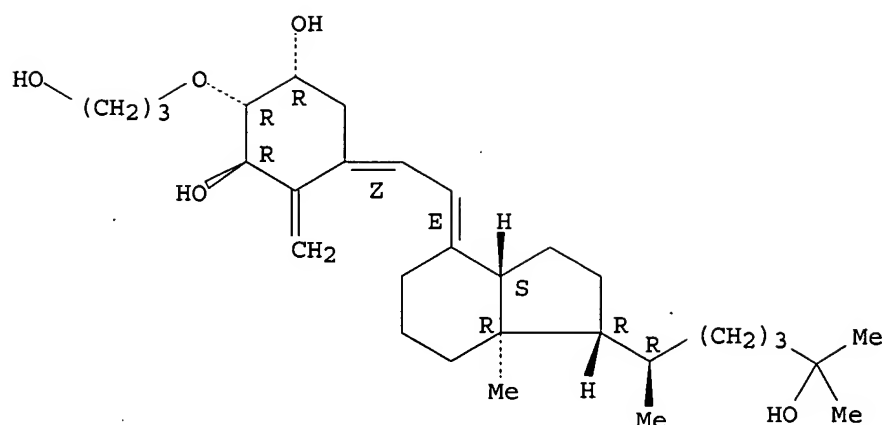
IT 104121-92-8

(therapeutic activity of cyclic ether vitamin D3 compds.,)

RN 104121-92-8 USPATFULL

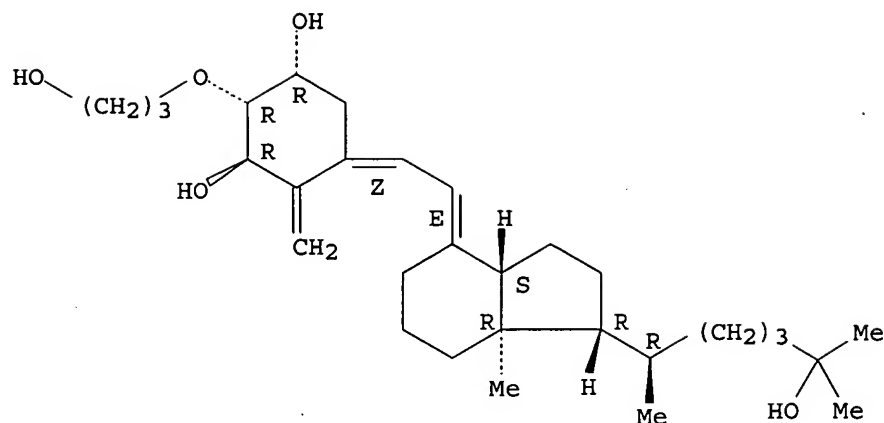
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).  
 Double bond geometry as shown.

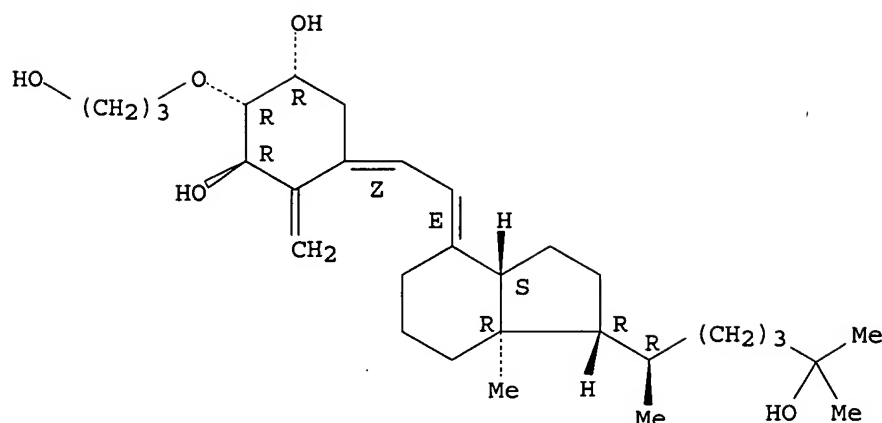


L47 ANSWER 3 OF 6 USPATFULL on STN  
 AN 2002:297620 USPATFULL  
 TI Cyclic ether vitamin D3 compounds, 1 $\alpha$ (OH)3-EPI-vitamin D3 compounds and uses thereof  
 IN Reddy, Satayanarayana G., Barrington, RI, United States  
 PA Women and Infants Hospital, Providence, RI, United States (U.S. corporation)  
 PI US 6479538 B1 20021112  
 AI US 2000-617881 20000717 (9) <--  
 RLI Division of Ser. No. US 1998-79942, filed on 15 May 1998, now patented, Pat. No. US 6100294  
 PRAI US 1997-46690P 19970516 (60) <--  
 DT Utility  
 FS GRANTED  
 EXNAM Primary Examiner: Solola, T. A.  
 LREP Lahive & Cockfield, LLP, Lauro, Esq., Peter C., Hanley, Esq., Elizabeth A.  
 CLMN Number of Claims: 9  
 ECL Exemplary Claim: 1  
 DRWN 40 Drawing Figure(s); 36 Drawing Page(s)  
 LN.CNT 2349  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 AB Novel cyclic ether vitamin D3 compounds having a cyclic ether side chain are disclosed. These compounds were first identified as metabolites of 3-epi vitamin D3 produced via a tissue-specific metabolic pathway which catalyzes the formation of a cyclic ether structure. Also disclosed are 1 $\alpha$ (OH) 3-epi vitamin D3 compounds, which are produced via the epimerization of a 3- $\beta$ -hydroxyl group of 1 $\alpha$ (OH) vitamin D3 precursor in vivo. The vitamin D3 compounds of the present invention can be used as substitutes for natural and synthetic vitamin D3 compounds.  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 IT 104121-92-8  
 (therapeutic activity of cyclic ether vitamin D3 compds.,)  
 RN 104121-92-8 USPATFULL  
 CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).  
 Double bond geometry as shown.



L47 ANSWER 4 OF 6 USPATFULL on STN  
 AN 2000:125089 USPATFULL  
 TI Cyclic ether vitamin D3 compounds and uses thereof  
 IN Reddy, Satayanarayana G., Barrington, RI, United States  
 PA Woman and Infants Hospital, Providence, RI, United States (U.S. corporation)  
 PI US 6121312 20000919 <--  
 AI US 1999-410223 19990930 (9) <--  
 RLI Division of Ser. No. US 1998-79942, filed on 15 May 1998  
 PRAI US 1997-46690P 19970516 (60) <--  
 DT Utility  
 FS Granted  
 EXNAM Primary Examiner: McKane, Joseph; Assistant Examiner: Solola, Taofiq A.  
 LREP Lahive & Cockfield, LLP, Hanley, Esq., Elizabeth A., Lauro, Esq., Peter C.  
 CLMN Number of Claims: 20  
 ECL Exemplary Claim: 1  
 DRWN 11 Drawing Figure(s); 36 Drawing Page(s)  
 LN.CNT 2438  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 AB Novel cyclic ether vitamin D3 compounds having a cyclic ether side chain are disclosed. These compounds were first identified as metabolites of 3-epi vitamin D3 produced via a tissue-specific metabolic pathway which catalyzes the formation of a cyclic ether structure. Also disclosed are 1 $\alpha$ (OH) 3-epi vitamin D3 compounds, which are produced via the epimerization of a 3- $\beta$ -hydroxyl group of 1 $\alpha$ (OH) vitamin D3 precursor in vivo. The vitamin D3 compounds of the present invention can be used as substitutes for natural and synthetic vitamin D3 compounds.  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 IT 104121-92-8  
 (therapeutic activity of cyclic ether vitamin D3 compds.,)  
 RN 104121-92-8 USPATFULL  
 CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E) - (9CI) (CA INDEX NAME)  
 Absolute stereochemistry. Rotation (+).  
 Double bond geometry as shown.



L47 ANSWER 5 OF 6 USPATFULL on STN  
 AN 2000:102327 USPATFULL  
 TI Cyclic ether vitamin D3 compounds, 1 $\alpha$ (OH) 3-epi-vitamin D3 compounds and uses thereof  
 IN Reddy, Satayanarayana G., Barrington, RI, United States  
 PA Women and Infants Hospital, Providence, RI, United States (U.S. corporation)  
 PI US 6100294 20000808 <--  
 AI US 1998-79942 19980515 (9) <--  
 PRAI US 1997-46690P 19970516 (60) <--  
 DT Utility  
 FS Granted  
 EXNAM Primary Examiner: Richter, Johann; Assistant Examiner: Solola, Taofiq A.  
 LREP Lahive & Cockfield, LLP  
 CLMN Number of Claims: 23  
 ECL Exemplary Claim: 1  
 DRWN 7 Drawing Figure(s); 36 Drawing Page(s)  
 LN.CNT 2551  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 AB Novel cyclic ether vitamin D3 compounds having a cyclic ether side chain are disclosed. These compounds were first identified as metabolites of 3-epi vitamin D3 produced via a tissue-specific metabolic pathway which catalyzes the formation of a cyclic ether structure. Also disclosed are 1 $\alpha$ (OH) 3-epi vitamin D3 compounds, which are produced via the epimerization of a 3- $\beta$ -hydroxyl group of 1 $\alpha$ (OH) vitamin D3 precursor in vivo. The vitamin D3 compounds of the present invention can be used as substitutes for natural and synthetic vitamin D3 compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

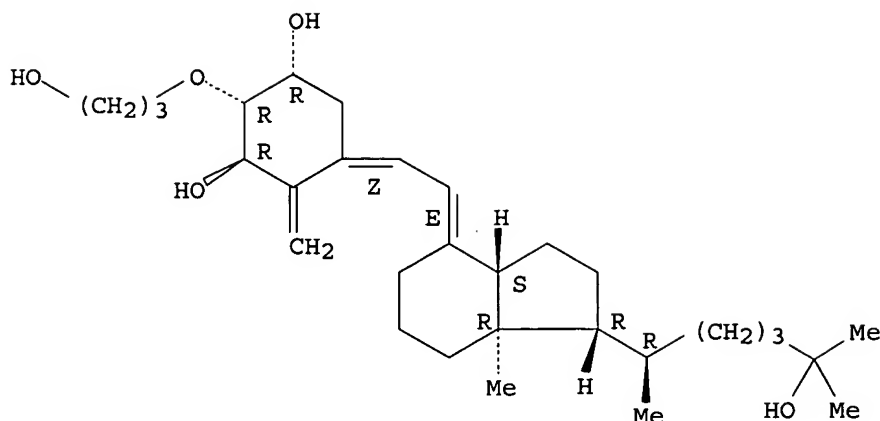
IT 104121-92-8

(therapeutic activity of cyclic ether vitamin D3 compds.,)

RN 104121-92-8 USPATFULL

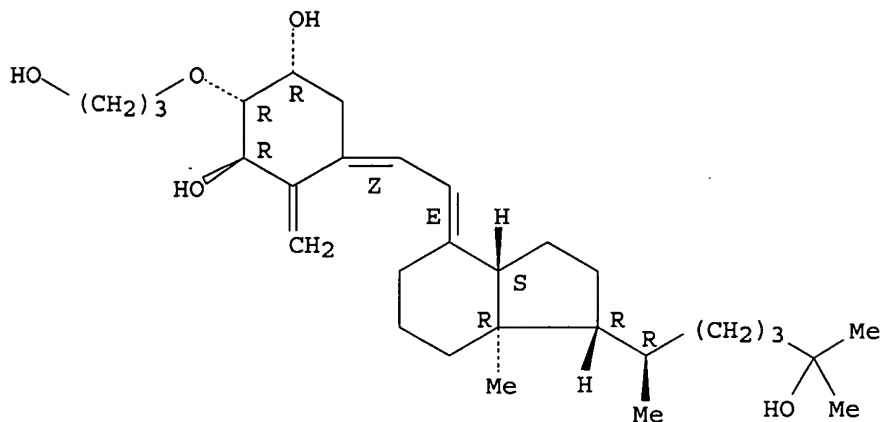
CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).  
 Double bond geometry as shown.



L47 ANSWER 6 OF 6 USPATFULL on STN  
 AN 94:66630 USPATFULL  
 TI Cyclohexanetriol derivatives  
 IN Takahashi, Takashi, Yokohama, Japan  
 Shiono, Manzo, Okayama, Japan  
 PA Kurary Co., Ltd., Okayama, Japan (non-U.S. corporation)  
 PI US 5334740 19940802 <--  
 AI US 1992-851943 19920313 (7) <--  
 PRAI JP 1991-73932 19910313 <--  
 DT Utility  
 FS Granted  
 EXNAM Primary Examiner: Lee, Mary C.; Assistant Examiner: McKane, Joseph K.  
 LREP Wenderoth, Lind & Ponack  
 CLMN Number of Claims: 9  
 ECL Exemplary Claim: 1  
 DRWN No Drawings  
 LN.CNT 1366  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 AB Cyclohexanetriol derivatives represented by the formula ##STR1## wherein R.sup.1, R.sup.2 and R.sup.3 are the same or different, and each denotes a hydrogen atom or a protecting group of a hydroxyl group,  
 X denotes an oxygen atom, .dbd.CHCH.sub.2 OR.sup.4, .dbd.CHCHO or .dbd.CHCO.sub.2 R.sup.5, Y denotes a hydrogen atom and Z denotes --OR.sup.6, or Y and Z together form a single bond; or X and Z together form .dbd.NO--, .dbd.CHCH(OR.sup.7)O-- or .dbd.CHCO.sub.2 -- and Y is a hydrogen atom, R.sup.4 and R.sup.6 denote a hydrogen atom or a protecting group of a hydroxyl group respectively, R.sup.5 denotes a lower alkyl group, and R.sup.7 denotes a hydrogen atom or a lower alkyl group.  
 Said derivatives are useful as synthetic intermediates of 1-hydroxyvitamin D derivatives.  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 IT 104121-92-8P  
 (preparation of)  
 RN 104121-92-8 USPATFULL  
 CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)-- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).  
Double bond geometry as shown.



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FILE LAST UPDATED: 16 Aug 2005 (20050816/ED)

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L37 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:348914 HCAPLUS

DN 142:404806

ED Entered STN: 22 Apr 2005

TI SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip

IN Esaki, Keiko

PA Chugai Seiyaku Kabushiki Kaisha, Japan

SO U.S. Pat. Appl. Publ., 24 pp., Cont.-in-part of Appl. No. PCT/JP03/02044.

CODEN: USXXCO

DT Patent

LA English  
 IC ICM C12Q001-68  
 ICS G01N033-53; C12M001-34  
 INCL 435007100; 435287200  
 CC 2-1 (Mammalian Hormones)  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	WO 2003071273	A1	20030828	WO 2003-JP2044	20030225 <--
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	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,				
	LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,				
	PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,				
	UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
	KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				
	FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2000-245560P	P	20001106	<--	
	US 2001-783391	A2	20010215	<--	
	JP 2002-48450	A	20020225	<--	
	WO 2003-JP2044	A2	20030225		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2005084908	ICM	C12Q001-68
	ICS	G01N033-53; C12M001-34
	INCL	435007100; 435287200
US 2005084908	NCL	435/007.100 <--
WO 2003071273	ECLA	G01N021/55B2; G01N033/543K2; G01N033/566 <--

AB A method for detecting the binding between a binding mol. and an immobilized low-mol.-weight compound is provided. The method comprises a step of measuring volume changes due to the binding of both compds. as an indicator. The use of immobilized low-mol.-weight compound produces highly reliable measuring results in terms of surface plasmon resonance, etc. The detection method of this invention is useful for screening for low-mol.-weight compds. that bind to binding mols., or binding mols. that bind to low-mol.-weight compds. The low-mol.-weight compound are specifically claimed to be estrogens, androgens, 1,25-hydroxylated vitamin D3, glucocorticoids, mineralocorticoids, progesterones, thyroid hormones, retinoic acid, or structural and functional analogs thereof. The binding mol. is a protein, more specifically a nuclear receptor. The nuclear receptor is specifically claimed to be estrogen receptors, androgen receptors, vitamin D3 receptors, glucocorticoid receptors, mineralocorticoid receptors, progesterone receptors, thyroid hormone receptors, retinoic acid receptors, and orphan receptors.

ST SPR hormone receptor binding immobilized hormone analog sensor chip

IT Proteins

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (MBP (maltose-binding protein), fusion protein between estrogen receptor ligand-binding domain and maltose binding protein; SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT Biosensors

Drug screening

Surface plasmon resonance

(SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT Androgen receptors  
 Androgens  
 Estrogen receptors  
 Estrogens  
 Glucocorticoid receptors  
 Glucocorticoids  
 Mineralocorticoid receptors  
 Mineralocorticoids  
 Nuclear receptors  
 Orphan receptors  
 Progesterone receptors  
 Progestogens  
 Retinoic acid receptors  
 Thyroid hormone receptors  
 Thyroid hormones  
 Vitamin D receptors  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT Drug delivery systems  
 (containing hormone analogs; SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT Estrogen receptors  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (fusion protein between estrogen receptor ligand-binding domain and maltose binding protein; SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT Estrogen receptors  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (ligand-binding domain; SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT 586971-15-5P, ED 533  
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (ED 533; SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT 302-79-4, Retinoic acid 302-79-4D, Retinoic acid, analogs 32222-06-3, 1,25-Dihydroxy vitamin D3 32222-06-3D, 1,25-Dihydroxy vitamin D3, analogs 103909-75-7, 1 $\alpha$ ,25-Dihydroxy-22-oxavitamin D3 104121-92-8, ED-71  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT 850029-40-2P  
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT 78-94-4, 3-Buten-2-one, reactions 80-73-9, 1,3-Dimethyl-2-imidazolidinone 4233-33-4 140221-99-4 153004-29-6 586964-08-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT 586964-04-7P 586964-05-8P 586964-06-9P 586964-07-0P 586964-09-2P 586964-10-5P 586964-11-6P 850029-38-8P 850029-39-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (SPR method for detecting binding to hormone receptors of hormones or hormone analogs immobilized on a sensor chip)

IT 104121-92-8, ED-71

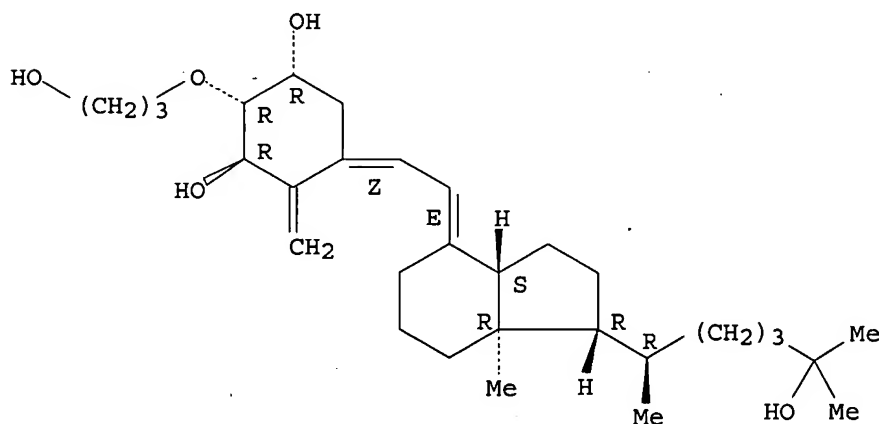


RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (SPR method for detecting binding to hormone receptors of hormones or  
 hormone analogs immobilized on a sensor chip)

RN 104121-92-8 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-,  
 (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).  
 Double bond geometry as shown.



L37 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:120729 HCAPLUS

DN 140:157495

ED Entered STN: 13 Feb 2004

TI Antipsoriatic agent

IN Shimaoka, Shin

PA Chugai Seiyaku Kabushiki Kaisha, Japan

SO PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

IC ICM A61K031-59

ICS A61P017-06; A61P043-00

CC 1-12 (Pharmacology)

Section cross-reference(s): 32, 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004012743	A1	20040212	WO 2003-JP9814	20030801 <--
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP	1552837	A1	20050713	EP 2003-766702	20030801 <--
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			

PRAI JP 2002-224297 A 20020801 <--  
 WO 2003-JP9814 W 20030801 <--

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004012743	ICM	A61K031-59
	ICS	A61P017-06; A61P043-00
WO 2004012743	ECLA	A61K031/59
EP 1552837	ECLA	A61K031/59

AB Claimed is an **antipsoriatic** agent comprising  
 2 $\beta$ -(3-hydroxypropyloxy)-1 $\alpha$ ,25-dihydroxyvitamin D3 (I) as an  
 active ingredient. The in vitro IC50 of I against the growth of  
 keratinocytes is < 1 x 10<sup>-10</sup> mol/L. Formulations are given.

ST hydroxypropyloxydihydroxyvitamin D3 **antipsoriatic** agent

IT Human

**Psoriasis**  
 (ED-71 as **antipsoriatic** agent inhibiting  
 growth of keratinocytes)

IT **Skin**  
 (keratinocyte; ED-71 as  
**antipsoriatic** agent inhibiting growth of keratinocytes  
 )

IT **104121-92-8, ED-71**  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
 THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (ED-71 as **antipsoriatic** agent inhibiting  
 growth of keratinocytes)

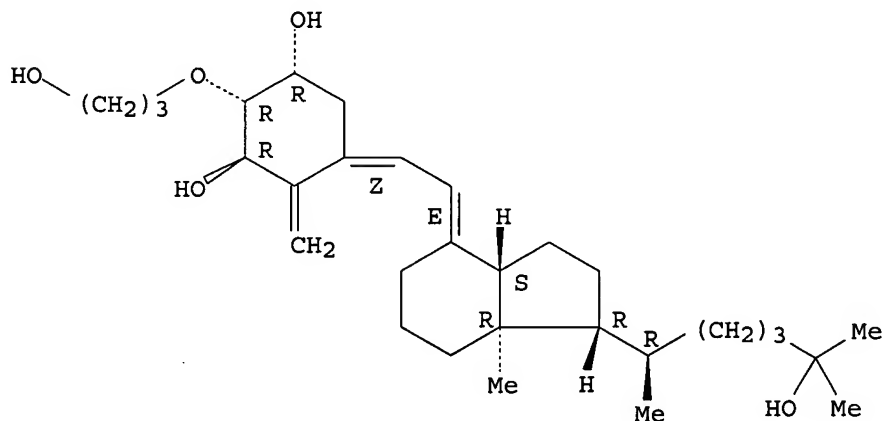
IT **104121-92-8, ED-71**  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
 THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (ED-71 as **antipsoriatic** agent inhibiting  
 growth of keratinocytes)

RN 104121-92-8 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-,  
 (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.



L37 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2003:892539 HCAPLUS  
 DN 139:375605

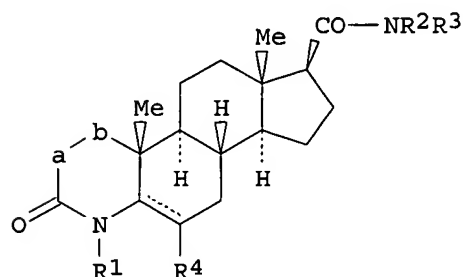
ED Entered STN: 14 Nov 2003  
 TI Synthesis and uses of 4-azasteroid derivatives as selective androgen  
 receptor modulators (SARMs)  
 IN Wang, Jiabing; McVean, Carol A.  
 PA Merck & Co., Inc., USA  
 SO PCT Int. Appl., 181 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61K  
 CC 2-4 (Mammalian Hormones)  
 Section cross-reference(s): 32

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003092588	A2	20031113	WO 2003-US13120	20030425 <--
	WO 2003092588	A3	20040715		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2484173	AA	20031113	CA 2003-2484173	20030425 <--
	EP 1501512	A2	20050202	EP 2003-719957	20030425 <--
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	US 2005131005	A1	20050616	US 2003-512800	20030425 <--
PRAI	US 2002-376779P	P	20020430	<--	
	WO 2003-US13120	W	20030425		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
WO 2003092588	ICM	A61K	
WO 2003092588	ECLA	C07J073/00B2	<--
US 2005131005	NCL	514/284.000	<--
OS	MARPAT 139:375605		
GI			



AB Compds. of structural formula (I) are modulators of the androgen receptor (AR) in a tissue selective manner. They are useful as agonists of the androgen receptor in bone and/or muscle tissue while antagonizing the AR

in the prostate of a male patient or in the uterus of a female patient. These compds. are therefore useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, cancer cachexia, Alzheimer's disease, muscular dystrophies, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents.

- ST azasteroid deriv androgen receptor modulators SARM deficiency
- IT Human immunodeficiency virus 1  
 (-induced wasting; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)
- IT Bone morphogenetic proteins  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (2, in addition to SARMS treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)
- IT Bone morphogenetic proteins  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (3, in addition to SARMS treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)
- IT Bone morphogenetic proteins  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (5, in addition to SARMS treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)
- IT Bone morphogenetic proteins  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (6, in addition to SARMS treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)
- IT Bone morphogenetic proteins  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (7, in addition to SARMS treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)
- IT Insulin-like growth factor-binding proteins  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (IGFBP-3, in addition to SARMS treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)
- IT Prostanoid receptors  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (IP, agonists, in addition to SARMS treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)
- IT Androgens

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(SARMS (selective androgen receptor modulators); synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)

IT Estrogens

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(SERM (selective estrogen receptor modulator), in addition to SARMS treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)

IT Skin, disease

(aging; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)

IT Bone

Muscle

(androgen receptor activation; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)

IT Prostate gland

Uterus

(androgen receptor blockade; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)

IT Antiarteriosclerotics

(antiatherosclerotics; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)

IT Anemia (disease)

(aplastic; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)

IT Disease, animal

(arthropathy; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)

IT Injury

(bone, following reconstructive surgery; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)

IT Receptors

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(calcium, antagonist, in addition to SARMS treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)

IT Cachexia

(cancerous; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)

IT Estrogens

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(conjugated, in addition to SARMS treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMS) in the treatment of androgen deficiency-related diseases)

IT Androgens

- RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(deficiency; synthesis and uses of 4-azasteroid derivs. as selective  
androgen receptor modulators (SARMs) in the treatment of androgen  
deficiency-related diseases)
- IT Antiestrogens  
Estrogens  
Progestogens  
Prostaglandins  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(derivs., in addition to SARMs treatment; synthesis and uses of  
4-azasteroid derivs. as selective androgen receptor modulators (SARMs)  
in the treatment of androgen deficiency-related diseases)
- IT Joint, anatomical  
(disease; synthesis and uses of 4-azasteroid derivs. as selective  
androgen receptor modulators (SARMs) in the treatment of androgen  
deficiency-related diseases)
- IT Hematopoiesis  
(disorders; synthesis and uses of 4-azasteroid derivs. as selective  
androgen receptor modulators (SARMs) in the treatment of androgen  
deficiency-related diseases)
- IT Estrogens  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(equine, in addition to SARMs treatment; synthesis and uses of  
4-azasteroid derivs. as selective androgen receptor modulators (SARMs)  
in the treatment of androgen deficiency-related diseases)
- IT Ovary, disease  
(failure; synthesis and uses of 4-azasteroid derivs. as selective  
androgen receptor modulators (SARMs) in the treatment of androgen  
deficiency-related diseases)
- IT Drug screening  
(for selective androgen receptor modulators; synthesis and uses of  
4-azasteroid derivs. as selective androgen receptor modulators (SARMs)  
in the treatment of androgen deficiency-related diseases)
- IT Bone, disease  
(fracture; synthesis and uses of 4-azasteroid derivs. as selective  
androgen receptor modulators (SARMs) in the treatment of androgen  
deficiency-related diseases)
- IT Lipids, biological studies  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(hyperlipidemia; synthesis and uses of 4-azasteroid derivs. as  
selective androgen receptor modulators (SARMs) in the treatment of  
androgen deficiency-related diseases)
- IT Testis, disease  
(hypogonadism; synthesis and uses of 4-azasteroid derivs. as selective  
androgen receptor modulators (SARMs) in the treatment of androgen  
deficiency-related diseases)
- IT Bone morphogenetic proteins  
Estrogens  
Progestogens  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(in addition to SARMs treatment; synthesis and uses of 4-azasteroid  
derivs. as selective androgen receptor modulators (SARMs) in the  
treatment of androgen deficiency-related diseases)
- IT Bone morphogenetic proteins  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(inhibitor of antagonism of, in addition to SARMs treatment; synthesis and

- uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Drug delivery systems  
(injections; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Bone, disease  
(injury, following reconstructive surgery; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Androgen receptors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(modulation; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Drug delivery systems  
(oral; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Bone, disease  
(osteopenia; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Surgery  
(plastic, -induced bone damage; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Menopause  
(postmenopause; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Androgens  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(replacement therapy; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Muscle  
(sarcopenia; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Drug delivery systems  
(suppositories; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Alzheimer's disease  
Anti-Alzheimer's agents  
Antiarthritics  
Anticholesteremic agents  
Antiobesity agents  
Antitumor agents  
Arthritis  
Atherosclerosis  
Autoimmune disease  
Human  
Hypercholesterolemia  
Hypolipemic agents  
Muscular dystrophy  
Obesity

- Osteoporosis  
Periodontium, disease  
Prostate gland, neoplasm  
(synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Drug delivery systems  
(transdermal; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Prostanoid receptors  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(type EP1, agonists, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Prostanoid receptors  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(type EP2, agonists, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Prostanoid receptors  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(type EP4, agonists, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Prostanoid receptors  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(type FP, agonists, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Osteoclast  
(vacuolar ATPase inhibitor, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Disease, animal  
(wasting, HIV-induced; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Integrins  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
( $\alpha\text{v}\beta 5$ , antagonist, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Transforming growth factors  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
( $\beta$ -, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT Peroxisome proliferator-activated receptors  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
( $\gamma$ , activator, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs))



- in the treatment of androgen deficiency-related diseases)
- IT 2127-03-9, 2,2'-Dipyridyl disulfide  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(Aldrithiol 2; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT 13598-36-2D, Phosphonic acid, alkylidenebis- derivs.  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(Bisphosphonate, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT 7664-41-7, Ammonia, reactions  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(anhydrous; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT 127464-60-2, Vascular endothelial growth factor  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(antagonist, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT 7440-70-2, Calcium, biological studies  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(dietary supplements, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
- IT 50-28-2, 17 $\beta$ -Estradiol, biological studies 53-16-7, Estrone, biological studies 64-96-0 67-96-9, Dihydrotachysterol 67-98-1, Mer-25 68-22-4, Norethindrone 71-58-9, Medroxyprogesterone acetate 471-34-1, Calcium carbonate, biological studies 911-45-5, Clomiphene 1406-16-2, Vitamin D 1406-16-2D, Vitamin D, derivs. 1845-11-0, Nafoxidine 2809-21-4 4717-38-8, 17 $\beta$ -Ethinyl estradiol 5863-35-4, CI-628 7440-70-2D, Calcium, salts 7681-49-4, Sodium fluoride, biological studies 7693-13-2, Calcium citrate 9002-64-6, Parathyroid hormone 9002-64-6D, Parathyroid hormone, analog 9002-72-6, Somatotropin 9007-12-9, Calcitonin 10540-29-1, Tamoxifen 10596-23-3 12001-79-5, Vitamin K 12001-79-5D, Vitamin K, derivs. 15690-55-8, Zuclophene 15690-57-0, Enclomiphene 16984-48-8D, Fluoride, salts 19356-17-3 20859-36-3, Monosodium fluorophosphate 32222-06-3 35212-22-7, Ipriflavone 40391-99-9 41294-56-8 47931-85-1, Salmon calcitonin 52232-67-4, Human PTH (1-34) 54573-75-0 56287-31-1, CI-680 57333-95-6 57333-96-7 61912-98-9, Insulin-like growth factor 66376-36-1, Alendronate 67763-96-6, IGF I 67763-97-7, IGF II 75330-75-5, Lovastatin 75755-07-6 78994-23-7, Levormeloxifene 79778-41-9, Neridronate 79902-63-9, Simvastatin 81093-37-0, Pravastatin 82413-20-5, Droloxifene 83805-11-2 84449-90-1, Raloxifene 89778-26-7, Toremifene 89987-06-4, Tiludronate 93957-54-1, Fluvastatin 103909-75-7, 22-Oxacalcitriol 104121-92-8, ED71 105462-24-6 106096-92-8, Acidic fibroblast growth factor 106096-93-9, Basic fibroblast growth factor 112965-21-6, Calcipotriol 114084-78-5, Ibandronate 116057-75-1, Idoxifene 118072-93-8, Zoledronate 118694-43-2, Ro 23-7553 121009-77-6 121268-17-5, Alendronate monosodium trihydrate 121368-58-9, Olpadronate 130447-37-9 131875-08-6, KH1060 134404-52-7, EB1089 134523-00-5, Atorvastatin 134523-84-5 138330-18-4, Incadronate 141750-63-2, Nisvastatin 145599-86-6, Cerivastatin 147511-69-1, Pitavastatin 180064-38-4 180916-16-9, Lasofoxifene 182133-25-1, Arzoxifene 182167-02-8, EM-652

182167-03-9, EM-800 187483-31-4, U-100A 193830-08-9, GDF5  
 198481-33-3, TSE 424 205944-50-9, Osteoprotegerin 260055-05-8,  
 Alendronate monosodium monohydrate 287714-41-4, Rosuvastatin  
 304853-26-7, Growth hormone secretagogue 583063-07-4, 1-84-Parathormone  
 (human)

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)

(in addition to SARMS treatment; synthesis and uses of 4-azasteroid  
 derivs. as selective androgen receptor modulators (SARMS) in the  
 treatment of androgen deficiency-related diseases)

IT 9028-35-7, HMG-CoA reductase 94716-09-3, Cathepsin K 165245-96-5, p38  
 Kinase

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)

(inhibitor, in addition to SARMS treatment; synthesis and uses of  
 4-azasteroid derivs. as selective androgen receptor modulators (SARMS)  
 in the treatment of androgen deficiency-related diseases)

IT 9000-83-3, ATPase

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)

(osteoclast vacuolar, inhibitor, in addition to SARMS treatment; synthesis  
 and uses of 4-azasteroid derivs. as selective androgen receptor  
 modulators (SARMS) in the treatment of androgen deficiency-related  
 diseases)

IT 67-56-1, Methanol, uses 67-68-5, Dimethyl sulfoxide, uses 108-88-3,  
 Toluene, uses

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)  
 (synthesis and uses of 4-azasteroid derivs. as selective androgen  
 receptor modulators (SARMS) in the treatment of androgen  
 deficiency-related diseases)

IT 622830-82-4P 622830-83-5P 622830-84-6P 622830-85-7P 622830-86-8P  
 622830-87-9P 622830-88-0P 622830-89-1P 622830-90-4P 622830-91-5P  
 622830-92-6P 622830-93-7P 622830-94-8P 622830-95-9P 622830-96-0P  
 622830-97-1P 622830-98-2P 622830-99-3P 622831-00-9P 622831-01-0P  
 622831-02-1P 622831-03-2P 622831-04-3P 622831-05-4P 622831-06-5P  
 622831-07-6P 622831-08-7P 622831-09-8P 622831-10-1P 622831-11-2P  
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 622831-32-7P 622831-33-8P 622831-34-9P 622831-35-0P 622831-36-1P  
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 622831-47-4P 622831-48-5P 622831-49-6P 622831-50-9P 622831-51-0P  
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 622832-22-8P 622832-23-9P 622832-24-0P 622832-25-1P 622832-26-2P  
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 622833-13-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT 62-53-3, Aniline, reactions 68-12-2, N,N-Dimethylformamide, reactions 74-88-4, reactions 75-09-2, Methylene chloride, reactions 77-78-1, Dimethyl sulfate 94-36-0, Benzoyl peroxide, reactions 109-99-9, Tetrahydrofuran, reactions 121-44-8, Triethylamine, reactions 123-91-1, 1,4-Dioxane, reactions 128-08-5, N-Bromosuccinimide 144-55-8, Carbonic acid monosodium salt, reactions 603-35-0, Triphenylphosphine, reactions 617-86-7, Triethylsilane 823-96-1 865-48-5 1122-58-3, 4-Dimethylaminopyridine 1310-65-2, Lithium hydroxide (Li(OH)) 1310-73-2, Sodium hydroxide, reactions 1892-57-5, 1-(3-Dimethylaminopropyl)-3-ethylcarbodiimide 2923-28-6, Silver triflate 3282-30-2, Trimethylacetyl chloride 4519-40-8, 2,3-Difluoroaniline 5805-57-2, 1H-Benzimidazole-2-methanamine 6674-22-2, DBU 7087-68-5 7646-69-7, Sodium hydride (NaH) 7664-93-9, Sulfuric acid, reactions 14221-01-3, Tetrakis(triphenylphosphine)palladium(0) 25596-24-1, Trimethylsulfoxonium bromide 25952-53-8, 1-(3-Dimethylaminopropyl)-3-ethylcarbodiimide monohydrochloride 33797-51-2, Eschenmoser's salt 39968-33-7, HOAt 103335-54-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT 92472-52-1P 104214-18-8P 622830-81-3P 622832-47-7P 622832-48-8P  
 622832-49-9P 622832-50-2P 622832-51-3P 622832-52-4P 622832-53-5P  
 622832-54-6P 622832-55-7P 622832-56-8P 622832-57-9P 622832-58-0P  
 622832-59-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT 104121-92-8, ED71

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

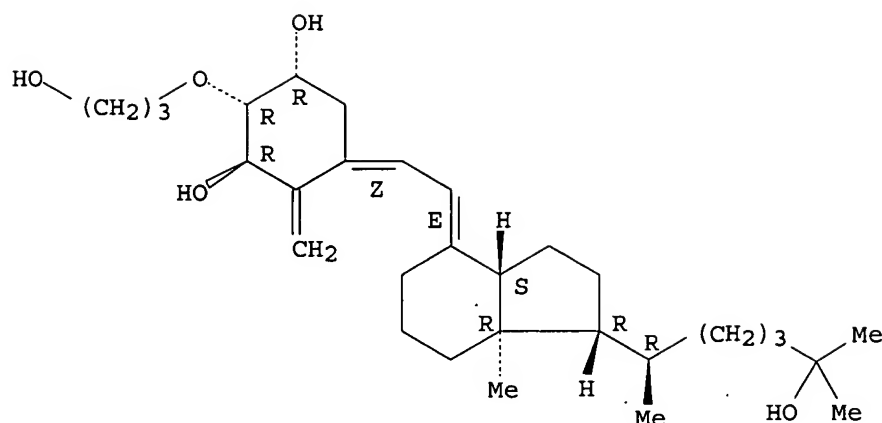
(in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

RN 104121-92-8 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.



L37 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2003:757525 HCAPLUS  
 DN 139:277056  
 ED Entered STN: 26 Sep 2003  
 TI Preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide  
 derivatives as androgen receptor modulators  
 IN Meissner, Robert S.; Perkins, James J.  
 PA Merck & Co., Inc., USA  
 SO PCT Int. Appl., 95 pp.  
 CODEN: PIXXD2  
 DT **Patent**  
 LA English  
 IC ICM A61K031-473  
 ICS C07D221-18  
 CC 32-4 (Steroids)  
 Section cross-reference(s): 2, 63

FAN.CNT 1

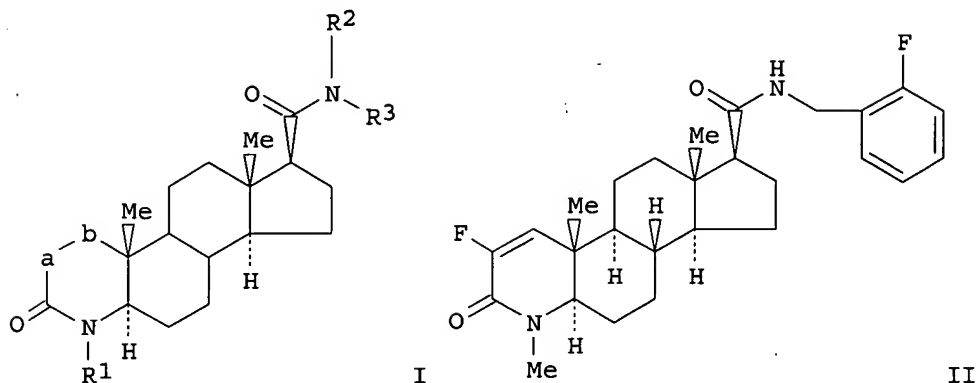
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003077919	A1	20030925	WO 2003-US8277	20030307 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2478186	AA	20030925	CA 2003-2478186	20030307 <--
EP 1485095	A1	20041215	EP 2003-714228	20030307 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
BR 2003008355	A	20050125	BR 2003-8355	20030307 <--
US 2005165039	A1	20050728	US 2003-507239	20030307 <--
PRAI US 2002-363822P	P	20020313	<--	
WO 2003-US8277	W	20030307		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES

WO 2003077919 ICM A61K031-473  
 ICS C07D221-18  
 WO 2003077919 ECLA A61K031/473; C07J073/00B2  
 US 2005165039 NCL 514/284.000; 546/061.000  
 OS MARPAT 139:277056  
 GI

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AB Fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs., such as I [a-b = CF:CH, CHFCH<sub>2</sub>, CF<sub>2</sub>CH<sub>2</sub>; R<sub>1</sub> = H, CH<sub>2</sub>OH, (un)substituted alkyl; R<sub>2</sub> = H, alkyl; R<sub>3</sub> = alkyl, cycloheteroalkyl, aryl, heteroaryl; R<sub>2</sub>R<sub>3</sub> = 5 or 6-membered ring fused with a 5- or 6-membered aromatic ring system having 0-2 heteroatoms], or a pharmaceutically acceptable salt or an enantiomer thereof, were prepared for their use as modulators of the androgen receptor (AR) in a tissue selective manner. Thus, 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivative II, was prepared via a multiple step reaction sequence starting from 4-methyl-4-aza-androstan-3-one-17-carboxylic acid Me ester and 2-fluoro-benzylamine. The prepared compds. are useful as agonists of the androgen receptor in bone and/or muscle tissue while antagonizing the AR in the prostate of a male patient or in the uterus of a female patient. I are therefore useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, cancer cachexia, muscular dystrophies, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents.

ST androstanone aza carboxamide deriv prepn androgen receptor modulator

IT Bone morphogenetic proteins

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (2, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Bone morphogenetic proteins

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (3, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Bone morphogenetic proteins

- RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(5, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Bone morphogenetic proteins  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(6, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Bone morphogenetic proteins  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(7, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT G protein-coupled receptors  
Hormone receptors  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(GHS-R (growth hormone secretagogue receptor), bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Animal cell line  
(Hep G2; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT **Skin, disease**  
(aging, treatment; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Prostacyclin receptors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(agonist as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Anemia (disease)  
(aplastic, treatment; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Antiestrogens  
Estrogens  
Progestogens  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Receptors  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(calcium, antagonist, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Cachexia  
(cancerous, treatment; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Bone  
(damage, treatment of; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Prostaglandins

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (derivative, bone strengthening agents as adjuvant therapeutics;  
 preparation of  
 fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as  
 androgen receptor modulators and their therapeutic uses)

IT Hematopoiesis  
 (disorders, treatment; preparation of fluorinated 4-aza-androstan-3-one-  
 17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their  
 therapeutic uses)

IT Salts, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (fluoride, bone strengthening agents as adjuvant therapeutics; preparation  
 of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as  
 androgen receptor modulators and their therapeutic uses)

IT Bone, disease  
 (fracture, treatment; preparation of fluorinated 4-aza-androstan-3-one-  
 17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their  
 therapeutic uses)

IT Lipids, biological studies  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (hyperlipidemia, treatment; preparation of fluorinated  
 4-aza-androstan-3-one-  
 17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their  
 therapeutic uses)

IT Testis, disease  
 (hypogonadism, treatment; preparation of fluorinated 4-aza-androstan-3-one-  
 17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their  
 therapeutic uses)

IT Drug delivery systems  
 (injections; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -  
 carboxamide derivs. as androgen receptor modulators and their  
 therapeutic uses)

IT Drug delivery systems  
 (oral; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide  
 derivs. as androgen receptor modulators and their therapeutic uses)

IT Bone, disease  
 (osteopenia, treatment; preparation of fluorinated 4-aza-androstan-3-one-  
 17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their  
 therapeutic uses)

IT Osteoporosis  
 (postmenopausal, treatment; preparation of fluorinated  
 4-aza-androstan-3-one-  
 17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their  
 therapeutic uses)

IT Human  
 Muscle  
 Prostate gland  
 Uterus  
 (preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide  
 derivs. as androgen receptor modulators and their therapeutic uses)

IT Androgen receptors  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide  
 derivs. as androgen receptor modulators and their therapeutic uses)

IT Muscle  
 (sarcopenia, treatment; preparation of fluorinated 4-aza-androstan-3-one-  
 17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their  
 therapeutic uses)

IT Diet  
 (supplements, calcium, bone strengthening agents as adjuvant

- therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Drug delivery systems  
(suppositories; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Drug delivery systems  
(transdermal, patch; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Arthritis  
Atherosclerosis  
Autoimmune disease  
Hypercholesterolemia  
Muscular dystrophy  
Obesity  
Osteoarthritis  
Osteoporosis  
Periodontium, disease  
Prostate gland, neoplasm  
Rheumatoid arthritis  
(treatment; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Prostanoid receptors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(type EP1, agonist as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Prostanoid receptors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(type EP2, agonist as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Prostanoid receptors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(type EP4, agonist as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Prostanoid receptors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(type FP, agonist as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Osteoclast  
(vacuolar ATPase inhibitor as bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT AIDS (disease)  
(wasting, treatment of; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Muscle, disease  
(weakness, treatment; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
- IT Integrins  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)



( $\alpha\text{v}\beta 3$ , receptor antagonist, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Transforming growth factors

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
( $\beta$ -, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT Peroxisome proliferator-activated receptors

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
( $\gamma$ , an activator of; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 47931-85-1, Salmon calcitonin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(administered as nasal spray, bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 9002-64-6, Parathyroid hormone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 50-28-2, 17 $\beta$ -Estradiol, biological studies 53-16-7, Estrone, biological studies 67-96-9, Dihydrotachysterol 67-98-1, Mer-25 68-22-4, Norethindrone 71-58-9, Medroxyprogesterone acetate 911-45-5, Clomiphene 1845-11-0, Nafoxidene 2809-21-4 4717-38-8, 17 $\beta$ -Ethinyl estradiol 5863-35-4, CI-628 7681-49-4, Sodium fluoride, biological studies 9007-12-9, Calcitonin 10540-29-1, TAMOXIFEN 10596-23-3 13598-36-2D, Phosphonic acid, alkylidene-bis-derivs. 15690-55-8, Zuclophene 15690-57-0, Enclomiphene 19356-17-3 20859-36-3, Monosodium fluorophosphate 32222-06-3 35212-22-7, Ipriflavone 40391-99-9 41294-56-8 50948-44-2, U-11, biological studies 54573-75-0 56287-31-1, CI-680 57333-95-6 57333-96-7 61912-98-9, Insulin-like growth factor 62031-54-3, Fibroblast growth factor 66376-36-1, Alendronate 75330-75-5, Lovastatin 75755-07-6 78994-23-7, Levormeloxifene 79778-41-9, Neridronate 79902-63-9, Simvastatin 81093-37-0, Pravastatin 82413-20-5, Droloxifene 83805-11-2 84449-90-1, Raloxifene 89778-26-7, Toremifene 89987-06-4, Tiludronate 93957-54-1, Fluvastatin 103909-75-7, 22-Oxacalcitriol 104121-92-8, ED71 105462-24-6 112965-21-6, Calcipotriol 114084-78-5, Ibandronate 116057-75-1, Idoxifene 118072-93-8, Zoledronate 118694-43-2 121268-17-5, Alendronate monosodium trihydrate 121368-58-9, Olpadronate 130447-37-9 131875-08-6, KH1060 134404-52-7, EB1089 134523-00-5, Atorvastatin 134523-84-5 138330-18-4, Incadronate 141750-63-2, Nisvastatin 145599-86-6, Cerivastatin 147511-69-1, Pitavastatin 180064-38-4 180916-16-9, Lasofoxifene 182167-02-8, EM-652 182167-03-9, EM-800 187483-31-4, U-100A 198481-33-3, Tse-424 205944-50-9, Osteoprotegerin 260055-05-8, Alendronate monosodium monohydrate 287714-41-4, Rosuvastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

IT 1406-16-2, Vitamin D 12001-79-5, Vitamin K

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(derivative, bone strengthening agents as adjuvant therapeutics;  
 preparation of  
 fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as  
 androgen receptor modulators and their therapeutic uses)

IT 471-34-1, Calcium carbonate, biological studies 7693-13-2, Calcium  
 citrate  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (dietary calcium supplement as adjuvant bone strengthening agents;  
 preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide  
 derivs. as androgen receptor modulators and their therapeutic uses)

IT 9002-72-6, Growth hormone  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (human growth hormone or secretagogue as adjuvant bone strengthening  
 agents; preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -  
 carboxamide derivs. as androgen receptor modulators and their  
 therapeutic uses)

IT 165245-96-5, P38 Kinase  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (inhibitor as adjuvant bone strengthening agents; preparation of fluorinated  
 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as androgen receptor  
 modulators and their therapeutic uses)

IT 94716-09-3, Cathepsin K  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (inhibitors as adjuvant bone strengthening agents; preparation of  
 fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as  
 androgen receptor modulators and their therapeutic uses)

IT 9028-35-7, HMG-CoA reductase  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (inhibitors as adjuvant bone strengthening agents; preparation of  
 fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as  
 androgen receptor modulators and their therapeutic uses)

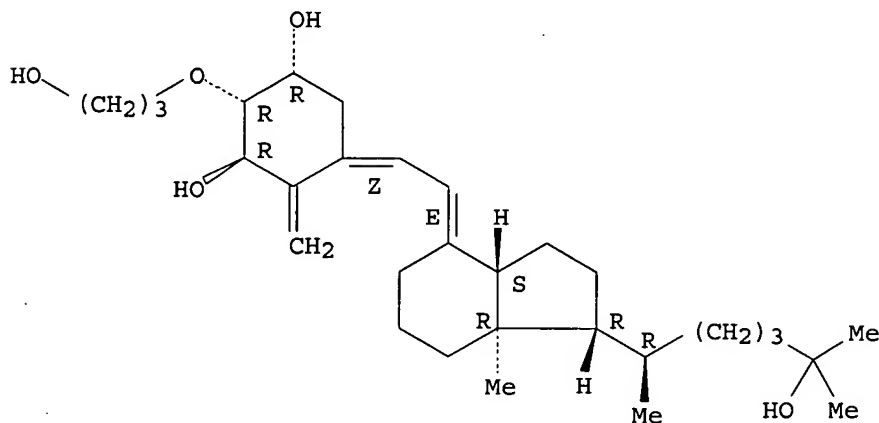
IT 127464-60-2, Vascular endothelial growth factor  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (inhibitors of VEGF binding to osteoclast receptors as adjuvant bone  
 strengthening agents; preparation of fluorinated 4-aza-androstan-3-one-  
 17 $\beta$ -carboxamide derivs. as androgen receptor modulators and their  
 therapeutic uses)

IT 606100-92-9P 606100-93-0P 606100-94-1P 606100-95-2P 606100-96-3P  
 606100-97-4P 606100-98-5P 606100-99-6P 606101-00-2P 606101-01-3P  
 606101-02-4P 606101-03-5P 606101-05-7P 606101-07-9P 606101-09-1P  
 606101-11-5P 606101-13-7P 606101-15-9P 606101-17-1P 606101-19-3P  
 606101-21-7P 606101-22-8P 606101-23-9P 606101-24-0P 606101-25-1P  
 606101-26-2P 606101-27-3P 606101-28-4P 606101-29-5P 606101-30-8P  
 606101-31-9P 606101-32-0P 606101-33-1P 606101-34-2P 606101-35-3P  
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 606101-44-4P 606101-46-6P 606101-47-7P 606101-49-9P 606101-50-2P  
 606101-52-4P 606101-54-6P 606101-55-7P 606101-57-9P 606101-58-0P  
 606101-60-4P 606101-61-5P 606101-62-6P 606101-63-7P 606101-64-8P  
 606101-65-9P 606101-66-0P 606101-67-1P 606101-68-2P 606101-69-3P  
 606101-70-6P 606101-71-7P 606101-72-8P 606101-73-9P 606101-74-0P  
 606101-75-1P 606101-76-2P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide  
 derivs. as androgen receptor modulators and their therapeutic uses)

IT 88-17-5, 2-Trifluoromethylaniline 89-99-6, 2-Fluorobenzylamine  
 2127-03-9 86283-81-0 96692-02-3 133745-75-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide

derivs. as androgen receptor modulators and their therapeutic uses)  
 IT 76763-16-1P 606101-77-3P 606101-78-4P 606101-79-5P 606101-80-8P  
 606101-85-3P 606101-87-5P 606101-89-7P 606101-91-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide  
 derivs. as androgen receptor modulators and their therapeutic uses)  
 RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 RE  
 (1) Brooks, J; Steroids 1986, V47, P1 HCAPLUS  
 (2) King; US 5187278 A 1993 HCAPLUS  
 (3) Rasmusson, G; J Med Chem 1986, V29, P2298 HCAPLUS  
 IT 104121-92-8, ED71  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (bone strengthening agents as adjuvant therapeutics; preparation of  
 fluorinated 4-aza-androstan-3-one-17 $\beta$ -carboxamide derivs. as  
 androgen receptor modulators and their therapeutic uses)  
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 (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).  
 Double bond geometry as shown.



L37 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2003:261603 HCAPLUS  
 DN 138:281598  
 ED Entered STN: 04 Apr 2003  
 TI Androstane compounds as androgen receptor (AR) modulators for the  
 treatment of AR-related diseases  
 IN Wang, Jiabing  
 PA Merck & Co., Inc., USA  
 SO PCT Int. Appl., 83 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61K  
 CC 2-4 (Mammalian Hormones)  
 Section cross-reference(s): 32  
 FAN.CNT 1

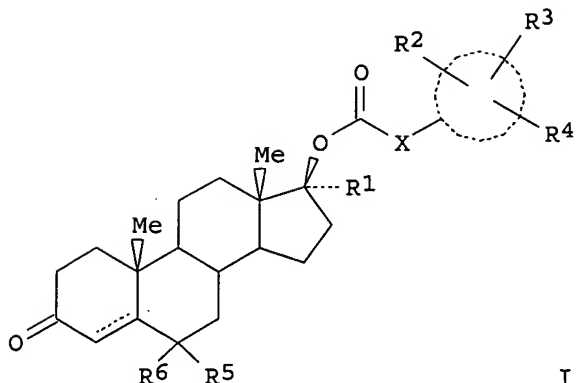
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2003026568 A2 20030403 WO 2002-US29436 20020917 <--  
 WO 2003026568 A3 20040226  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
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 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,  
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 EP 1429779 A2 20040623 EP 2002-766288 20020917 <--  
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 US 2004235808 A1 20041125 US 2004-489072 20040308 <--  
 PRAI US 2001-324124P P 20010921 <--  
 WO 2002-US29436 W 20020917

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003026568	ICM	A61K
WO 2003026568	ECLA	A61K031/568; A61K031/568+M; A61K031/5685+M; A61K031/569+M; A61K031/58; A61K031/58+M; A61K031/663+M; A61K045/06; C07J001/00C4B1; C07J041/00C3; C07J043/00B <--
CA 2459943	ECLA	A61K031/568; A61K031/568+M; A61K031/5685+M; A61K031/569+M; A61K031/58; A61K031/58+M; A61K031/663+M; A61K045/06; C07J001/00C4B1; C07J041/00C3; C07J043/00B <--
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OS MARPAT 138:281598  
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AB Comps. of structural formula (I) as herein defined are claimed as useful in a method for modulating a function of the androgen receptor in a tissue selective manner in a patient in need of such modulation, as well as in a method of activating the function of the androgen receptor in a patient, and in particular the method wherein the function of the androgen receptor is blocked in the prostate of a male patient or in the uterus of a female patient and activated in bone and/or muscle tissue. These comps. are useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteopenia, osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, female sexual dysfunction, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, aplastic anemia and other hematopoietic disorders, pancreatic cancer, renal cancer, prostate cancer, inflammatory arthritis and joint repair, alone or in combination with other active agents. Methods for the co-administration of those comps. with bone-strengthening agents are also claimed.

ST androstane treatment androgen receptor modulation bone strengthening

IT Bone morphogenetic proteins

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(2; androstane comps. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Bone morphogenetic proteins

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(3; androstane comps. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Bone morphogenetic proteins

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5; androstane comps. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Bone morphogenetic proteins

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(6; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Bone morphogenetic proteins

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(7; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT AIDS (disease)

(HIV-wasting; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Insulin-like growth factor-binding proteins

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(IGFBP-3; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT **Skin, disease**

(aging; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Anemia (disease)

Autoimmune disease

Bone

Human

Muscle

Muscular dystrophy

Osteoporosis

Periodontium, disease

Prostate gland

Uterus

(androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Androgens

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Antiarthritics

Anticholesteremic agents

Antitumor agents

Arthritis

Atherosclerosis

Hypercholesterolemia

Hypolipemic agents

Kidney, neoplasm

Pancreas, neoplasm

Prostate gland, neoplasm

(androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Antiestrogens

Bone morphogenetic proteins

Estrogens

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

- IT Bone morphogenetic proteins  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(antagonism, inhibitors of; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)
- IT Vascular endothelial growth factor receptors  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(antagonists, osteoclast receptors; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)
- IT Antiarteriosclerotics  
(antiatherosclerotics; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)
- IT Anemia (disease)  
(aplastic; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)
- IT Disease, animal  
(arthropathy; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)
- IT Injury  
(bone, following reconstructive surgery; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)
- IT Receptors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(calcium, antagonists; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)
- IT Cachexia  
(cancerous; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)
- IT Estrogens  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(conjugated; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)
- IT Estrogens  
Prostaglandins  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(derivs.; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)
- IT Joint, anatomical  
(disease; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)
- IT Hematopoiesis  
(disorders; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)
- IT Estrogens  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(equine; androstane compds. as androgen receptor (AR) modulators in

conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Ovary, disease  
(failure, premature; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Reproduction, animal  
(female, disorder; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Bone, disease  
(fracture; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Osteoporosis  
(glucocorticoid-induced; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Lipids, biological studies  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(hyperlipidemia; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Reproductive tract, disease  
(hypogonadism, male; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Bone, disease  
(injury, following reconstructive surgery; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Osteoclast  
(integrin  $\alpha v \beta 3$  antagonists; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Androgen receptors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(modulators; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Integrins  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(osteoclast, antagonists; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Bone, disease  
(osteopenia; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Menopause  
(postmenopause, symptoms; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Androgens  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(replacement therapy; androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT Muscle  
(sarcopenia; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT Estrogen receptors



- RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(selective modulators; androstane compds. as androgen receptor (AR)  
modulators in conjunction with bone-strengthening agents for treatment  
of AR-related diseases)
- IT Prostanoid receptors  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(type EP1, agonists; androstane compds. as androgen receptor (AR)  
modulators in conjunction with bone-strengthening agents for treatment  
of AR-related diseases)
- IT Prostanoid receptors  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(type EP2, agonists; androstane compds. as androgen receptor (AR)  
modulators in conjunction with bone-strengthening agents for treatment  
of AR-related diseases)
- IT Prostanoid receptors  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(type EP4, agonists; androstane compds. as androgen receptor (AR)  
modulators in conjunction with bone-strengthening agents for treatment  
of AR-related diseases)
- IT Prostanoid receptors  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(type FP, agonists; androstane compds. as androgen receptor (AR)  
modulators in conjunction with bone-strengthening agents for treatment  
of AR-related diseases)
- IT Prostanoid receptors  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(type IP, agonists; androstane compds. as androgen receptor (AR)  
modulators in conjunction with bone-strengthening agents for treatment  
of AR-related diseases)
- IT Disease, animal  
(wasting, HIV-wasting; androstane compds. as androgen receptor (AR)  
modulators for treatment of AR-related diseases)
- IT Integrins  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
( $\alpha\text{v}\beta\text{3}$ , osteoclast, antagonists; androstane compds. as  
androgen receptor (AR) modulators in conjunction with  
bone-strengthening agents for treatment of AR-related diseases)
- IT Transforming growth factors  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
( $\beta$ -; androstane compds. as androgen receptor (AR) modulators in  
conjunction with bone-strengthening agents for treatment of AR-related  
diseases)
- IT Peroxisome proliferator-activated receptors  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
( $\gamma$ , activators; androstane compds. as androgen receptor (AR)  
modulators in conjunction with bone-strengthening agents for treatment  
of AR-related diseases)
- IT 13598-36-2D, Phosphonic acid, alkylidenebis- derivs.  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(Bisphosphonate; androstane compds. as androgen receptor (AR)  
modulators in conjunction with bone-strengthening agents for treatment

of AR-related diseases)

IT 58-22-0, Testosterone 100-07-2, 4-Methoxybenzoyl chloride 122-01-0, 4-Chlorobenzoyl chloride 312-94-7, 2-Trifluoromethylbenzoyl chloride 393-52-2, 2-Fluorobenzoyl chloride 403-43-0, 4-Fluorobenzoyl chloride 609-65-4, 2-Chlorobenzoyl chloride 618-46-2, 3-Chlorobenzoyl chloride 933-88-0, 2-Methylbenzoyl chloride 1711-05-3, 3-Methoxybenzoyl chloride 1711-07-5, 3-Fluorobenzoyl chloride 2251-65-2, 3-Trifluoromethylbenzoyl chloride 4755-50-4, 4-Dimethylaminobenzoyl chloride 6068-72-0, 4-Cyanobenzoyl chloride 10400-19-8, Nicotinoyl chloride 14254-57-0, Isonicotinoyl chloride 21615-34-9, 2-Methoxybenzoyl chloride 29745-44-6, Picolinoyl chloride

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)  
(androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT 668-56-4P 36025-88-4P 36025-89-5P 92010-63-4P 122747-09-5P  
502968-30-1P 502968-31-2P 502968-32-3P 502968-33-4P 502968-34-5P  
502968-35-6P 502968-36-7P 502968-37-8P 502968-38-9P 502968-39-0P  
502968-40-3P 502968-41-4P 502968-42-5P 502968-43-6P 502968-44-7P  
502968-45-8P 502968-46-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(androstane compds. as androgen receptor (AR) modulators for treatment of AR-related diseases)

IT 50-28-2, 17 $\beta$ -Estradiol, biological studies 53-16-7, Estrone, biological studies 57-83-0, Progestin, biological studies 57-83-0D, Progestin, derivs. 64-96-0, U 11555A 67-96-9, Dihydrotachysterol 67-98-1, Mer-25 68-22-4, Norethindrone 71-58-9, Medroxyprogesterone acetate 436-52-2, U 11555A 471-34-1, Calcium carbonate, biological studies 911-45-5, Clomiphene 1406-16-2, Vitamin D 1406-16-2D, Vitamin D, derivs. 1845-11-0, Nafoxidine 2809-21-4 4717-38-8, 17 $\beta$ -Ethinyl estradiol 5863-35-4, CI-628 7440-70-2, Calcium, biological studies 7440-70-2D, Calcium, salts 7681-49-4, Sodium fluoride, biological studies 7693-13-2, Calcium citrate 9002-64-6, Parathyroid hormone 9002-64-6D, Parathyroid hormone, analogs 9007-12-9, Calcitonin 10540-29-1, Tamoxifen 10596-23-3 12001-79-5, Vitamin K 12001-79-5D, Vitamin K, derivs. 15690-55-8, Zuclomiphene 15690-57-0, Enclomiphene 16984-48-8D, Fluoride, salts 19356-17-3 20859-36-3, Monosodium fluorophosphate 32222-06-3 35212-22-7, Ipriflavone 40391-99-9 41294-56-8 47931-85-1, Salmon calcitonin 52232-67-4, Human parathormone 1-34 54573-75-0 56287-31-1, CI-680 57333-95-6 57333-96-7 61912-98-9, Insulin-like growth factor 62031-54-3, Fibroblast growth factor 63132-39-8 66376-36-1 67763-96-6, IGF I 67763-97-7, IGF II 68893-82-3, Human parathormone 1-84 75330-75-5, Lovastatin 75755-07-6 78994-23-7, Levormeloxifene 79778-41-9 79902-63-9, Simvastatin 81093-37-0, Pravastatin 82413-20-5, Droloxifene 83805-11-2 84449-90-1, Raloxifene 89778-26-7, Toremifene 89987-06-4 93957-54-1, Fluvastatin 103909-75-7, 22-Oxacalcitriol 104121-92-8, ED71 105462-24-6 106096-92-8, Acidic Fibroblast Growth Factor 106096-93-9, Basic fibroblast growth factor 112965-21-6, Calcipotriol 114084-78-5 116057-75-1, Idoxifene 118072-93-8 118694-43-2, Ro 23-7553 121009-77-6 121268-17-5, Alendronate monosodium trihydrate 124351-85-5 125946-91-0 130447-37-9 131875-08-6, KH1060 134404-52-7, EB1089 134523-00-5, Atorvastatin 134523-84-5 141750-63-2, Nisvastatin 145599-86-6, Cerivastatin 147511-69-1, Pitavastatin 180064-38-4 180916-16-9, Lasofoxifene 182167-02-8, EM-652 182167-03-9, EM-800 187483-31-4, U-100A 193830-08-9, GDF5 198481-33-3, TSE 424 287714-41-4, Rosuvastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)  
 (androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT 9028-35-7, HMG-CoA reductase 94716-09-3, Cathepsin K 165245-96-5, P38 Kinase  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (inhibitors; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

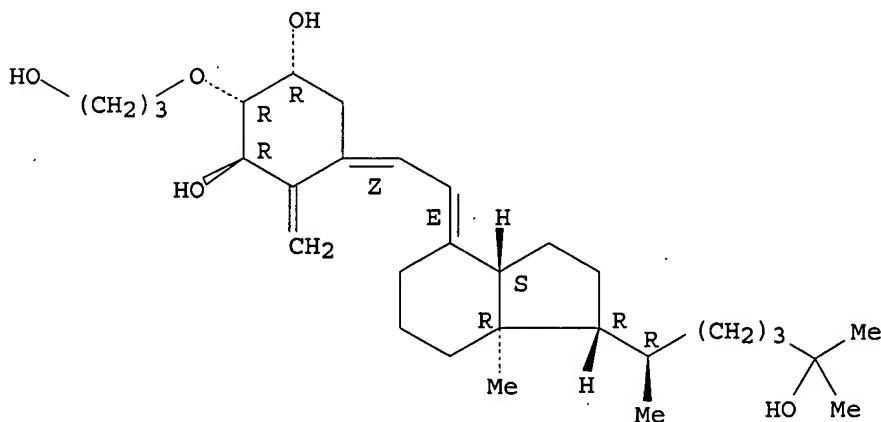
IT 9000-83-3, Vacuolar ATPase  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (proton-translocating, osteoclast vacuolar ATPase inhibitors; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT 9002-72-6, Growth hormone  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (secretagogues; androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

IT 104121-92-8, ED71  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (androstane compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases)

RN 104121-92-8 HCAPLUS  
 CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).  
 Double bond geometry as shown.



L37 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2001:636041 HCAPLUS  
 DN 135:195700  
 ED Entered STN: 31 Aug 2001  
 TI Preparation of vitamin D derivatives having substituents at the 2 $\alpha$ -position  
 IN Takayama, Hiroaki; Kittaka, Atsushi; Suhara, Yoshitomo; Fujishima, Toshie  
 PA Chugai Seiyaku Kabushiki Kaisha, Japan

SO PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

IC ICM C07C401-00

ICS A61K031-59; A61P003-02

CC 32-7 (Steroids)

Section cross-reference(s): 1

FAN.CNT 1

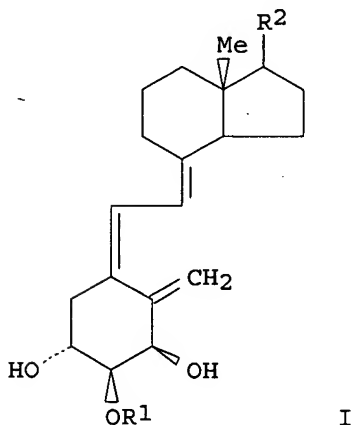
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001062723	A1	20010830	WO 2001-JP1451	20010227 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 2001034189	A5	20010903	AU 2001-34189	20010227 <--
	EP 1260502	A1	20021127	EP 2001-906339	20010227 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003022872	A1	20030130	US 2002-220146	20020827 <--
PRAI	JP 2000-50915	A	20000228	<--	
	WO 2001-JP1451	W	20010227	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
WO 2001062723	ICM	C07C401-00	
	ICS	A61K031-59; A61P003-02	
WO 2001062723	ECLA	A61K031/59; C07C401/00+IPC	<--
US 2003022872	NCL	514/167.000	<--

OS CASREACT 135:195700; MARPAT 135:195700

GI



AB Title compds. [I; R1, R2 independently = hydroxyalkyl, alkyl] are prepared  
 Thus, the title compound I (R1 = CH(CH3)CH2CH2CH2C(CH3)2OH; R2 = (CH2)3OH)  
 was prepared and biol. tested for bovine thymus VDR (vitamin D receptor)

binding affinity.

ST vitamin D analog prepn

IT Vitamin D receptors  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (preparation of  $\alpha$ -position-substituted vitamin D derivs.)

IT 357332-33-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of  $2\alpha$ -position-substituted vitamin D derivs.)

IT 504-63-2, 1,3-Propanediol 773-64-8, 2-Mesitylenesulfonyl chloride 1066-54-2, Trimethylsilylacetylene 18162-48-6, Tert-Butyldimethylsilyl chloride 69739-34-0, tert-Butyl dimethyl silyl triflate 71184-14-0 143705-63-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of  $\alpha$ -position-substituted vitamin D derivs.)

IT 299410-93-8P 299410-95-0P 299410-99-4P 299411-01-1P 299411-03-3P 299411-05-5P 299411-07-7P 299411-09-9P 299411-11-3P 299411-13-5P 299411-15-7P 357332-20-8P 357332-31-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of  $\alpha$ -position-substituted vitamin D derivs.)

IT 1406-16-2DP, vitamin D, derivs.  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of  $\alpha$ -position-substituted vitamin D derivs.)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE -

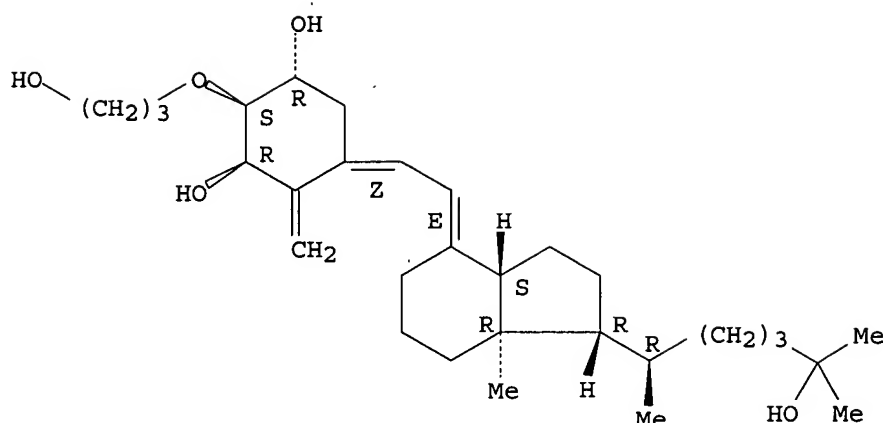
- (1) Chugai Pharmaceutical Co Ltd; JP 63107929 A 1988 HCAPLUS
- (2) Chugai Seiyaku Kabushiki Kaisha; JP 08259526 A HCAPLUS
- (3) Chugai Seiyaku Kabushiki Kaisha; WO 9622973 A1 HCAPLUS
- (4) Chugai Seiyaku Kabushiki Kaisha; EP 806413 A1 1997 HCAPLUS
- (5) Chugai Seiyaku Kabushiki Kaisya; JP 08259526 A HCAPLUS
- (6) Chugai Seiyaku Kabushiki Kaisya; WO 9622973 A1 HCAPLUS
- (7) Chugai Seiyaku Kabushiki Kaisya; EP 806413 A1 1997 HCAPLUS
- (8) Kittaka; Org Lett 2000, V2(17), P2619 HCAPLUS
- (9) Kittaka; Org Lett 2000, V2(17), P2619 HCAPLUS

IT 357332-33-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of  $2\alpha$ -position-substituted vitamin D derivs.)

RN 357332-33-3 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1 $\alpha$ ,2 $\alpha$ ,3 $\beta$ ,5Z,7E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).  
 Double bond geometry as shown.



L37 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2001:167812 HCAPLUS  
 DN 134:212745  
 ED Entered STN: 09 Mar 2001  
 TI Soft capsules comprising vitamin D3 derivatives  
 IN Iida, Yoshimitsu; Ogawa, Yutaka  
 PA Chugai Seiyaku Kabushiki Kaisha, Japan  
 SO PCT Int. Appl., 18 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 IC ICM A61K031-593  
 ICS A61K009-48; A61K047-02; A61K047-14; A61K047-34; A61K047-44  
 CC 63-6 (Pharmaceuticals)  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001015702	A1	20010308	WO 2000-JP5922	20000831 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1208843	A1	20020529	EP 2000-956845	20000831 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TW 561047	B	20031111	TW 2000-89117822	20000831 <--
US 6893658	B1	20050517	US 2002-69755	20000831 <--
HK 1047054	A1	20050415	HK 2002-108800	20021203 <--
PRAI JP 1999-244828	A	19990831		<--
WO 2000-JP5922	W	20000831		<--

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001015702	ICM	A61K031-593
	ICS	A61K009-48; A61K047-02; A61K047-14; A61K047-34; A61K047-44

WO 2001015702 ECLA A61K009/48H4; A61K031/59P; A61K031/593 <--  
 EP 1208843 ECLA A61K009/48H4; A61K031/593 <--  
 US 6893658 NCL 424/456.000; 424/646.000; 424/648.000; 514/962.000;  
 514/972.000  
 ECLA A61K009/48H4; A61K031/59P; A61K031/593 <--

AB Soft capsules of activated vitamin D3 homologs which are made of materials highly safe to the human body, have assured light stability and heat stability, allow easy differentiation of the contents of active ingredients, and can be appropriately produced in practice. These soft capsules of activated vitamin D3 homologs, such as 1 $\alpha$ -hydroxyvitamin D3, contain, in the drug coating, a white pigment and yellow iron oxide and/or red iron oxide, or titanium oxide and caramel, or yellow iron oxide.

ST soft capsule vitamin D3 deriv pigment  
 IT Drug delivery systems  
 (capsules, soft; stable soft capsules comprising vitamin D3 derivs.)

IT Caramel (color)  
 (stable soft capsules comprising vitamin D3 derivs.)

IT Glycerides, biological studies  
 Polyoxyalkylenes, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (stable soft capsules comprising vitamin D3 derivs.)

IT Fats and Glyceridic oils, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (vegetable; stable soft capsules comprising vitamin D3 derivs.)

IT 57-55-6D, Propylene glycol, diesters with fatty acids 102-76-1, Triacetin 1309-37-1, Red iron oxide, biological studies 13463-67-7, Titanium oxide, biological studies 19356-17-3, 25-Hydroxyvitamin D3 25322-68-3, Polyethylene glycol 32222-06-3, 1 $\alpha$ , 25-Dihydroxyvitamin D3 41294-56-8, 1 $\alpha$ -Hydroxyvitamin D3 51274-00-1, Yellow iron oxide 58239-34-2, 24-Hydroxyvitamin D3 60965-80-2, 1 $\alpha$ , 24-Dihydroxyvitamin D3 72203-93-1, 1 $\alpha$ , 24, 25-Trihydroxyvitamin D3 103909-75-7, 22-Oxa-1 $\alpha$ ,25-dihydroxyvitamin D3 104121-92-8, 2 $\beta$ -(3-Hydroxypropoxy)-1 $\alpha$ ,25-dihydroxyvitamin D3  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (stable soft capsules comprising vitamin D3 derivs.)

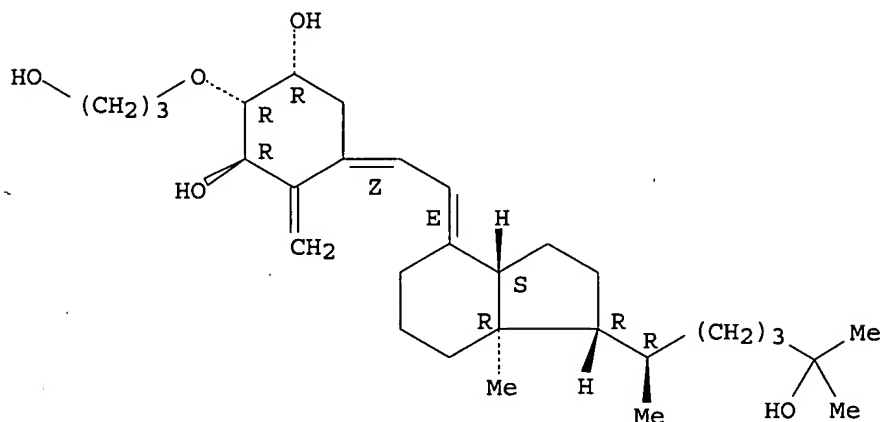
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 RE  
 (1) Bayer A G; ES 2001945 A HCAPLUS  
 (2) Bayer A G; DE 3532129 A HCAPLUS  
 (3) Bayer A G; US 4693892 A HCAPLUS  
 (4) Bayer A G; JP 6268860 A  
 (5) Bayer A G; AU 8662159 A HCAPLUS  
 (6) Bayer A G; EP 221277 A2 1987 HCAPLUS  
 (7) Fuso Yakuhin Kogyo K K; JP 01157911 A 1989 HCAPLUS  
 (8) Parke Davis K K; JP 55141242 A 1980 HCAPLUS  
 (9) Parke Davis K K; JP 55141242 A 1980 HCAPLUS  
 (10) Takeda Chem Ind Ltd; JP 52151724 A 1977 HCAPLUS  
 (11) Takeda Chem Ind Ltd; JP 52151724 A 1977 HCAPLUS  
 (12) Toyo Jozo K K; JP 63166824 A 1988 HCAPLUS  
 (13) Toyo Jozo K K; JP 63166824 A 1988 HCAPLUS

IT 13463-67-7, Titanium oxide, biological studies 104121-92-8, 2 $\beta$ -(3-Hydroxypropoxy)-1 $\alpha$ ,25-dihydroxyvitamin D3  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (stable soft capsules comprising vitamin D3 derivs.)

RN 13463-67-7 HCAPLUS  
 CN Titanium oxide (TiO2) (8CI, 9CI) (CA INDEX NAME)

O=Ti=O

RN 104121-92-8 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-,  
(1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)-(9CI) (CA INDEX NAME)Absolute stereochemistry. Rotation (+).  
Double bond geometry as shown.

L37 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:464924 HCAPLUS

DN 133:99575

ED Entered STN: 11 Jul 2000

TI Vitamin D3 derivatives for treatment of inflammatory respiratory diseases

IN Takenouchi, Kazuya; Mihashi, Hiroaki; Ota, Tomohiro; Hamamura, Ichiro;  
Takano, Yasuhiro

PA Teijin Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 8 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

IC ICM A61K031-593

ICS A61P011-00; A61P011-02; A61P011-06; A61P011-04; A61P029-00

CC 1-9 (Pharmacology)

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000191537	A2	20000711	JP 1998-367556	19981224 <--
PRAI	JP 1998-367556		19981224	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
JP 2000191537	ICM	A61K031-593
	ICS	A61P011-00; A61P011-02; A61P011-06; A61P011-04; A61P029-00

AB 1 $\alpha$ -Hydroxyvitamin D3, 1 $\alpha$ ,24(R)-dihydroxyvitamin D3 (I),  
1 $\alpha$ ,25-dihydroxyvitamin D3, 1 $\alpha$ ,24,25-trihydroxyvitamin D3,  
24,24-difluoro-1 $\alpha$ ,25-dihydroxyvitamin D3, 26,26,26,27,27,27-  
hexafluoro-1 $\alpha$ ,25-dihydroxyvitamin D3, 22-oxa-1 $\alpha$ ,25-  
dihydroxyvitamin D3, 22-dehydro-1 $\alpha$ ,24(S)-dihydroxyvitamin  
D3-25,26,27-cyclopropane, 20-epi-22-oxa-24a,26a,27a-trihomo-1 $\alpha$ ,25-



dihydroxyvitamin D<sub>3</sub>, 16-en-23-yne-1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub>, 2 $\beta$ -(3-hydroxypropoxy)-1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub>, or their pharmacol. acceptable solvates are useful for treatment of pulmonary emphysema, pneumonia, asthma, etc. I p.o. suppressed neutrophile infiltration with ED<sub>50</sub> of 8.6  $\mu$ g/kg in LPS-induced pneumonia in hamsters.

ST vitamin D<sub>3</sub> treatment inflammatory respiratory disease

IT Anti-inflammatory agents

(nonsteroidal; vitamin D<sub>3</sub> derivs. for treatment of inflammatory respiratory diseases)

IT **Allergy inhibitors**

Antiasthmatics

(vitamin D<sub>3</sub> derivs. for treatment of inflammatory respiratory diseases)

IT 32222-06-3, 1 $\alpha$ ,25-Dihydroxyvitamin D<sub>3</sub> 41294-56-8,  
1 $\alpha$ -Hydroxyvitamin D<sub>3</sub> 57333-96-7 72203-93-1, 1 $\alpha$ ,24,25-  
Trihydroxyvitamin D<sub>3</sub> 72696-49-2 83805-11-2 103909-75-7,  
22-Oxa-1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub> **104121-92-8**,  
2 $\beta$ -(3-Hydroxypropoxy)-1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub> 118694-43-2  
131875-08-6 282543-22-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(vitamin D<sub>3</sub> derivs. for treatment of inflammatory respiratory diseases)

IT **104121-92-8**, 2 $\beta$ -(3-Hydroxypropoxy)-1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub>

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

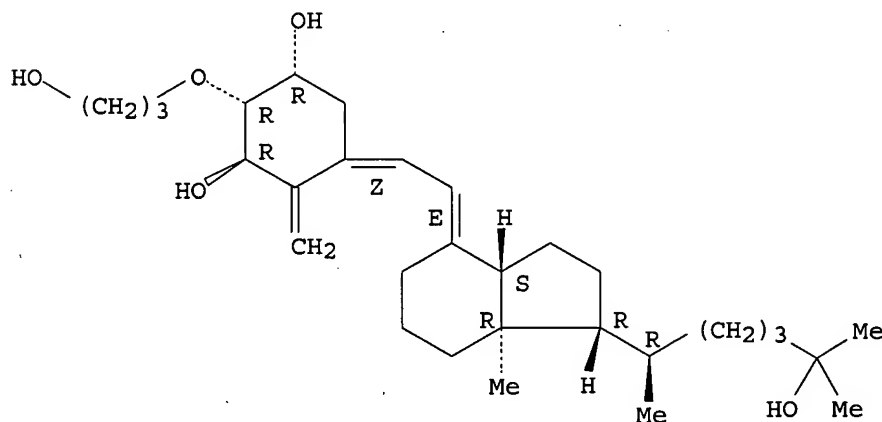
(vitamin D<sub>3</sub> derivs. for treatment of inflammatory respiratory diseases)

RN 104121-92-8 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.



L37 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:761888 HCAPLUS

DN 130:20596

ED Entered STN: 04 Dec 1998

TI Cyclic ether vitamin D<sub>3</sub> compounds, 1 $\alpha$ -hydroxy-3-epivitamin D<sub>3</sub> compounds and uses thereof

IN Reddy, Satayanarayana G.  
 PA Women & Infant's Hospital, USA  
 SO PCT Int. Appl., 93 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM C07D309-06  
 ICS C07C401-00; A61K031-045  
 CC 1-12 (Pharmacology)  
 Section cross-reference(s): 2, 63  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9851678	A1	19981119	WO 1998-US10062	19980515 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2289209	AA	19981119	CA 1998-2289209	19980515 <--
	AU 9874936	A1	19981208	AU 1998-74936	19980515 <--
	AU 743514	B2	20020124		
	EP 981523	A1	20000301	EP 1998-922374	19980515 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6100294	A	20000808	US 1998-79942	19980515 <--
	JP 2002505668	T2	20020219	JP 1998-549630	19980515 <--
	US 6121312	A	20000919	US 1999-410223	19990930 <--
	US 6479538	B1	20021112	US 2000-617881	20000717 <--
	US 2003125309	A1	20030703	US 2002-188320	20020701 <--
PRAI	US 1997-46690P	P	19970516	<--	
	US 1998-79942	A3	19980515	<--	
	WO 1998-US10062	W	19980515	<--	
	US 2000-617881	A1	20000717	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9851678	ICM	C07D309-06
	ICS	C07C401-00; A61K031-045
WO 9851678	ECLA	C07C401/00; C07D303/14; C07D307/32C; C07D309/04; C07D309/06
US 6100294	NCL	514/451.000; 514/460.000; 549/416.000; 552/653.000
	ECLA	C07C401/00; C07D303/14; C07D307/32C; C07D309/04
US 6121312	NCL	514/451.000; 514/460.000; 549/356.000; 549/416.000; 549/417.000; 549/428.000
	ECLA	C07C401/00; C07D303/14; C07D307/32C; C07D309/04; C07D309/06
US 6479538	NCL	514/451.000; 424/562.000; 424/573.000; 424/577.000; 514/460.000; 549/423.000
	ECLA	C07C401/00; C07D303/14; C07D307/32C; C07D309/04; C07D309/06
US 2003125309	NCL	514/167.000
	ECLA	C07C401/00; C07D303/14; C07D307/32C; C07D309/04; C07D309/06

OS MARPAT 130:20596

AB Novel cyclic ether vitamin D3 compds. having a cyclic ether side chain are disclosed. These compds. were first identified as metabolites of

3-epivitamin D3 produced via a tissue-specific metabolic pathway which catalyzes the formation of a cyclic ether structure. Also disclosed are 1 $\alpha$ -hydroxy-3-epivitamin D3 compds., which are produced via the epimerization of a 3- $\beta$ -hydroxyl group of 1 $\alpha$ -hydroxy-3-vitamin D3 precursor in vivo. The vitamin D3 compds. of the present invention can be used as substitutes for natural and synthetic vitamin D3 compds.

ST vitamin D3 cyclic ether therapeutics; skin hyperproliferative disorder  
 vitamin D3 cyclic ether; endocrine disorder vitamin D3 cyclic ether;  
 osteoporosis vitamin D3 cyclic ether; osteodystrophy vitamin D3 cyclic  
 ether; hyperparathyroidism vitamin D3 cyclic ether; cirrhosis vitamin D3  
 cyclic ether; osteosarcoma vitamin D3 cyclic ether

IT Endocrine system  
 (disease; therapeutic activity of cyclic ether vitamin D3 compds.,)

IT **Skin**  
 (hyperproliferative disorders; therapeutic activity of cyclic ether  
 vitamin D3 compds.,)

IT Bone, neoplasm  
 (osteosarcoma, inhibitors; therapeutic activity of cyclic ether vitamin  
 D3 compds.,)

IT Bone, neoplasm  
 (osteosarcoma, vitamin D3 cyclic ether metabolism; therapeutic activity of  
 cyclic ether vitamin D3 compds.,)

IT Antitumor agents  
 (osteosarcoma; therapeutic activity of cyclic ether vitamin D3  
 compds.,)

IT Bone, disease  
 Cirrhosis  
 Drug delivery systems  
 Hyperparathyroidism  
 (therapeutic activity of cyclic ether vitamin D3 compds.,)

IT Osteoporosis  
 (therapeutic agents; therapeutic activity of cyclic ether vitamin D3  
 compds.,)

IT 7440-70-2, Calcium, biological studies 14265-44-2, Phosphate, biological  
 studies  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
 (Biological study); PROC (Process)  
 (metabolism; therapeutic activity of cyclic ether vitamin D3 compds.,)

IT 67-97-0 19356-17-3 32222-06-3 41294-56-8 42737-58-6 42737-59-7  
 55721-11-4 56142-94-0 56142-95-1 57333-95-6 57333-96-7  
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 66791-71-7 67869-32-3 70834-98-9 71699-09-7 72696-49-2  
 73837-24-8 73837-25-9 77372-59-9 77714-47-7 77714-48-8  
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 95464-24-7 95464-25-8 95783-08-7 95783-09-8 97473-92-2  
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 120244-55-5 120244-56-6 120268-16-8 120328-18-9 121664-09-3  
 121664-10-6 122619-92-5 122778-14-7 123000-43-1 123000-44-2  
 123963-51-9 123963-52-0 124409-57-0 124409-58-1 124409-59-2  
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 126714-48-5 126714-50-9 126714-51-0 126860-83-1 126860-84-2

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132071-85-3	133910-08-4	133910-09-5	133910-10-8	133910-11-9
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216243-96-8	216244-00-7	216244-02-9	216244-04-1	216244-06-3
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216244-44-9	216244-51-8	216244-85-8	216245-35-1	216245-36-2
216245-40-8	216245-45-3	216245-50-0	216246-06-9	216246-23-0
216246-26-3	216246-28-5	216246-46-7	216246-65-0	216246-70-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(therapeutic activity of cyclic ether vitamin D3 compds.,)

IT 216246-78-5 216246-81-0 216246-82-1 216246-84-3 216246-85-4  
 216246-89-8 216246-93-4 216247-09-5 216247-10-8 216247-13-1  
 216247-15-3 216247-16-4 216247-19-7 216247-20-0 216247-22-2  
 216247-25-5 216247-26-6 216247-30-2 216250-48-5 216383-19-6  
 216383-20-9 216383-22-1 216383-23-2 216383-24-3 216383-25-4  
 216383-29-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(therapeutic activity of cyclic ether vitamin D3 compds.,)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Muralidharan, K; JOURNAL OF ORGANIC CHEMISTRY 1993, V58(7), P1895 HCAPLUS
- (2) Okamura, W; JOURNAL OF ORGANIC CHEMISTRY 1978, V43(4), P574 HCAPLUS
- (3) Scheddin, D; STEROIDS 1996, V61(10), P598 HCAPLUS

IT 104121-92-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

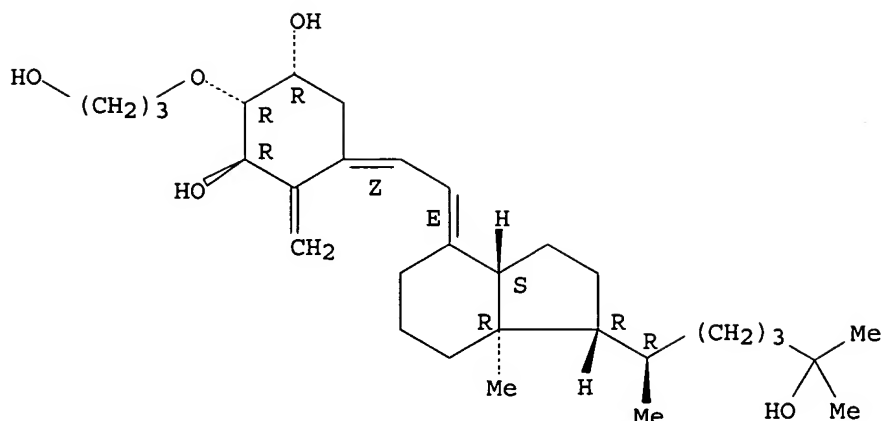
(therapeutic activity of cyclic ether vitamin D3 compds.,)

RN 104121-92-8 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.



L37 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1998:55613 HCAPLUS  
 DN 128:128182  
 ED Entered STN: 30 Jan 1998  
 TI Crystals of vitamin D derivatives and process for the preparation thereof  
 IN Yamauchi, Tsuyoshi  
 PA Chugai Seiyaku K. K., Japan; Yamauchi, Tsuyoshi  
 SO PCT Int. Appl., 37 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 IC ICM C07C401-00  
 ICS C07J009-00  
 CC 32-7 (Steroids)  
 Section cross-reference(s): 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9800397	A1	19980108	WO 1997-JP2060	19970616 <--
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	JP 10072432	A2	19980317	JP 1997-155140	19970612 <--
	JP 3429432	B2	20030722		
	TW 584627	B	20040421	TW 1997-86108125	19970612 <--
	CA 2259339	AA	19980108	CA 1997-2259339	19970616 <--
	AU 9731073	A1	19980121	AU 1997-31073	19970616 <--
	AU 717568	B2	20000330		
	EP 924199	A1	19990623	EP 1997-926253	19970616 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	CN 1223639	A	19990721	CN 1997-196017	19970616 <--
	IL 127861	A1	20040601	IL 1997-127861	19970616 <--
	US 2002111503	A1	20020815	US 1998-202144	19981209 <--
	US 6448421	B2	20020910		
	KR 2000022113	A	20000425	KR 1998-710523	19981222 <--
	US 2003018206	A1	20030123	US 2002-193247	20020712 <--

US 6831183	B2	20041214		
US 2005009794	A1	20050113	US 2004-821973	20040412 <--
PRAI JP 1996-171321	A	19960701	<--	
WO 1997-JP2060	W	19970616	<--	
US 1998-202144	A3	19981209	<--	
US 2002-193247	A3	20020712	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
WO 9800397	ICM	C07C401-00	
	ICS	C07J009-00	
WO 9800397	ECLA	C07C401/00; C07J009/00; C07J071/00B1	<--
EP 924199	ECLA	C07C401/00; C07J009/00; C07J071/00B1	<--
US 2002111503	NCL	552/653.000	<--
US 2003018206	NCL	552/541.000	
	ECLA	C07C401/00; C07J009/00; C07J071/00B1	<--
US 2005009794	NCL	514/169.000	
	ECLA	C07C401/00; C07J009/00; C07J071/00B1	<--

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Crystalline title compound I was prepared by purifying the crude or roughly purified compound by reversed phase chromatog. and crystallization of the purified

derivs. in organic solvents. This purification process for vitamin D derivs. enables the constant and mass supply of high-purity vitamin D derivs., particularly ED-71. Thus, epoxide II was reacted with 1,3-propanediol in the presence of potassium tert-butoxide to give the tetraol III, which was irradiated with a 400W Hg lamp followed by refluxing in THF to give the ring cleavage product IV, which was eluted over a DIACH ROMA ODS N-20 column with MeCN to give 36% I.

ST cryst vitamin D deriv prepn

IT Crystal structure

(preparation of crystalline a vitamin D derivative and its properties)

IT 104121-92-8P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(preparation of crystalline a vitamin D derivative and its properties)

IT 504-63-2, 1,3-Propanediol 151546-04-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of crystalline a vitamin D derivative and its properties)

IT 151546-09-7P 201854-22-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of crystalline a vitamin D derivative and its properties)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Anon; Bioorganic & Medicinal Chemistry Letters 1994, V4(12), P1523

(2) Chugai Pharmaceutical Co Ltd; JP 07-173133 A 1995 HCAPLUS

(3) Eisai Co Ltd; JP 51-15609 A 1976 HCAPLUS

(4) Nisshin Flour Milling Co Ltd; JP 07-112998 A 1995 HCAPLUS

IT 104121-92-8P

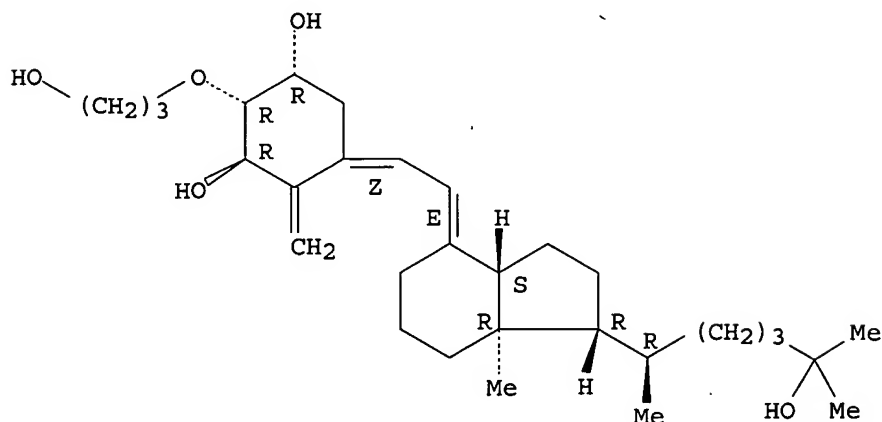
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(preparation of crystalline a vitamin D derivative and its properties)

RN 104121-92-8 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-,  
(1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).  
Double bond geometry as shown.



L37 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 1996:569660 HCAPLUS  
DN 125:196104  
ED Entered STN: 25 Sep 1996  
TI Preparation of 2-substituted vitamin D3 derivatives for increased calcium absorption  
IN Ono, Yoshiyuki  
PA Chugai Seiyaku Kabushiki Kaisha, Japan  
SO PCT Int. Appl., 23 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
IC ICM C07C401-00  
ICS A61K031-59  
CC 32-7 (Steroids)  
Section cross-reference(s): 1  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9622973	A1	19960801	WO 1996-JP91	19960122 <--
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KE, KG, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
JP 08259526	A2	19961008	JP 1996-38649	19960119 <--
CA 2210106	AA	19960801	CA 1996-2210106	19960122 <--
AU 9644592	A1	19960814	AU 1996-44592	19960122 <--
EP 806413	A1	19971112	EP 1996-900724	19960122 <--
EP 806413	B1	20011212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 210642	E	20011215	AT 1996-900724	19960122 <--
PT 806413	T	20020328	PT 1996-900724	19960122 <--
ES 2169220	T3	20020701	ES 1996-900724	19960122 <--
US 5883271	A	19990316	US 1997-875292	19971008 <--
PRAI JP 1995-42245	A	19950123	<--	
WO 1996-JP91	W	19960122	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9622973	ICM	C07C401-00
	ICS	A61K031-59
WO 9622973	ECLA	C07C401/00; C07J009/00; C07J009/00B; C07J051/00; C07J071/00B1
EP 806413	ECLA	C07C401/00; C07J009/00; C07J009/00B; C07J051/00; C07J071/00B1
US 5883271	NCL	552/653.000
	ECLA	C07C401/00; C07J009/00; C07J009/00B; C07J051/00; C07J071/00B1

OS MARPAT 125:196104  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. [I; R1, R2 = the same or different and each represents C1-4 alkyl; R3 = C1-7 alkoxy optionally substituted by hydroxy, halo, cyano, C1-4 alkoxy, amino or acylamino; provided that R1 and R2 do not represent Me at the same time] are prepared Thus, 1 $\alpha$ ,2 $\alpha$ -epoxy-3 $\beta$ -hydroxy-20(R)-(3-methoxycarbonylpropyl)pregna-5,7-diene was reacted with 1,3-propanediol in the presence of t-BuOK to give 1 $\alpha$ ,3 $\beta$ -dihydroxy-2 $\beta$ -(3-hydroxypropoxy)-20(R)-(3-methoxycarbonylpropyl)pregna-5,7-diene, which was reacted with EtMgBr and the product was irradiated with a 400W high pressure Hg lamp for 90 s to give the title compound II [R1 = R2 = Et]. In an in vitro study using which were fed with feed containing 1.2% calcium, this at 0.04  $\mu$ g/Kg increased bone d. (not quantified) compared with the control.

ST vitamin D3 deriv prepn calcium absorption  
IT Bone  
(d.; preparation of 2-substituted vitamin D3 derivs. for increased calcium absorption)

IT 181128-84-7P 181128-88-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 2-substituted vitamin D3 derivs. for increased calcium absorption)

IT 504-63-2, 1,3-Propanediol 925-90-6, Ethylmagnesium bromide 927-77-5, Propylmagnesium bromide 7440-70-2, Calcium, reactions 69739-34-0, tert-Butyldimethylsilyl triflate 99221-13-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of 2-substituted vitamin D3 derivs. for increased calcium absorption)

IT 181128-82-5P 181128-83-6P 181128-85-8P 181128-86-9P 181128-87-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 2-substituted vitamin D3 derivs. for increased calcium absorption)

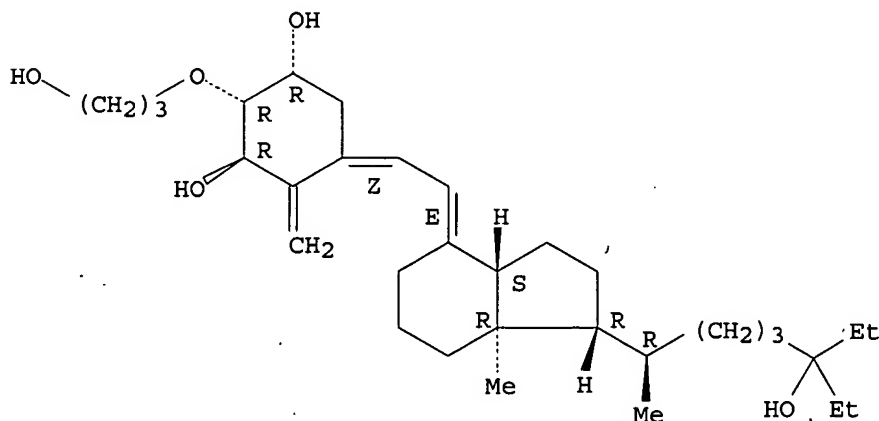
IT 181128-84-7P 181128-88-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 2-substituted vitamin D3 derivs. for increased calcium absorption)

RN 181128-84-7 HCAPLUS



CN 1,3-Cyclohexanediol, 5-[(2E)-[(1R,3aS,7aR)-1-[(1R)-5-ethyl-5-hydroxy-1-methylheptyl]octahydro-7a-methyl-4H-inden-4-ylidene]ethylidene]-2-(3-hydroxypropoxy)-4-methylene-, (1R,2R,3R,5Z)- (9CI) (CA INDEX NAME)

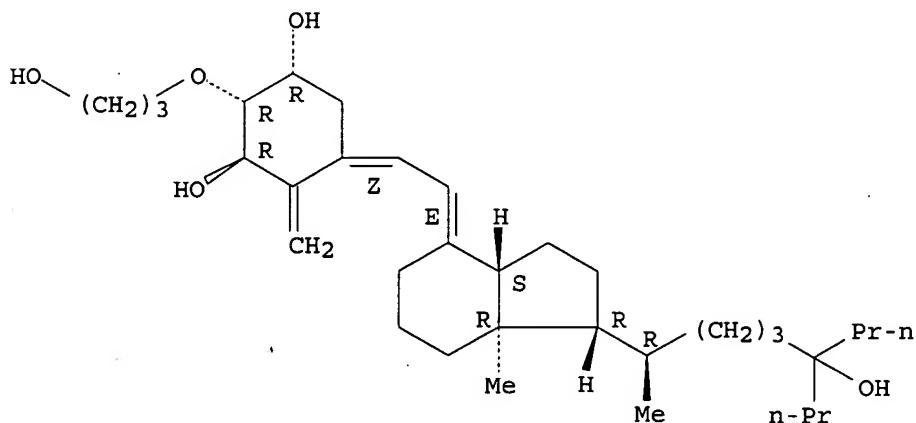
Absolute stereochemistry.  
Double bond geometry as shown.



RN 181128-88-1 HCAPLUS

CN 1,3-Cyclohexanediol, 2-(3-hydroxypropoxy)-4-methylene-5-[(2E)-[(1R,3aS,7aR)-octahydro-1-[(1R)-5-hydroxy-1-methyl-5-propyloctyl]-7a-methyl-4H-inden-4-ylidene]ethylidene]-, (1R,2R,3R,5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L37 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:211898 HCAPLUS

DN 124:307616

ED Entered STN: 13 Apr 1996

TI Bone consolidants containing steroid compounds

IN Yamamoto, Yoshizo; Sato, Katsuhiko

PA Chugai Pharmaceutical Co Ltd, Japan

SO Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DT Patent  
 LA Japanese  
 IC ICM A61K031-59  
 ICS A61K031-59  
 CC 1-12 (Pharmacology)  
 Section cross-reference(s) : 2

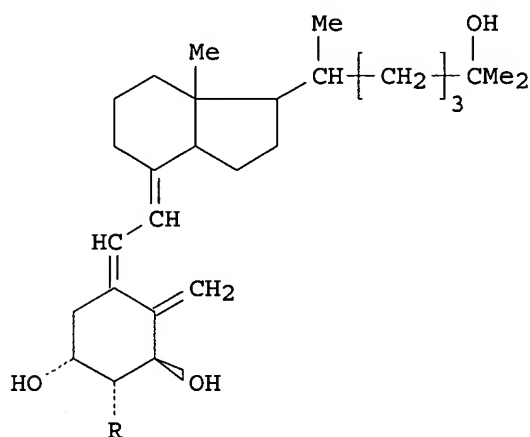
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 08012580	A2	19960116	JP 1995-125894	19950426 <--
PRAI	JP 1995-125894	A	19950426	<--	
	JP 1994-112007		19940427	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
JP 08012580	ICM	A61K031-59
	ICS	A61K031-59

OS MARPAT 124:307616  
 GI



AB Bone consolidants containing steroids I [R = H, (un)substituted lower alkyl, (un)substituted lower alkoxy] as active ingredients are claimed. The bone consolidants are useful in bone elongation and for treatment after osteotomy, fracture, and bone transplantation. I [R = (CH<sub>2</sub>)<sub>3</sub>OH] dose-dependently increased bone amount in bone elongation in a rabbit and the peak of the increase in bone amount was obtained earlier than an untreated control.

ST bone consolidant dihydroxyvitamin D3 deriv  
 IT Bone

**Wound healing promoters**

(bone consolidants containing dihydroxyvitamin D3 derivative useful in bone elongation and for treatment after osteotomy, fracture, and bone transplantation)

IT Surgery  
 (bone elongation; bone consolidants containing dihydroxyvitamin D3 derivative)

useful in bone elongation and for treatment after osteotomy, fracture, and bone transplantation)

IT Transplant and Transplantation

(bone; bone consolidants containing dihydroxyvitamin D3 derivative useful in bone elongation and for treatment after osteotomy, fracture, and bone transplantation)

IT Bone, disease

(fracture, bone consolidants containing dihydroxyvitamin D3 derivative

useful

in bone elongation and for treatment after osteotomy, fracture, and bone transplantation)

IT Bone

(transplant, bone consolidants containing dihydroxyvitamin D3 derivative

useful

in bone elongation and for treatment after osteotomy, fracture, and bone transplantation)

IT 104121-92-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(bone consolidants containing dihydroxyvitamin D3 derivative useful in bone elongation and for treatment after osteotomy, fracture, and bone transplantation)

IT 104121-92-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

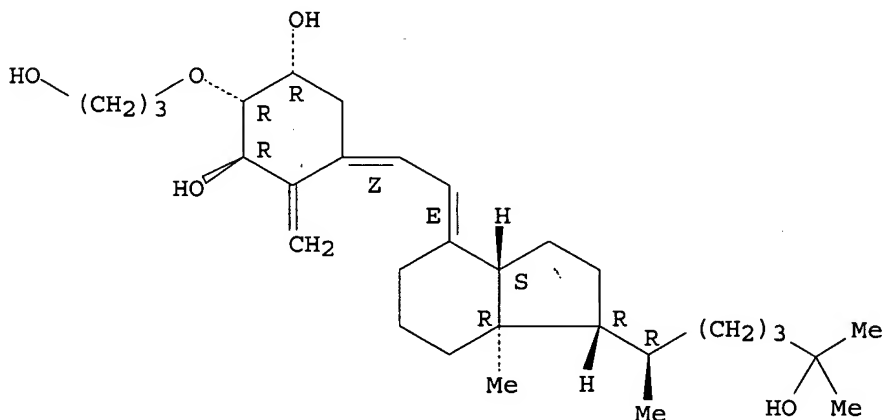
(bone consolidants containing dihydroxyvitamin D3 derivative useful in bone elongation and for treatment after osteotomy, fracture, and bone transplantation)

RN 104121-92-8 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.



L37 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:142232 HCAPLUS

DN 124:185587

ED Entered STN: 12 Mar 1996

TI Pharmaceutical compositions comprising vitamin D analogs

IN Kost, Joseph; Shany, Shraga; Lamprecht, Sergio A.; Segal, Carmen

PA Ben-Gurion University of the Negev, Israel

SO PCT Int. Appl., 31 pp.

CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61K031-59  
 ICS A61K009-20  
 CC 63-6 (Pharmaceuticals)  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9600074	A1	19960104	WO 1995-US8005	19950622 <--
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9529980	A1	19960119	AU 1995-29980	19950622 <--
PRAI	IL 1994-110117	A	19940624	<--	
	WO 1995-US8005	W	19950622	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9600074	ICM	A61K031-59
	ICS	A61K009-20
WO 9600074	ECLA	A61K009/14H6; A61K009/20H6B; A61K031/59+A; A61K031/59P

AB A controlled-release pharmaceutical preparation comprises a Vitamin D analog in a supporting matrix, alone or together with pharmaceutically acceptable additives or active agents.

ST vitamin D analog pharmaceutical compn

IT Gelatins, biological studies  
 Peptides, biological studies  
 Phosphazene polymers  
 Polyanhydrides  
 Polymers, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical compns. comprising vitamin D analogs)

IT Neoplasm inhibitors  
 (pharmaceutical compns. comprising vitamin D analogs for cancer therapy)

IT Minerals  
 RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)  
 (pharmaceutical compns. comprising vitamin D analogs for mineral imbalance therapy)

IT Psoriasis  
 (pharmaceutical compns. comprising vitamin D analogs for psoriasis therapy)

IT Intestine, neoplasm  
 (colon, pharmaceutical compns. comprising vitamin D analogs for cancer therapy)

IT Polyethers, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (ortho ester group-containing, pharmaceutical compns. comprising vitamin D analogs)

IT Proteins, specific or class  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (vitamin D-binding, pharmaceutical compns. comprising vitamin D analogs)

IT 50-00-0D, Formaldehyde, gelatin copolymers 1406-16-2D, Vitamin d,

analogs 9002-89-5, Polyvinyl alcohol 9003-01-4, Polyacrylic acid 9003-05-8, Polyacrylamide 9003-39-8, Polyvinyl pyrrolidone 9003-47-8, Polyvinylpyridine 9004-32-4, Sodium carboxymethyl cellulose 9004-54-0, Dextran, biological studies 9004-64-2, Hydroxypropyl cellulose 9004-65-3, Hydroxypropylmethyl cellulose 9005-25-8, Starch, biological studies 9005-38-3, Sodium alginate 24937-72-2D, Poly(maleic anhydride), copolymers 24937-78-8, Ethylene-vinyl acetate copolymer 24980-41-4, Polycaprolactone 25034-58-6, Acrylamide N,N'-methylenebisacrylamide copolymer 25087-26-7, Polymethacrylic acid 25154-86-3, Poly(dimethylaminoethyl methacrylate) 25248-42-4, Polycaprolactone 25322-68-3, Polyethylene glycol 26009-03-0, Polyglycolic acid 26023-30-3, Poly[oxy(1-methyl-2-oxo-1,2-ethanediyl)] 26063-00-3, Polyhydroxybutyrate 26099-09-2D, Poly(maleic acid), half esters 26100-51-6, Polylactic acid 26124-68-5, Polyglycolic acid 26744-04-7 32222-06-3, 1,25-Dihydroxyvitamin d3 41294-56-8, 1 $\alpha$ -Hydroxyvitamin d3 54573-75-0, 1 $\alpha$ -Hydroxyvitamin d2 57333-96-7, Tv-02 62744-35-8, Poly(sodium styrene sulfonate) 83805-11-2, St 630 104121-92-8, Ed 71 112965-21-6, Mc 903 118694-43-2, Ro 23-7553 134404-52-7, Eb 1089 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. comprising vitamin D analogs)

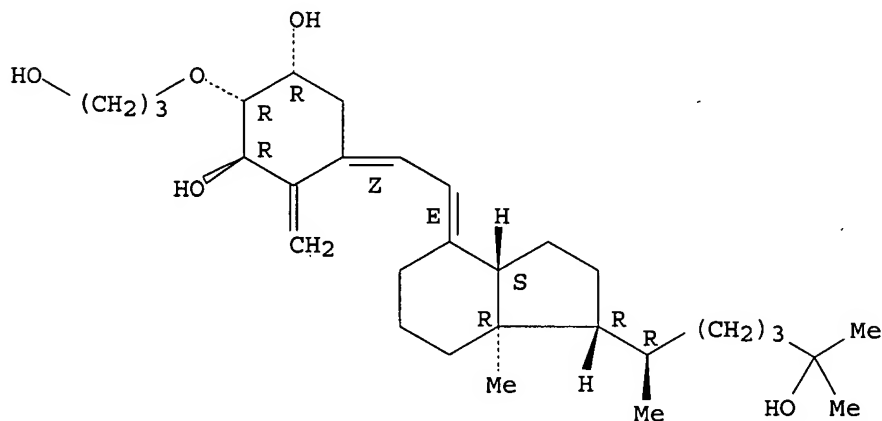
IT 104121-92-8, Ed 71

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. comprising vitamin D analogs)

RN 104121-92-8 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).  
Double bond geometry as shown.



L37 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1995:216682 HCAPLUS

DN 122:10366

ED Entered STN: 30 Nov 1994

TI preparation of provitamin D compounds

IN Kubodera, Noboru

PA Chungai Seihaku K K, Japan

SO PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

IC ICM C07J009-00

ICS C07J075-00

CC 32-7 (Steroids)

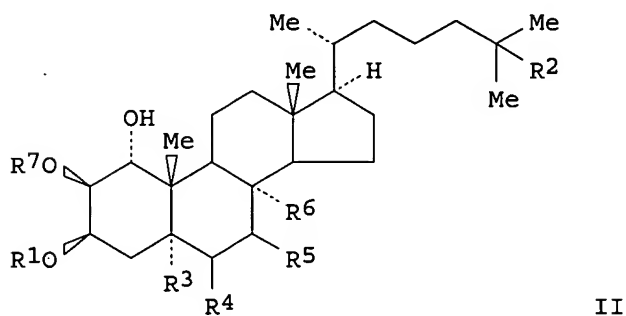
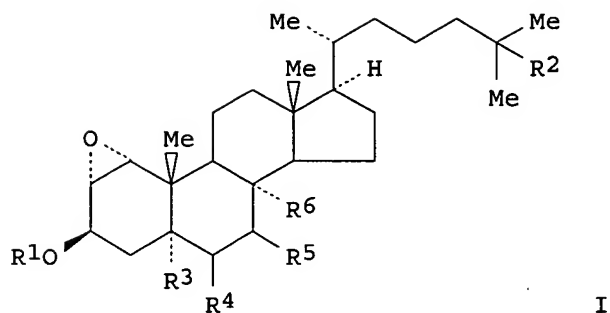
Section cross-reference(s): 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9412522	A1	19940609	WO 1993-JP1732	19931129 <--
	W: CA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 06340690	A2	19941213	JP 1993-339136	19931124 <--
	JP 3165576	B2	20010514		
	IL 107748	A1	19980816	IL 1993-107748	19931125 <--
	CA 2150233	AA	19940609	CA 1993-2150233	19931129 <--
	CA 2150233	C	20031209		
	EP 671411	A1	19950913	EP 1994-901010	19931129 <--
	EP 671411	B1	19990310		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	AT 177423	E	19990315	AT 1994-901010	19931129 <--
	ES 2130394	T3	19990701	ES 1994-901010	19931129 <--
	SG 79192	A1	20010320	SG 1996-9118	19931129 <--
	US 5874598	A	19990223	US 1996-757657	19961129 <--
PRAI	JP 1992-354360	A	19921127	<--	
	WO 1993-JP1732	W	19931129	<--	
	US 1995-433507	B1	19950512	<--	

CLASS

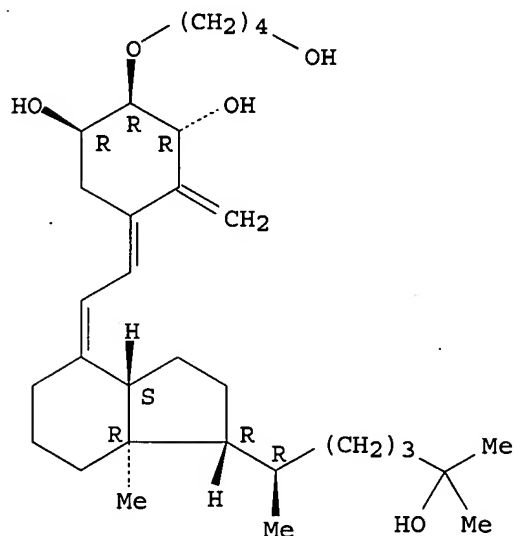
	PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
	WO 9412522	ICM	C07J009-00
		ICS	C07J075-00
	WO 9412522	ECLA	C07C401/00; C07J009/00; C07J071/00B1 <--
	EP 671411	ECLA	C07C401/00; C07J009/00; C07J071/00B1; C07J071/00C1 <--
	US 5874598	NCL	552/541.000; 540/050.000; 540/051.000; 540/060.000; 552/510.000
		ECLA	C07J009/00; C07J071/00B1 <--
OS	CASREACT 122:10366; MARPAT 122:10366		
GI			



- AB Reaction of the epoxy compound I [R1 = H, protecting group; R2 = H, OH; R3R4 and R5R6 each = bond, etc.] with alcs. gave the diol derivs. II [R7 = alkyl, cycloalkyl, (un)protected hydroxyalkyl]. E.g., 1 $\alpha$ ,2 $\alpha$ -epoxy-5 $\alpha$ ,8 $\alpha$ -(3,5-dioxo-4-phenyl-1,2,4-triazolidino)-6-cholestene-3 $\beta$ ,25-diol in DMI was heated at 140° for 5 h to give 62% I [R1 = H, R2 = OH, R3R4 = R5R6 = bond], which was reacted with 1,3-propanediol in the presence of KOtBu and benzo-18-crown-6 at 110° for 4 h to give 72% II [R1-R6 same as above, R7 = HO(CH<sub>2</sub>)<sub>3</sub>].
- ST provitamin D prepn
- IT 151546-04-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate in preparation of provitamin D compds.)
- IT 1406-16-2DP, Vitamin D, provitamin D compds.  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of provitamin D compds.)
- IT 151546-06-4P 151546-09-7P 159298-03-0P 159298-04-1P 159298-05-2P  
 159298-06-3P 159298-07-4P 159298-08-5P 159298-09-6P 159298-10-9P  
 159298-11-0P 159298-12-1P 159298-13-2P 159298-14-3P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of provitamin D compds.)
- IT 504-63-2, 1,3-Propanediol 61954-90-3 104109-72-0, 1 $\alpha$ ,2 $\alpha$ -Epoxy-3 $\beta$ -hydroxy-5,7-cholestadiene  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant in preparation of provitamin D compds.)
- IT 159298-12-1P 159298-14-3P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of provitamin D compds.)
- RN 159298-12-1 HCAPLUS
- CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(4-hydroxybutoxy)-,

(1 $\alpha$ ,2 $\beta$ ,3 $\beta$ )- (9CI) (CA INDEX NAME)

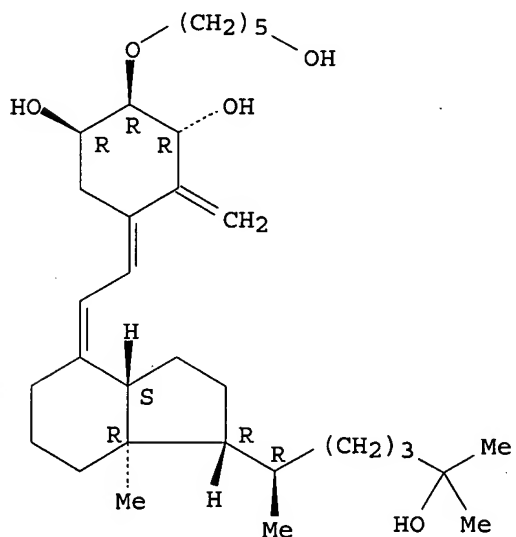
Absolute stereochemistry.  
Double bond geometry unknown.



RN 159298-14-3 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-[(5-hydroxypentyl)oxy]-  
, (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.



L37 ANSWER 15 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1993:22468 HCAPLUS

DN 118:22468

ED Entered STN: 24 Jan 1993

TI Preparation of cyclohexanetriol derivatives as intermediates in synthesis



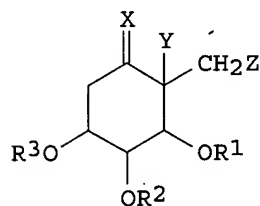
of 1-hydroxyvitamin D derivatives  
 IN Takahashi, Takashi; Shiono, Manzo  
 PA Kuraray Co., Ltd., Japan  
 SO Eur. Pat. Appl., 25 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 IC ICM C07D317-46  
 ICS C07D311-76; C07D261-20; C07D498-04; C07D493-04; C07F007-18  
 CC 32-7 (Steroids)  
 Section cross-reference(s): 1  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 503630	A1	19920916	EP 1992-104293	19920312 <--
	EP 503630	B1	19951227		
	R: CH, DK, LI, NL				
	JP 06025039	A2	19940201	JP 1992-87463	19920312 <--
	JP 3030157	B2	20000410		
	US 5334740	A	19940802	US 1992-851943	19920313 <--
	IL 101222	A1	19960331	IL 1992-101222	19920313 <--
PRAI	JP 1991-73932	A	19910313	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 503630	ICM	C07D317-46
	ICS	C07D311-76; C07D261-20; C07D498-04; C07D493-04; C07F007-18
EP 503630	ECLA	C07D261/20; C07D311/76; C07D317/46; C07D493/04+317A+311A; C07D498/04+317A+261A; C07F007/18C4D4D
US 5334740	NCL	548/110.000; 548/241.000; 549/214.000; 549/289.000; 549/362.000; 560/126.000; 568/376.000

OS MARPAT 118:22468  
 GI



AB Title compds. I (R1, R2, R3 = H, protecting group of HO; R1R2 = Me2C: X = O, R4OCH2CH: OHCCH: R5O2CCH: wherein R4, R6 = H, protecting group of HO, R5 = alkyl; Y = H; Z = R6O; YZ = bond; XZ = ON: O(R7O)CHCH: wherein R7 = H, alkyl), are prepared 4,5-(Dimethylmethylenedioxy)-3-(methoxymethoxy)-6-heptenal oxime (preparation given) in CH2Cl2 and Et3N was added to NaOCl to give 4,5-(dimethylmethylenedioxy)-6-(methoxymethoxy-3,3a,4,5,6,7-hexahydro-2,1-benzisoxazole which is subjected to hydrogenation with Raney Ni to give I (R1R2 = Me2C: R3 = MeO, X = O, Y = H, Z = HO).

ST cyclohexanetriol prepn intermediate vitamin D; vitamin D3 analog

IT 38145-93-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (condensation of, with DMF dimethylacetal)

IT 144848-24-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation of, with cyclohexylidene ethyldiphenylphosphine oxide derivative)

IT 4637-24-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation of, with diisopropylidenemannitol)

IT 144848-25-9  
RL: PROC (Process)  
(conversion of, to (hydroxypropoxy)dihydroxyvitamin D3)

IT 144847-81-4  
RL: PROC (Process)  
(conversion of, to oxime derivative)

IT 3095-95-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification of, with hydroxymethylcyclohexanone derivative)

IT 107-30-2, Methoxymethyl chloride 109-92-2, Ethyl vinyl ether  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(etherification by, of hydroxyheptenenitrile derivative)

IT 41294-56-8D, derivs.  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(intermediates for, cyclohexanetriol derivs. as)

IT 5470-11-1, Hydroxylamine hydrochloride  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(oximation by, of heptenal derivative)

IT 4541-02-0, Lithium diphenyl phosphide  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(phosphination by, of cyclohexylidene derivative)

IT 144848-18-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and allylation of)

IT 144848-21-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and chlorination of)

IT 144848-23-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and condensation with indenone derivative)

IT 144848-01-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and conversion to benzopyranone derivative)

IT 144848-02-2P 144848-10-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and conversion to cyclohexylidene acetate derivative)

IT 144847-94-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and conversion to diol derivative)

IT 4239-90-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and conversion to hexenediol)

IT 144847-87-0P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and conversion to methoxybenzopyrandiol derivative)

IT 144901-90-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and conversion to nitrile)

IT 144847-83-6P 144848-03-3P 144848-11-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and dehydration of)

IT 144847-70-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and epoxidn. of)

IT 144847-99-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and esterification by, of acetyl chloride)

IT 144847-95-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and esterification by, of acetylchloride)

IT 144848-12-4P 144848-14-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and ether cleavage of)

IT 144847-71-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and etherification of)

IT 144847-85-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and intramol. Wittig-Horner reaction of)

IT 4239-63-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and monotosylation of)

IT 144847-73-4P 144847-76-7P 144847-78-9P 144847-80-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and oxidation of)

IT 144847-74-5P 144847-75-6P 144847-79-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and oximation of)

IT 144847-89-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and phenylselenylation of)

IT 144848-22-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and phosphination of)

IT 144848-17-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and protection of)

IT 144847-72-3P 144847-77-8P 144847-82-5P 144847-86-9P 144847-91-6P  
144847-92-7P 144847-93-8P 144848-00-0P 144848-05-5P 144848-06-6P  
144848-08-8P 144848-16-8P 144848-19-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reduction of)

IT 144847-97-2P 144847-98-3P 144848-07-7P 144848-13-5P 144848-15-7P  
144848-20-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and silylation of)

IT 144848-04-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and tosylation of)

IT 104121-92-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 144847-84-7P 144847-88-1P 144847-90-5P 144847-96-1P 144848-09-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate for synthesis of hydroxyvitamin D derivs.)

IT 144848-26-0P 144848-27-1P 144848-28-2P 144848-29-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate in synthesis of hydroxyvitamin D derivs.)

IT 36637-93-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with cyclohexylidene acetate derivative)

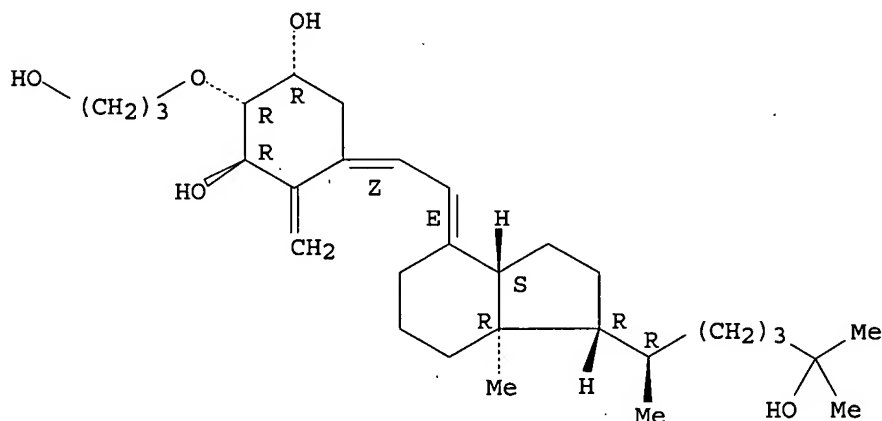
IT 18162-48-6, tert-Butyldimethylsilyl chloride  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(silylation by, of heptenenitrile)

IT 104121-92-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 104121-92-8 HCAPLUS

CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-,  
(1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).  
Double bond geometry as shown.

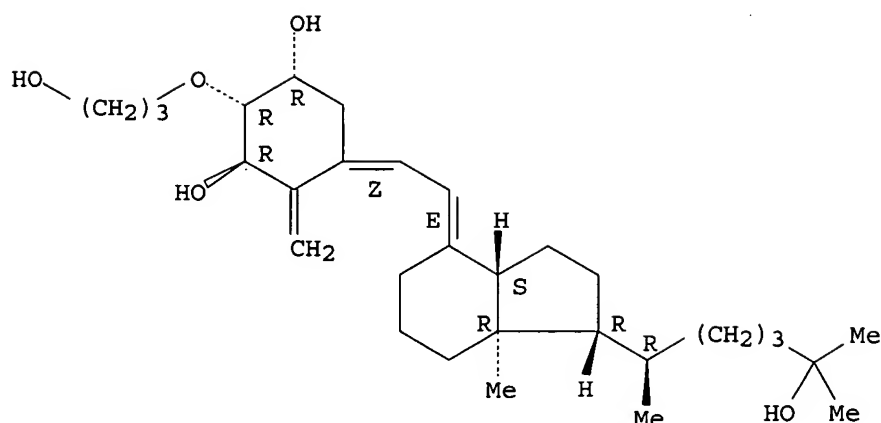


L37 ANSWER 16 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 1992:228394 HCAPLUS  
DN 116:228394  
ED Entered STN: 13 Jun 1992  
TI Possible roles of vitamin D-transport proteins in the expression of  
biological activities of vitamin D  
AU Okano, Toshio  
CS Dep. Hyg. Sci., Kobe Women's Coll. Pharm., Kobe, 658, Japan  
SO Bitamin (1992), 66(2), 65-78  
CODEN: BTMNA7; ISSN: 0006-386X  
DT Journal  
LA Japanese  
CC 2-2 (Mammalian Hormones)  
AB Possible role of vitamin D-transport proteins in the expression of biol.  
activities of vitamin D were investigated. In vitro binding studies of  
vitamin D and its derivs. on DBP (vitamin D-binding protein) and VDR  
(vitamin D receptor) have revealed that there was a significant  
relationship between chemical structures and binding affinities to DBP and

VDR, and suggested that it could be possible to regulate the expression of biol. activities of vitamin D by structural modification. To clarify the possibility, OCT (oxacalcitriol) and ED 71 (2 $\beta$ -(3-hydroxypropoxy)-1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub>), which were given side-chain modification or A-ring substitution on the structure of 1,25(OH)<sub>2</sub>D<sub>3</sub> resp., were synthesized and their biol. activities were examined. The results clearly demonstrated that the structural modification could strengthen or sep. the biol. activities of 1,25(OH)<sub>2</sub>D<sub>3</sub> by changing the binding affinity to DBP. To evaluate the nutritional significance of vitamin D in human breast and cow's milk, the levels of vitamin D and its metabolites were assayed in both milks. Neither breast milk nor cow's milk contained vitamin D sulfate. The total antirachitic activities in breast and cow's milk calculated by Reeve's conversion factors were 130 IU/L equally. Furthermore, the concns. of 1,25(OH)<sub>2</sub>D<sub>3</sub> in skin and bone marrow were very similar to that in plasma.

- ST calcitriol structure binding protein biol activity; receptor calcitriol structure biol activity
- IT Milk
  - (calcitriol and metabolites of, of human and cow, biol. activity of)
- IT Bone marrow, composition
  - Skin, composition**
  - (calcitriol of, blood plasma in relation to)
- IT Receptors
  - RL: BIOL (Biological study)
  - (dihydroxyvitamin D<sub>3</sub>, calcitriol binding to, structure in relation to)
- IT Proteins, specific or class
  - RL: BIOL (Biological study)
  - (dihydroxyvitamin D<sub>3</sub>-binding, calcitriol binding to, structure in relation to)
- IT Molecular structure-biological activity relationship
  - (receptor-binding, vitamin D-binding protein and, of calcitriol analogs)
- IT 32222-06-3, Calcitriol
  - RL: BIOL (Biological study)
  - (binding proteins regulation of biol. activity of)
- IT 103909-75-7 104121-92-8, ED-71
  - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
  - (biol. activity of, structure in relation to)
- IT 104121-92-8, ED-71
  - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
  - (biol. activity of, structure in relation to)
- RN 104121-92-8 HCAPLUS
- CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-, (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).  
Double bond geometry as shown.



L37 ANSWER 17 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1986:515290 HCAPLUS  
 DN 105:115290  
 ED Entered STN: 03 Oct 1986  
 TI Vitamin D3 derivatives having a substituent at the 2-position  
 IN Miyamoto, Katsuhito; Kubodera, Noboru; Ochi, Kiyoshige; Matsunaga, Isao;  
 Murayama, Eigoro  
 PA Chugai Pharmaceutical Co., Ltd., Japan  
 SO Eur. Pat. Appl., 16 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 IC ICM C07C172-00  
 ICS A61K031-59  
 CC 32-7 (Steroids)  
 Section cross-reference(s): 1, 2

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 184206	A2	19860611	EP 1985-115418	19851204 <--
	EP 184206	A3	19870114		
	EP 184206	B1	19890405		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	US 4666634	A	19870519	US 1985-800320	19851120 <--
	IL 77122	A1	19901129	IL 1985-77122	19851122 <--
	DK 8505614	A	19860606	DK 1985-5614	19851204 <--
	DK 161197	B	19910610		
	DK 161197	C	19911125		
	CN 85108857	A	19860709	CN 1985-108857	19851204 <--
	CN 1008368	B	19900613		
	AT 41924	E	19890415	AT 1985-115418	19851204 <--
	JP 61267549	A2	19861127	JP 1985-272503	19851205 <--
	JP 06023185	B4	19940330		
	CA 1330806	A1	19940719	CA 1985-496985	19851205 <--
PRAI	JP 1984-255713	A	19841205	<--	
	EP 1985-115418	A	19851204	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 184206	ICM	C07C172-00
	ICS	A61K031-59

US 4666634 NCL 552/653.000; 540/051.000; 552/541.000  
GI

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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB 1 $\alpha$ -Hydroxyvitamin D3 derivs. I [R1 = OH, amino, alkoxy  
(un)substituted by OH, halo, cyano, alkoxy, amino, acylamino; R2 = H, OH]  
are prepared (14 examples) as Ca control and tumor differentiation-inducing  
agents. Thus, the epoxycholestadienol-triazolinedione Diels-Alder adduct  
II (R3R4 =  $\alpha$ -epoxy) was treated with HOCH2CH2OH in the presence of  
p-MeC6H4SO3H to give II (R3 =  $\beta$ -OCH2CH2OH, R4 =  $\alpha$ -OH). Reduction  
of the latter with LiAlH4 in THF gave the corresponding 5,7-diene, which  
was irradiated in EtOH and refluxed in THF to give I (R1 = OCH2CH2OH, R2 =  
H) (III). III (6.25  $\mu$ g/mL/kg orally) in rats raised plasma Ca from  
4.263 (control) to 5.552 mg/dL, vs. 4.798 mg/dL for 1 $\alpha$ -  
hydroxyvitamin D3 (IV). III also induced the differentiation of HL-60  
leukemia cells into macrophages and NBT-reduced cells with an activity  
comparable to that of IV.

ST vitamin D3 deriv calcium antitumor prepn; hydroxyvitamin D3 deriv calcium  
antitumor prepn

IT 9,10-Secosteroids  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of vitamin D3 derivs., as antitumor and calcium-regulating  
agents)

IT Osteomalacia  
Osteoporosis  
(treatment of, vitamin D3 derivs. for)

IT Neoplasm inhibitors  
(vitamin D3 derivs.)

IT 2916-31-6  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(addition reaction of, with epoxycholestadiene Diels-Alder adduct)

IT 109-78-4 110-63-4, reactions 142-26-7 504-63-2 540-51-2  
1462-10-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(alcoholysis by, of epoxycholestadiene Diels-Alder adduct)

IT 54631-59-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(alcoholysis of, or reactions with triphenylphosphine or azide)

IT 7440-70-2, biological studies  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
(Biological study); PROC (Process)  
(metabolism of, vitamin D3 derivs. effect on)

IT 104109-72-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and alcoholysis of)

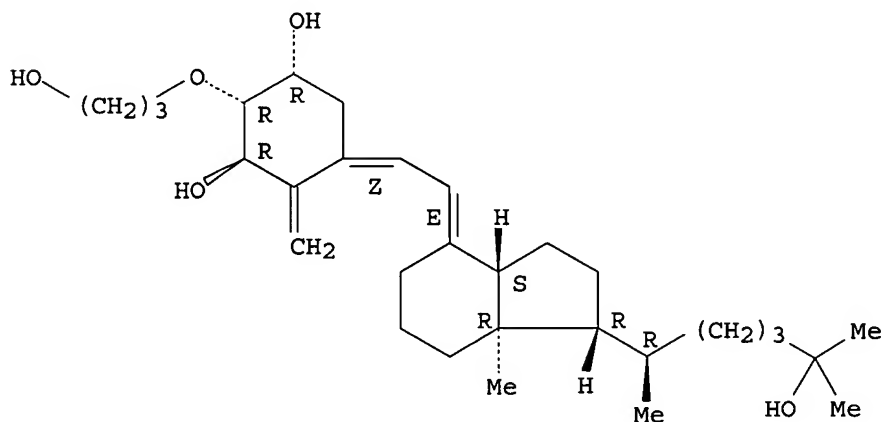
IT 104109-57-1P 104109-60-6P 104109-64-0P 104109-74-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and hydride reduction of)

IT 104109-58-2P 104109-61-7P 104109-65-1P 104109-75-3P 104121-91-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and photolysis and thermolysis of)

IT 104109-56-0P 104109-59-3P 104109-62-8P 104109-63-9P 104109-66-2P  
104109-67-3P 104109-68-4P 104109-69-5P 104109-70-8P 104109-71-9P  
104109-73-1P 104121-90-6P 104121-92-8P 104121-93-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as antitumor and calcium-regulating agent)  
 IT 61954-90-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation, alcoholysis, hydride reduction, and photolysis-thermolysis of)  
 IT 104121-92-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as antitumor and calcium-regulating agent)  
 RN 104121-92-8 HCAPLUS  
 CN 9,10-Secocholesta-5,7,10(19)-triene-1,3,25-triol, 2-(3-hydroxypropoxy)-,  
 (1 $\alpha$ ,2 $\beta$ ,3 $\beta$ ,5Z,7E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).  
 Double bond geometry as shown.



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(FILE 'HOME' ENTERED AT 07:54:22 ON 17 AUG 2005)  
 SET COST OFF

FILE 'HCAPLUS' ENTERED AT 07:54:34 ON 17 AUG 2005

L1 1 S (WO2003-JP9814 OR JP2002-224297)/AP,PRN  
 E SHIMAOKA/AU  
 L2 27 S E68,E73-E76  
 L3 40063 S (CHUGAI? OR SEIYAKU? OR KABUSHIKI? OR KAISHA?)/PA,CS

FILE 'REGISTRY' ENTERED AT 07:55:51 ON 17 AUG 2005

L4 1 S 104121-92-8  
 ACT QAZI658/A  
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 L5 STR  
 L6 8760 SEA FILE=REGISTRY SSS FUL L5  
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 L7 STR L5  
 L8 7 S L7 SAM SUB=L6  
 L9 107 S L7 FUL SUB=L6  
 SAV L9 QAZI522/A  
 L10 8 S L9 AND C30H50O5  
 SEL RN 1 2 6 7 8  
 L11 5 S E1-E5  
 L12 102 S L9 NOT L11



L13 STR L7  
L14 15 S L13 CSS FUL SUB=L12  
SAV L14 QAZI522A/A  
SAV L11 QAZI522B/A  
L15 13 S L14 NOT T/ELS

FILE 'HCAOLD' ENTERED AT 08:01:35 ON 17 AUG 2005

L16 0 S L11 OR L15

FILE 'HCAPLUS' ENTERED AT 08:01:42 ON 17 AUG 2005

L17 82 S L11 OR L15  
L18 78 S ED71 OR ED 71  
L19 105 S L17,L18  
L20 31 S L19 AND L1-L3  
E PSORIASIS/CT  
L21 84 S L19 AND (PD<=20020801 OR PRD<=20020801 OR AD<=20020801)  
L22 27 S L20 AND L21  
L23 2 S L21 AND ?PSORIA?  
E PSORIA/CT  
L24 2 S L21 AND E6-E9  
L25 2 S L23,L24  
E SKIN/CT  
L26 6 S L21 AND E3-E97  
E E3+ALL  
L27 6 S L21 AND E6+OLD,NT  
L28 6 S L21 AND E33+OLD,NT,PFT,RT  
L29 6 S L21 AND E34+OLD,NT,PFT,RT  
L30 8 S L21 AND E36+OLD,NT,PFT,RT  
L31 7 S L21 AND (E38+OLD,NT,PFT,RT OR E39+OLD,NT,PFT,RT)  
L32 7 S L21 AND E37+OLD,NT,PFT,RT  
L33 28 S L21 AND P/DT  
L34 12 S L33 AND US/PC,PRC,AC  
L35 17 S L23-L32,L34  
L36 8 S L22 AND L35  
L37 17 S L35,L36  
L38 19 S L22 NOT L37

FILE 'USPATFULL' ENTERED AT 08:10:54 ON 17 AUG 2005

L39 17 S L11 OR L15  
L40 70 S L18  
L41 80 S L39,L40  
L42 60 S L41 AND (PD<=20020801 OR PRD<=20020801 OR AD<=20020801)  
L43 17 S L42 AND L39  
L44 43 S L42 NOT L43  
L45 29 S L42 AND ?PSORIA?  
L46 17 S L42 AND PSORIA?/CT  
L47 6 S L45,L46 AND L39

FILE 'MEDLINE' ENTERED AT 08:12:57 ON 17 AUG 2005

L48 23 S L11 OR L15  
L49 35 S L18  
L50 28 S L48,L49 AND PY<=2002  
L51 0 S L50 AND ?PSORIA?  
E PSORIASIS/CT  
L52 0 S L50 AND E3+NT  
E E3+ALL  
L53 0 S L50 AND C17./CT  
L54 1 S L50 AND SKIN+NT/CT

FILE 'EMBASE' ENTERED AT 08:14:04 ON 17 AUG 2005

L55 40 S L11 OR L15  
L56 49 S L18  
L57 42 S L55,L56 AND PY<=2002  
L58 5 S L57 AND ?PSORIA?  
E PSORIASIS/CT  
L59 5 S L57 AND E3+NT  
L60 5 S L58,L59

FILE 'REGISTRY' ENTERED AT 08:15:22 ON 17 AUG 2005

FILE 'EMBASE' ENTERED AT 08:15:45 ON 17 AUG 2005

FILE 'USPATFULL' ENTERED AT 08:15:55 ON 17 AUG 2005

FILE 'HCAPLUS' ENTERED AT 08:16:19 ON 17 AUG 2005

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